STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 166154

TO: Tamthom Truong **Location: rem/5B19/5C18** **Art Unit: 1624** Sept 28, 2005 **Case Serial Number: 09/868884**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

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=> d his ful

     (FILE 'HOME' ENTERED AT 17:31:19 ON 28 SEP 2005)

     FILE 'REGISTRY' ENTERED AT 17:31:43 ON 28 SEP 2005

L3              STR
L4            4 SEA SSS SAM L3
L5          638 SEA SSS FUL L3
L8              STR L6
L10             STR L3
L11         286 SEA SUB=L5 SSS FUL L10 AND L8

     FILE 'HCAPLUS' ENTERED AT 17:39:11 ON 28 SEP 2005
L12          12 SEA ABB=ON  PLU=ON  L11
                D STAT QUE
                D IBIB ABS HITSTR L12 1-12
L13         334 SEA ABB=ON  PLU=ON  ("BAXTER ANDREW"/AU OR "BAXTER ANDREW
                D"/AU OR "BAXTER ANDREW DAVID ROTHWELL"/AU OR "BAXTER ANDREW
                DOUGLAS"/AU OR "BAXTER ANDREW G"/AU OR "BAXTER ANDREW J"/AU OR
                "BAXTER ANDREW J G"/AU OR "BAXTER ANDREW JOHN"/AU OR "BAXTER
                ANDREW JOHN GILBEY"/AU OR "BAXTER ANDREW JOHN GILBY"/AU OR
                "BAXTER ANDREW W"/AU) OR ("BAXTER A"/AU OR "BAXTER A C"/AU OR
                "BAXTER A D"/AU OR "BAXTER A G"/AU OR "BAXTER A J"/AU OR
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                OR "BAXTER A M"/AU OR "BAXTER A N"/AU OR "BAXTER A S"/AU)
L14          25 SEA ABB=ON  PLU=ON  "BROUGH S"/AU OR ("BROUGH STEPHEN"/AU OR
                "BROUGH STEPHEN J"/AU OR "BROUGH STEPHEN JOHN"/AU OR "BROUGH
                STEVE"/AU)
L15          39 SEA ABB=ON  PLU=ON  ("FAULL A W"/AU OR "FAULL ALAN"/AU OR
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L16          29 SEA ABB=ON  PLU=ON  ("MCINALLY T"/AU OR "MCINALLY THOMAS"/AU
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L17           1 SEA ABB=ON  PLU=ON  L13 AND L14 AND L15 AND L16
L18           0 SEA ABB=ON  PLU=ON  L17 NOT L12
L19          13 SEA ABB=ON  PLU=ON  L13 AND (L14 OR L15 OR L16)
L20          11 SEA ABB=ON  PLU=ON  L14 AND (L15 OR L16)
L21           1 SEA ABB=ON  PLU=ON  L15 AND L16
L22          14 SEA ABB=ON  PLU=ON  (L18 OR L19 OR L20 OR L21) NOT L12
                D STAT QUE L22 NOS
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L23          63 SEA ABB=ON  PLU=ON  (L14 OR L15 OR L16) NOT (L12 OR L22)
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                D IBIB ABS L23 1-63

     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   27 SEP 2005  HIGHEST RN 864057-55-6
     DICTIONARY FILE UPDATES:  27 SEP 2005  HIGHEST RN 864057-55-6

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.
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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE HCAPLUS

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FILE COVERS 1907 - 28 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 27 Sep 2005  (20050927/ED)

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 This file contains CAS Registry Numbers for easy and accurate
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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 17:39:11 ON 28 SEP 2005
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FILE COVERS 1907 - 28 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 27 Sep 2005  (20050927/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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=> d stat que
L3                STR
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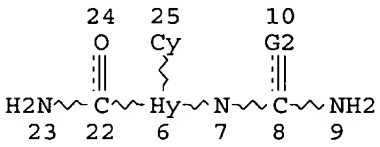


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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS   9

STEREO ATTRIBUTES: NONE
L5           638 SEA FILE=REGISTRY SSS FUL L3
L8                STR
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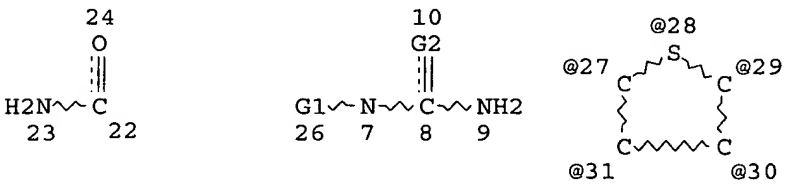


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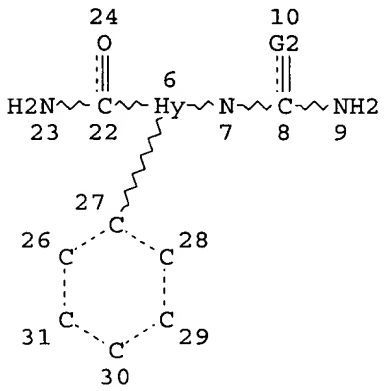

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
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L12 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L11

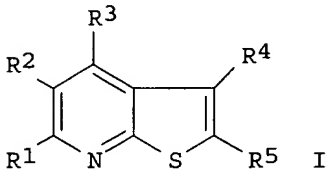
=>
=>

=> d ibib abs hitstr l12 1-12

L12 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:632264 HCAPLUS
DOCUMENT NUMBER: 143:146724
TITLE: Thienopyridine compounds as IκB kinase inhibitors
INVENTOR(S): Horiguchi, Yoshiaki; Matsumoto, Takahiro; Hosono, Hiroshi; Kawamoto, Tomohiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 122 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005194198	A2	20050721	JP 2003-435023	20031226
PRIORITY APPLN. INFO.:			JP 2003-435023	20031226

GI

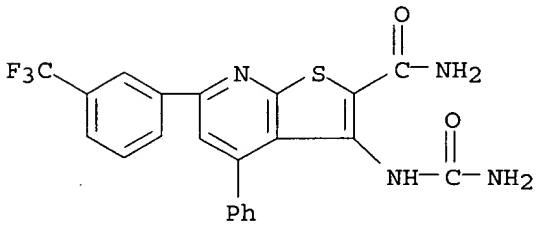


I

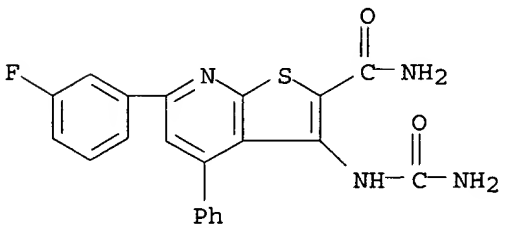
AB The invention provides thienopyridine compds. I (R1, R2, R3, R4 = H, substituent; R5 = substituent) or their salts or prodrugs as IκB kinase inhibitors for treatment of diabetes and related disease. For example, 3-amino-6-(4-aminopiperidin-1-yl)-4-(2-furyl)thieno[2,3-b]pyridine-2-carboxamide was prepared, and examined for its inhibitory effect on IκB kinase, TNFα, and NHκB transcription in vitro. Also, a capsule containing 3-amino-4-(3-furyl)6-piperidin-1-ylthieno[2,3-b]pyridine-2-carboxamide 30 mg/capsule was formulated.

IT **858643-88-6P 858643-89-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thienopyridine compds. as IκB kinase inhibitors)

RN 858643-88-6 HCAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-[(aminocarbonyl)amino]-4-phenyl-6-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



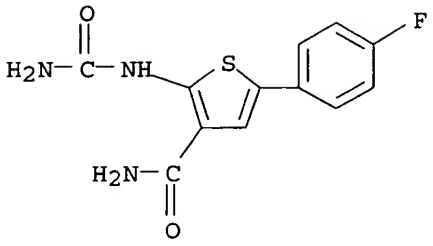
RN 858643-89-7 HCAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-[(aminocarbonyl)amino]-6-(3-fluorophenyl)-4-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:101587 HCAPLUS
DOCUMENT NUMBER: 142:329317
TITLE: Attenuation of murine collagen-induced arthritis by a novel, potent, selective small molecule inhibitor of IκB kinase 2, TPCA-1 (2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-3-thiophenecarboxamide), occurs via reduction of proinflammatory cytokines and antigen-induced t cell proliferation
AUTHOR(S): Podolin, Patricia L.; Callahan, James F.; Bolognese, Brian J.; Li, Yue H.; Carlson, Karey; Davis, T. Gregg; Mellor, Geoff W.; Evans, Christopher; Roshak, Amy K.
CORPORATE SOURCE: Respiratory and Inflammation Center of Excellence for Drug Discovery, GlaxoSmithKline, King of Prussia, PA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 312(1), 373-381
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Demonstration that IκB kinase 2 (IKK-2) plays a pivotal role in the nuclear factor-κB-regulated production of proinflammatory mols. by stimuli such as tumor necrosis factor (TNF)-α and interleukin (IL)-1 suggests that inhibition of IKK-2 may be beneficial in the treatment of rheumatoid arthritis. In the present study, we demonstrate that a novel, potent (IC50 = 17.9 nM), and selective inhibitor of human IKK-2, 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-3-thiophenecarboxamide (TPCA-1), inhibits lipopolysaccharide-induced human monocyte production of TNF-α, IL-6, and IL-8 with an IC50 = 170 to 320 nM. Prophylactic administration of TPCA-1 at 3, 10, or 20 mg/kg, i.p., b.i.d., resulted in a dose-dependent reduction in the severity of murine collagen-induced arthritis (CIA). The significantly reduced disease severity and delay of disease onset resulting from administration of TPCA-1 at 10 mg/kg, i.p., b.i.d. were comparable to the effects of the antirheumatic drug, etanercept, when administered prophylactically at 4 mg/kg, i.p., every other day. Nuclear localization of p65, as well as levels of IL-1β, IL-6, TNF-α, and interferon-γ, were significantly reduced in the paw tissue of TPCA-1- and etanercept-treated mice. In addition, administration of TPCA-1 in vivo resulted in significantly decreased collagen-induced T cell proliferation ex vivo. Therapeutic administration of TPCA-1 at 20 mg/kg, but not at 3 or 10 mg/kg, i.p., b.i.d., significantly reduced the severity of CIA, as did etanercept administration at 12.5 mg/kg, i.p., every other day. These results suggest that reduction of proinflammatory mediators and inhibition of antigen-induced T cell proliferation are mechanisms underlying the attenuation of CIA by the IKK-2 inhibitor, TPCA-1.

IT **507475-17-4**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiarthritic activity of small mol. inhibitor of IκB kinase 2, TPCA-1, via reduction of proinflammatory cytokines and antigen-induced T cell proliferation)
RN 507475-17-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



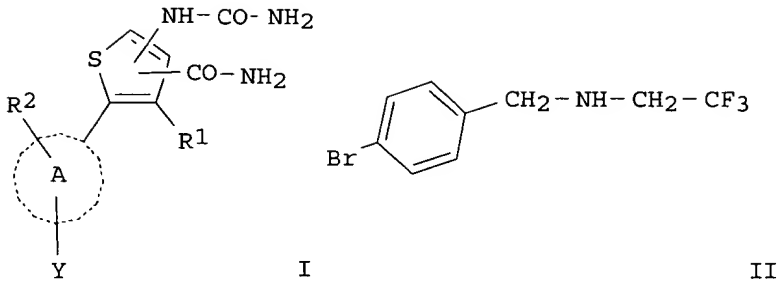
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:606462 HCAPLUS
DOCUMENT NUMBER: 141:157027
TITLE: Preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.
INVENTOR(S): Faull, Alan Wellington; Johnstone, Craig; Morley, Andrew David; Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063186	A1	20040729	WO 2004-GB96	20040113

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: SE 2003-92 A 20030115
OTHER SOURCE(S): MARPAT 141:157027
GI



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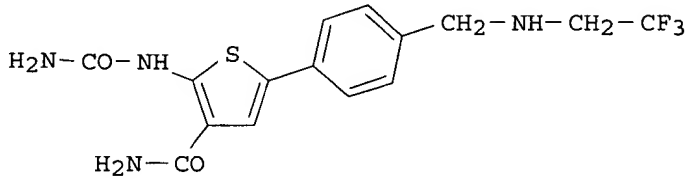
II



III

AB Title compds. I [R1 = H, CH3; R2 = H, halo, CN, etc.; R3, R4 = H, CH3; A = 6-membered aromatic ring optionally incorporating one or two nitrogen atoms; X = NR6; R5 = H, Cl, alkyl, etc.; R6 = H, Cl, alkyl] and their pharmaceutically acceptable salts were prepared For example, Pd mediated coupling of 2-[(aminocarbonyl)amino]-5-bromothiophene-3-carboxamide and bromide II, e.g., prepared from 4-bromobenzylbromide and 2,2,2-trifluoroethylamine, afforded thiophenylcarboxamide III. In IKK-2 filter kinase inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.00056-0.066 μM, e.g., the IC50 value of thiophenylcarboxamide III was 0.0036 μM. Compds. I are claimed useful for the treatment of inflammatory diseases.

IT **728947-61-3P 728947-62-4P 728947-63-5P**
728947-64-6P 728947-65-7P 728947-66-8P
728947-67-9P 728947-68-0P 728947-69-1P
728947-70-4P 728947-71-5P 728947-72-6P
728947-73-7P 728947-74-8P 728947-75-9P
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728947-95-3P 728947-97-5P 728947-98-6P
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728948-20-7P 728948-21-8P 728948-22-9P
728948-23-0P 728948-24-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.)

RN 728947-61-3 HCAPLUS
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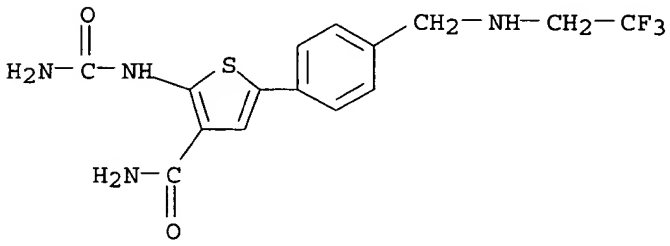

RN 728947-62-4 HCAPLUS
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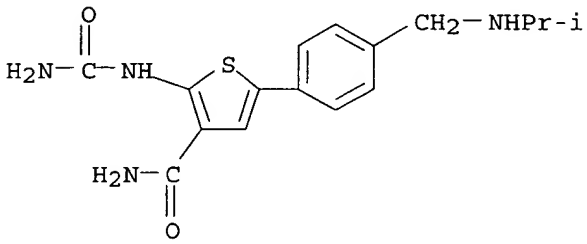

RN 728947-63-5 HCAPLUS
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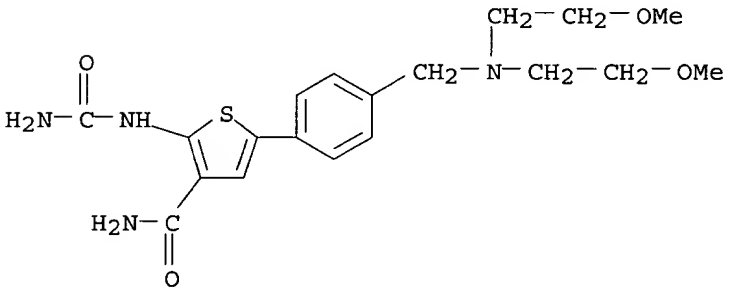

RN 728947-64-6 HCAPLUS
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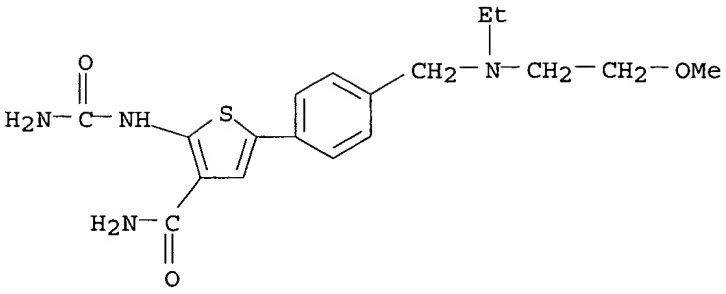

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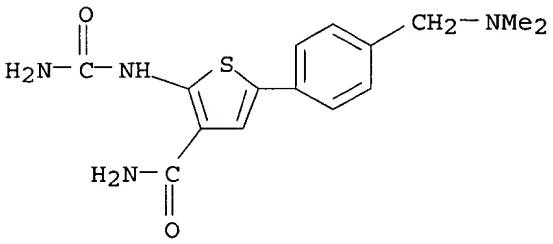

RN 728947-66-8 HCAPLUS
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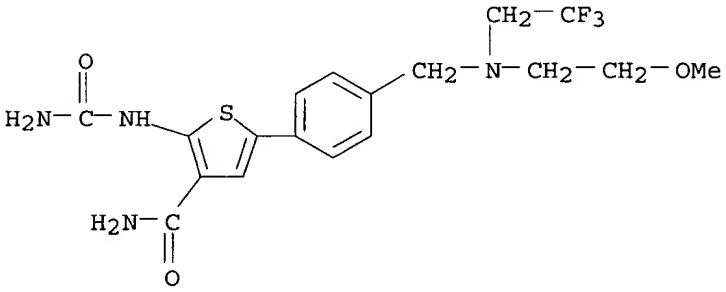

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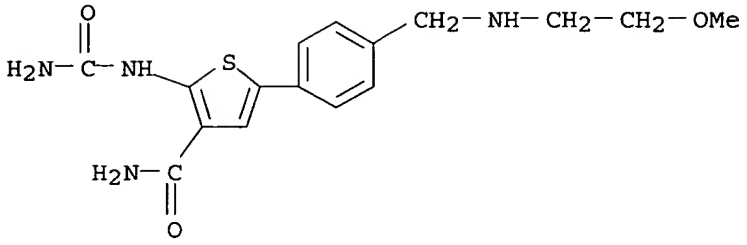

RN 728947-68-0 HCAPLUS
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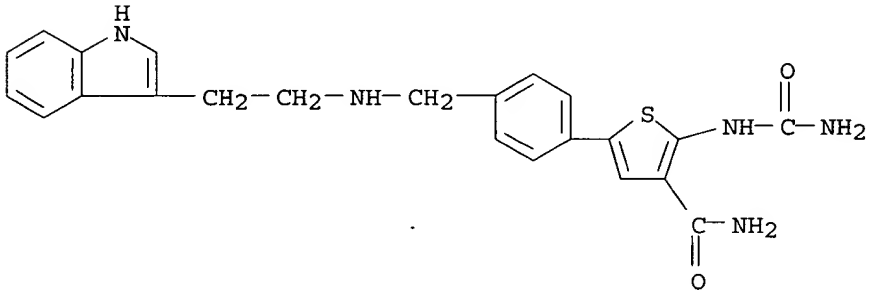

RN 728947-69-1 HCAPLUS
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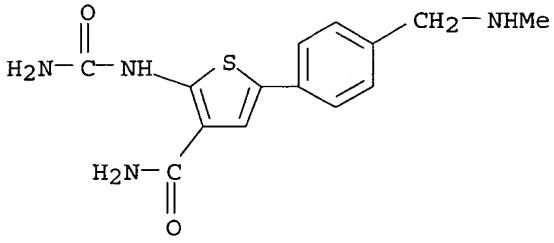
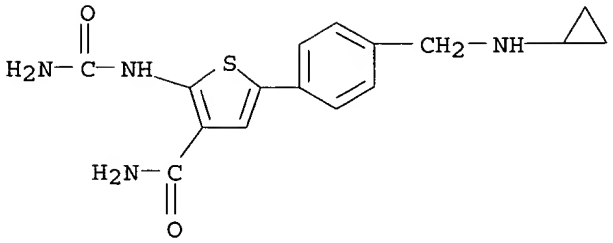
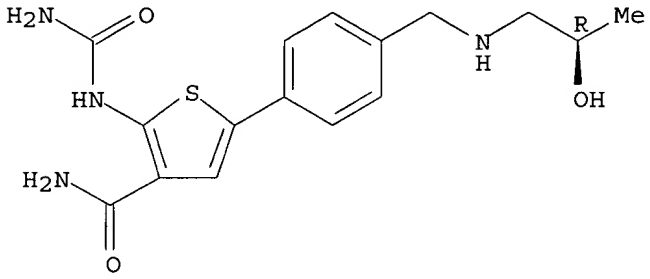


RN 728947-70-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(cyclopropylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



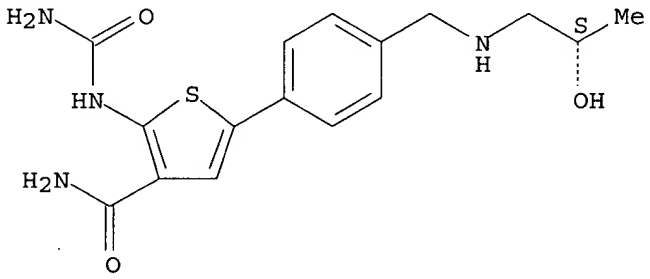
RN 728947-71-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(2R)-2-hydroxypropyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

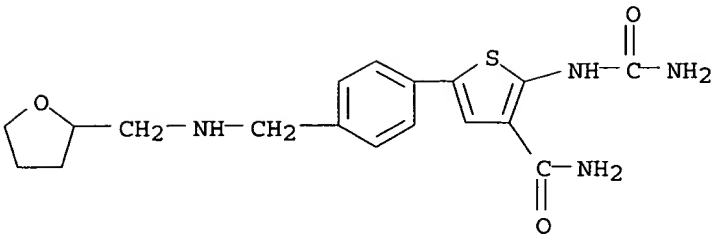


RN 728947-72-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(2S)-2-hydroxypropyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

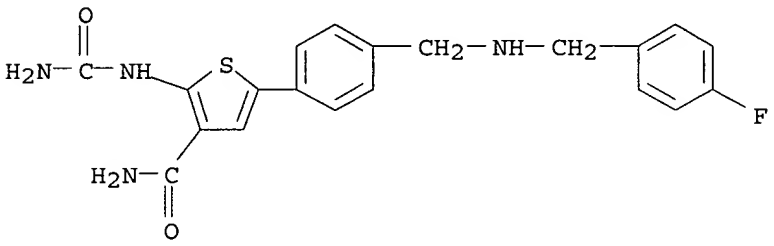
Absolute stereochemistry.



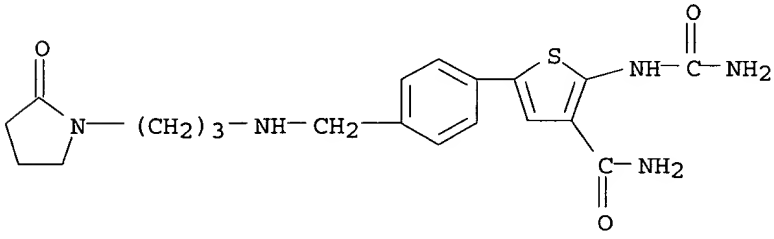
RN 728947-73-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(tetrahydro-2-furanyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



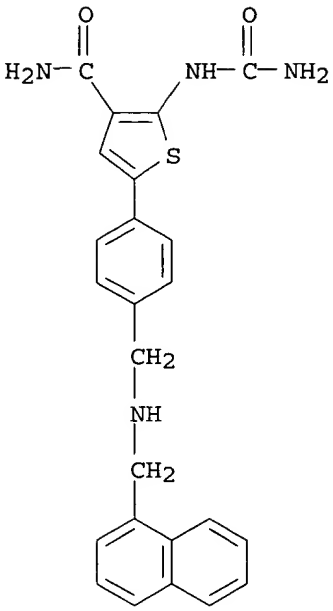
RN 728947-74-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(4-fluorophenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



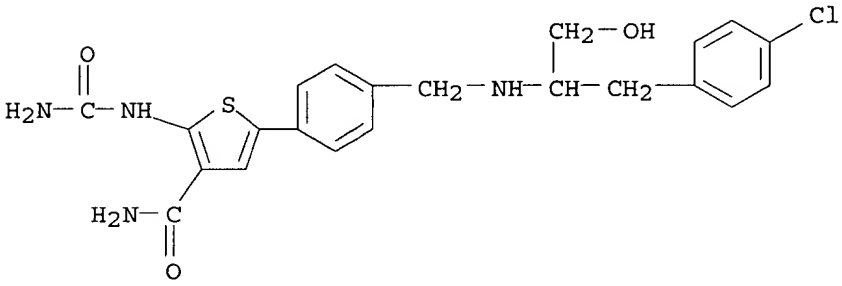
RN 728947-75-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



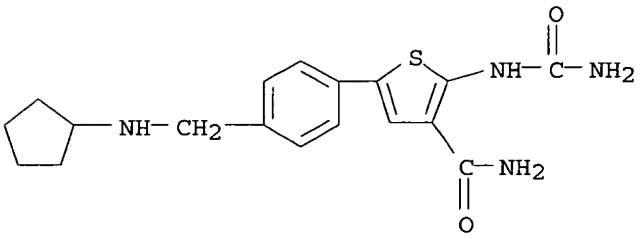
RN 728947-76-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(1-naphthalenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 728947-77-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[2-(4-chlorophenyl)-1-(hydroxymethyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

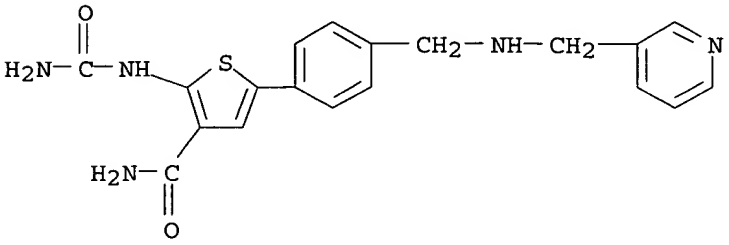


RN 728947-78-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(cyclopentylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

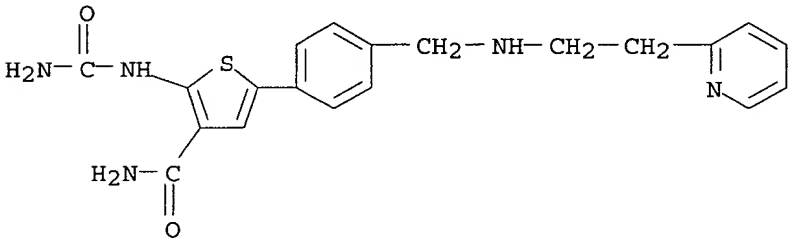


RN 728947-79-3 HCAPLUS

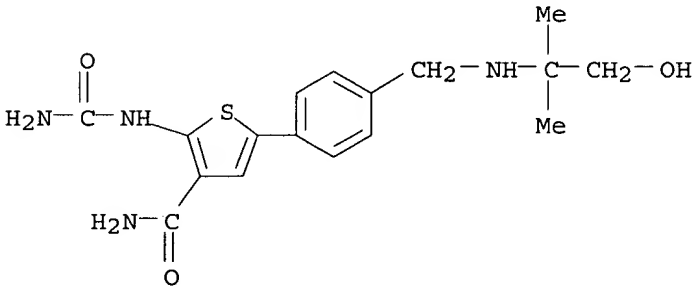
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(3-pyridinylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



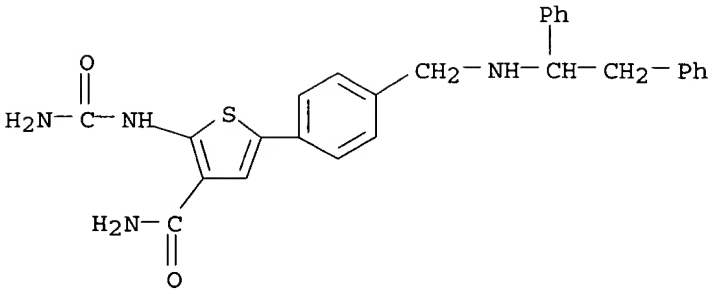
RN 728947-80-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[2-(2-pyridinyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



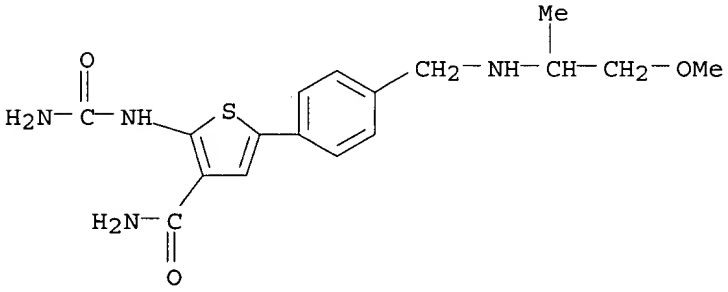
RN 728947-81-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxy-1,1-dimethylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



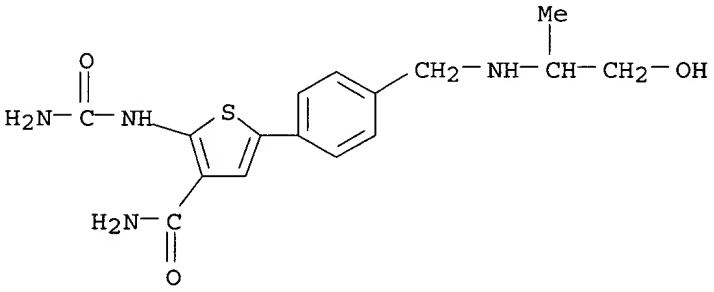
RN 728947-82-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(1,2-diphenylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



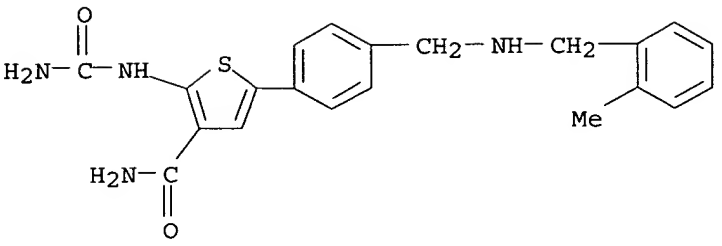
RN 728947-83-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-methoxy-1-methylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



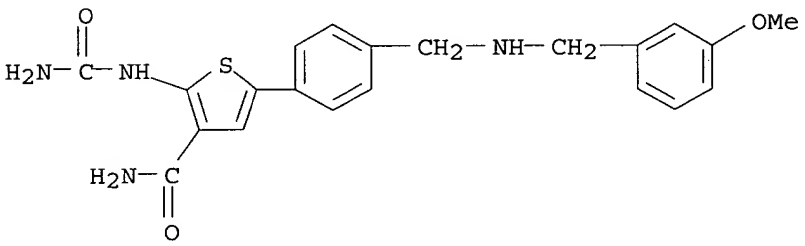
RN 728947-84-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxy-1-methylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



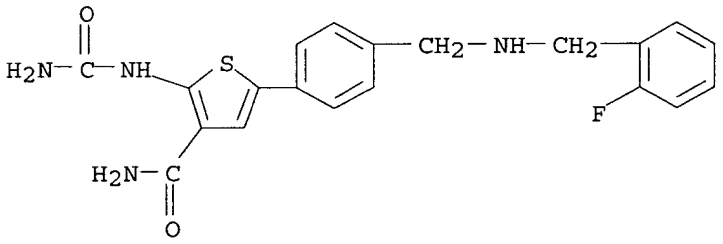
RN 728947-85-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(2-methylphenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



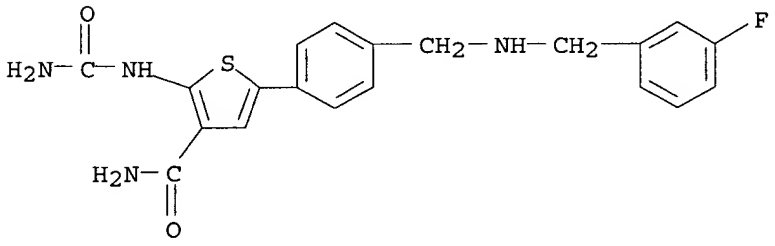
RN 728947-86-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(3-methoxyphenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



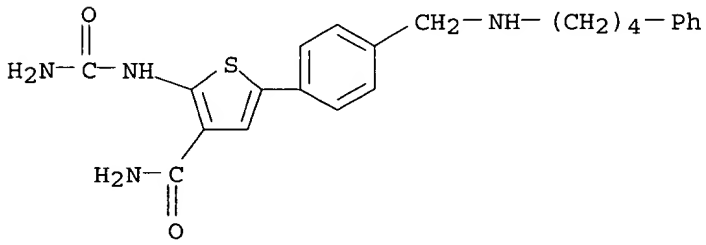
RN 728947-87-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(2-fluorophenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



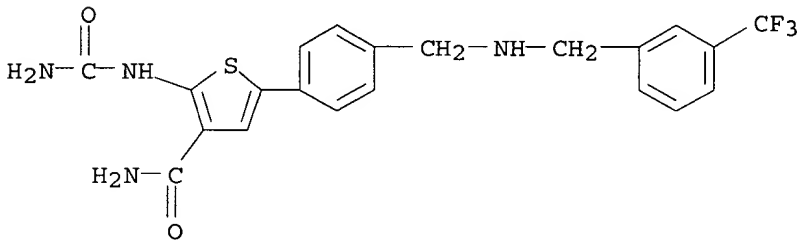
RN 728947-88-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(3-fluorophenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



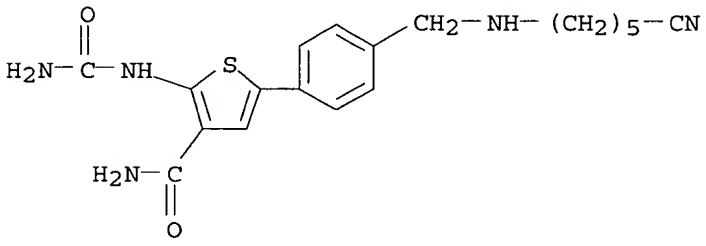
RN 728947-89-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(4-phenylbutyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 728947-90-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



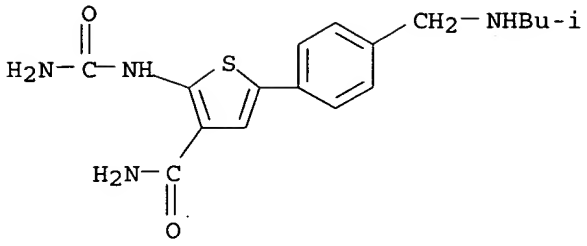
RN 728947-91-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(5-cyanopentyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 728947-93-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-methylpropyl)amino]methyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

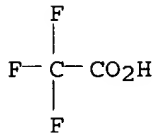
CM 1

CRN 728947-92-0
CMF C17 H22 N4 O2 S

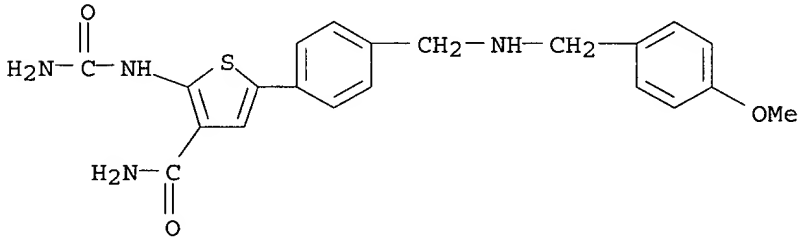


CM 2

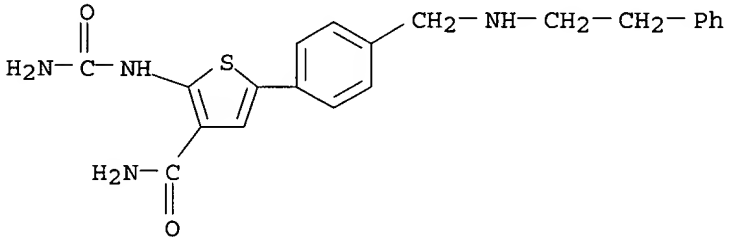
CRN 76-05-1
CMF C2 H F3 O2



RN 728947-94-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[[(4-methoxyphenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 728947-95-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-phenylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

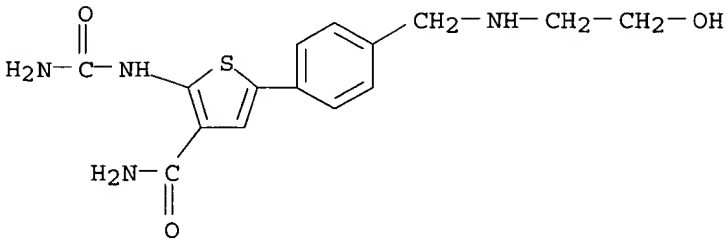


RN 728947-97-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxyethyl)amino]methyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI)

(CA INDEX NAME)

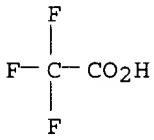
CM 1

CRN 728947-96-4
CMF C15 H18 N4 O3 S

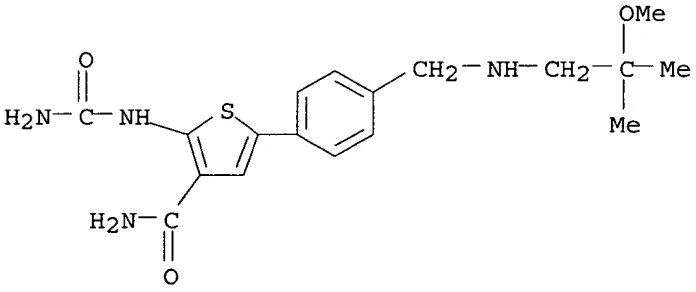


CM 2

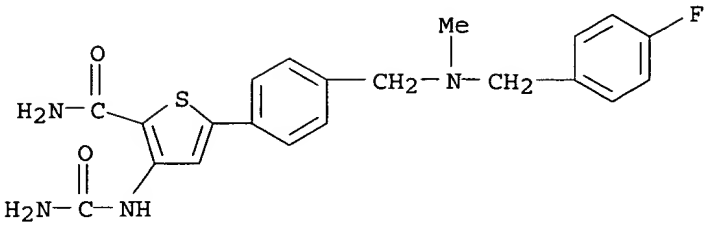
CRN 76-05-1
CMF C2 H F3 O2



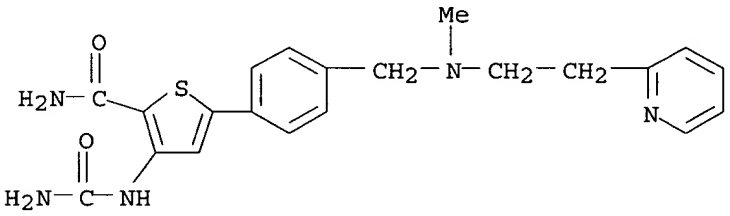
RN 728947-98-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-methoxy-2-methylpropyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



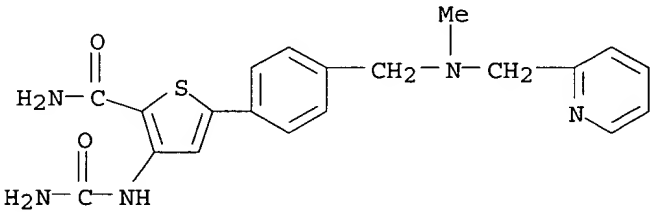
RN 728947-99-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[[(4-fluorophenyl)methyl]methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



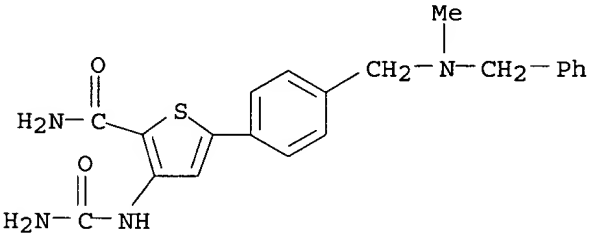
RN 728948-00-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[methyl[2-(2-pyridinyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



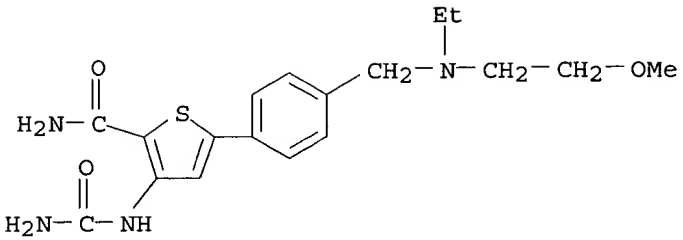
RN 728948-01-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[methyl(2-pyridinylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



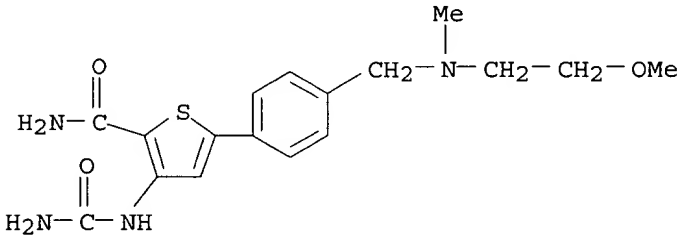
RN 728948-02-5 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[methyl(phenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



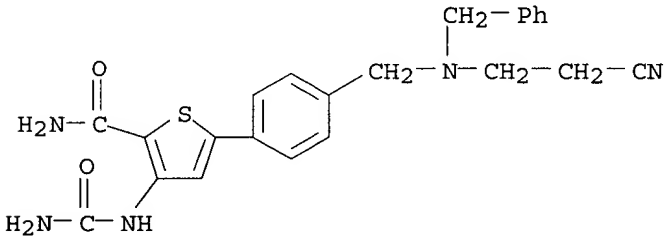
RN 728948-03-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[ethyl(2-methoxyethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



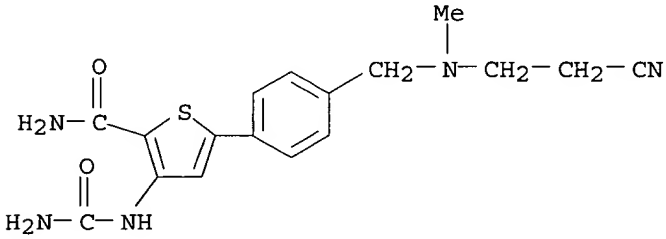
RN 728948-04-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-methoxyethyl)methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



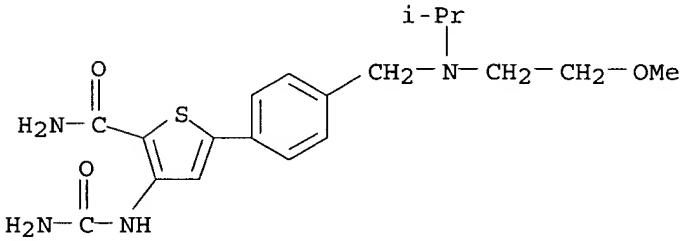
RN 728948-05-8 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-cyanoethyl)(phenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



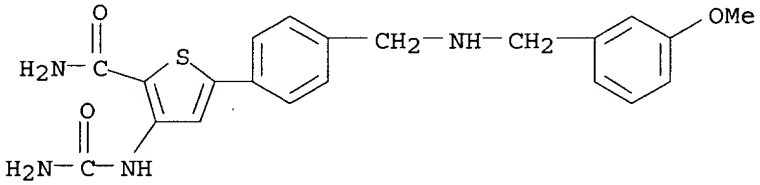
RN 728948-06-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-cyanoethyl)methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



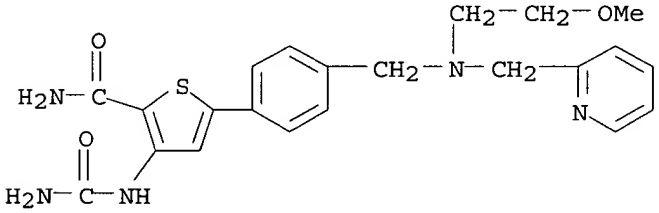
RN 728948-07-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-methoxyethyl)(1-methylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



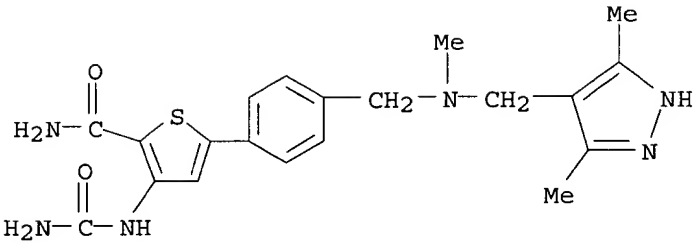
RN 728948-08-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[[(3-methoxyphenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



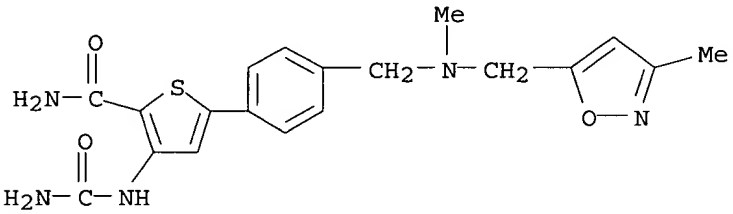
RN 728948-09-2 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-methoxyethyl)(2-pyridinylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



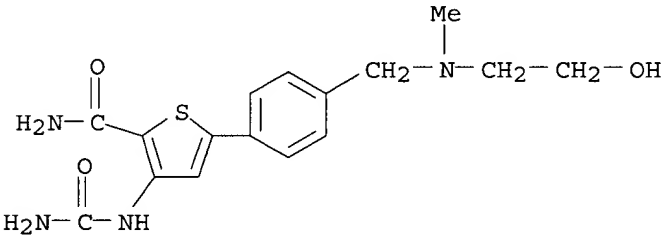
RN 728948-10-5 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



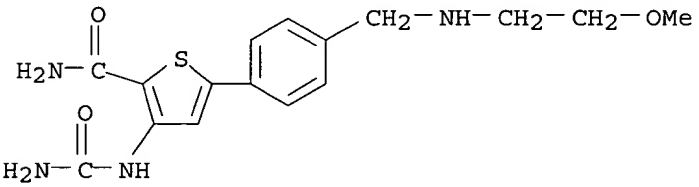
RN 728948-11-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[methyl[(3-methyl-5-isoxazolyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



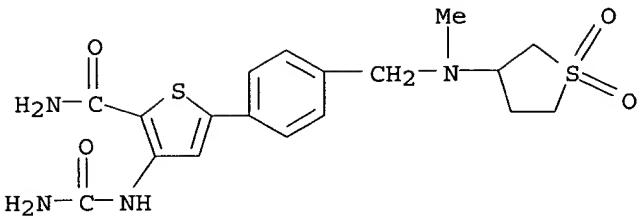
RN 728948-12-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxyethyl)methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



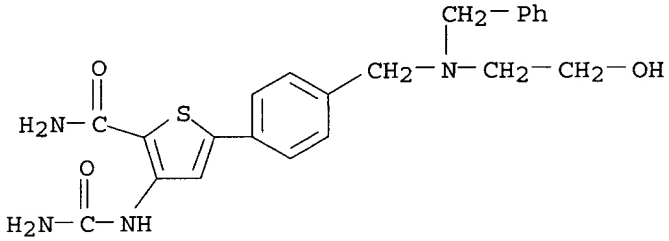
RN 728948-13-8 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-methoxyethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



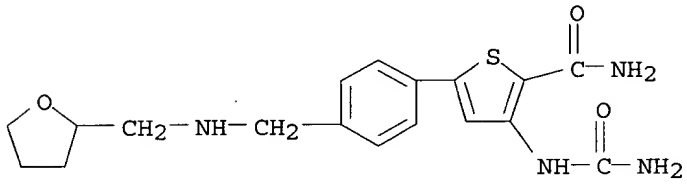
RN 728948-14-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[methyl(tetrahydro-1,1-dioxido-3-thienyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



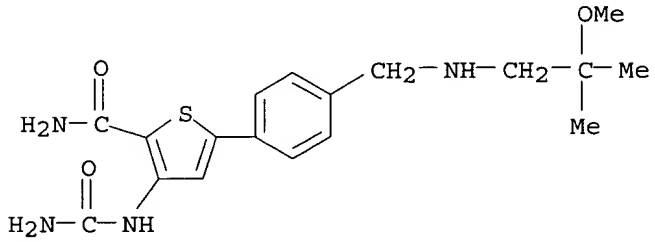
RN 728948-15-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 728948-16-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[[(tetrahydro-2-furanyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

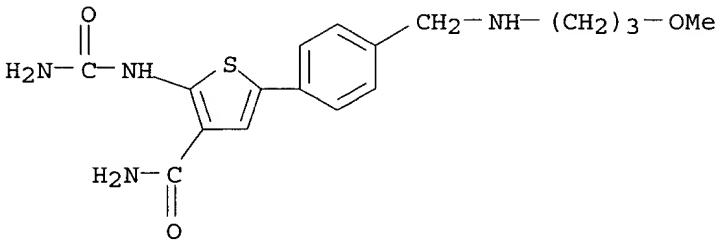


RN 728948-17-2 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2-methoxy-2-methylpropyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

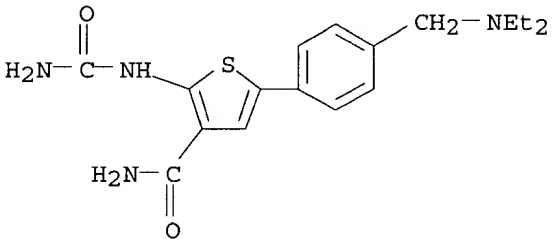


RN 728948-18-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(3-

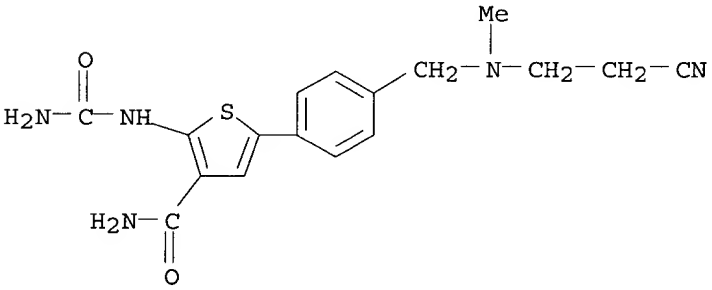
methoxypropyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



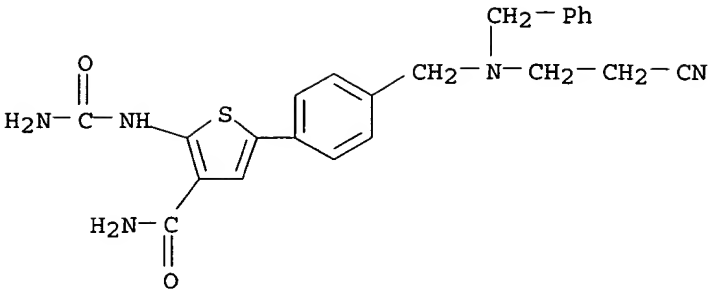
RN 728948-19-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



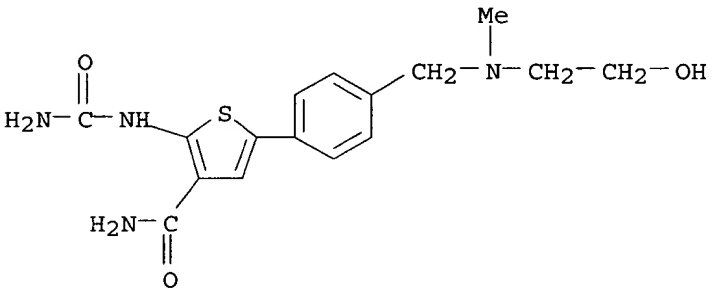
RN 728948-20-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-cyanoethyl)methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



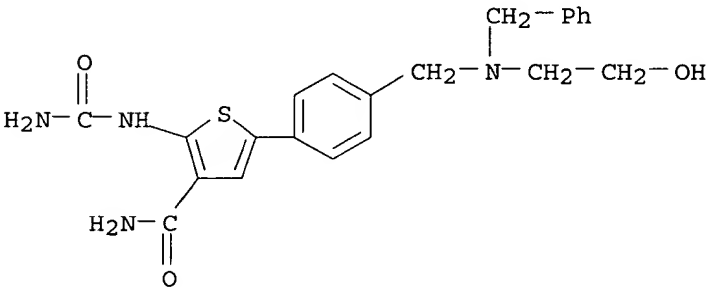
RN 728948-21-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-cyanoethyl)(phenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



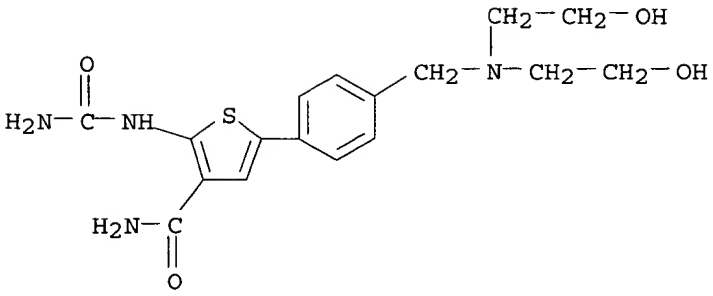
RN 728948-22-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxyethyl)methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



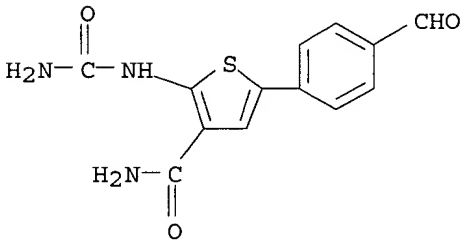
RN 728948-23-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



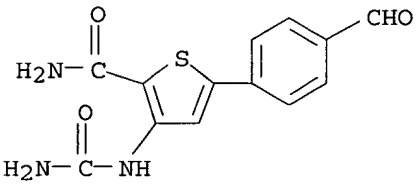
RN 728948-24-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[bis(2-hydroxyethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



IT **494773-25-0P**, 2-[(Aminocarbonyl)amino]-5-(4-formylphenyl)thiophene-3-carboxamide **728948-31-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.)
RN 494773-25-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-formylphenyl)- (9CI) (CA INDEX NAME)



RN 728948-31-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-formylphenyl)- (9CI) (CA INDEX NAME)



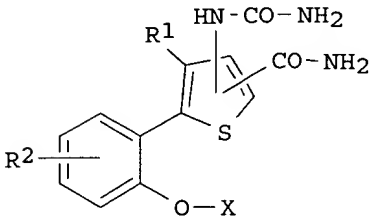
L12 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:606461 HCAPLUS
DOCUMENT NUMBER: 141:157026
TITLE: Preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.
INVENTOR(S): Morley, Andrew David; Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063185	A1	20040729	WO 2004-GB106	20040113
WO 2004063185	C1	20040923		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: SE 2003-91 A 20030115
OTHER SOURCE(S): MARPAT 141:157026
GI



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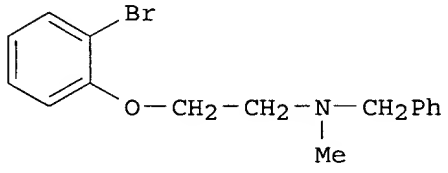

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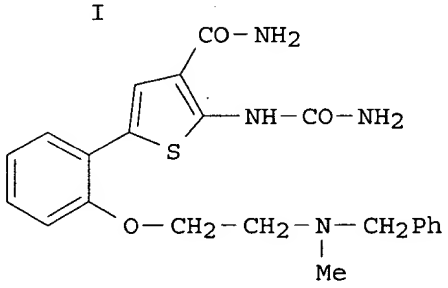


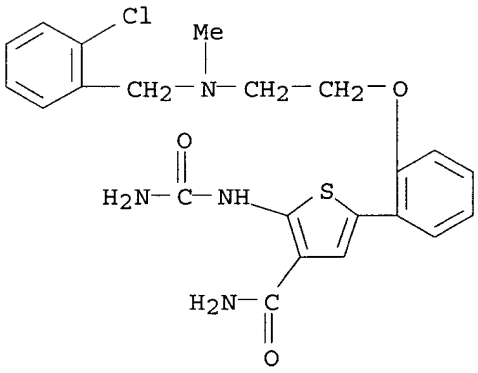
III

AB Title compds. I [R1 = H, CH3; R2 = H, halo, CN, etc.; X = C(R4R5)yNR3(CR4R5)m-Ar; y = n + 1; n = 1-3; m = 0-3; R3 = H, (un)substitued alkenyl, alkyl; R4, R5 = H, alkyl with provisos; Ar = Ph ring or a 5- or 6- membered heterocyclic ring containing one to three heteroatoms, e.g., O, N, S;] and their pharmaceutically acceptable salts were prepared For example, Pd mediated coupling of 2-[(aminocarbonyl)amino]-5-bromothiophene-3-carboxamide and bromide II, e.g., prepared from 1-bromo-2-[2-chloroethoxy]benzene and N-methylbenzylamine, afforded thiophenylcarboxamide III. In IKK-2 filter kinase inhibition assays, 6-examples of compds. I exhibited IC50 values ranging from 0.01-1.43 μM, e.g., the IC50 value of thiophenylcarboxamide III was 0.04 μM. Compds. I are claimed useful for the treatment of inflammatory diseases.

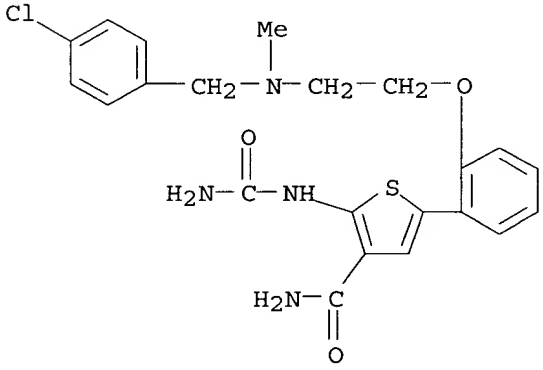
IT **727741-81-3P 727741-82-4P 727741-83-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(benzylamino)ethoxy]phenyl]thiophene-3-carboxamide **727741-84-6P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(benzyl-N-methylamino)ethoxy]phenyl]thiophene-3-carboxamide

727741-85-7P, 2-[(Aminocarbonyl)amino]-5-[2-[2-(1,3-dihydro-2H-isoindol-2-yl)ethoxy]phenyl]thiophene-3-carboxamide **727741-86-8P**, 2-[(Aminocarbonyl)amino]-5-[2-[[1-(4-fluorobenzyl)pyrrolidin-3-yl]oxy]phenyl]thiophene-3-carboxamide **727741-87-9P**, 2-[(Aminocarbonyl)amino]-5-[2-(1-benzylpyrrolidin-3-yloxy)phenyl]thiophene-3-carboxamide **727741-88-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-[(4-fluorobenzyl)amino]ethoxy]phenyl]thiophene-3-carboxamide **727741-89-1P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(pyridin-3-ylmethylamino)ethoxy]phenyl]thiophene-3-carboxamide **727741-90-4P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(pyridin-2-ylmethylamino)ethoxy]phenyl]thiophene-3-carboxamide **727741-91-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(pyridin-4-ylmethylamino)ethoxy]phenyl]thiophene-3-carboxamide **727741-92-6P**, 3-[(Aminocarbonyl)amino]-5-[2-[2-(1,3-dihydro-2H-isoindol-2-yl)ethoxy]phenyl]thiophene-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.)

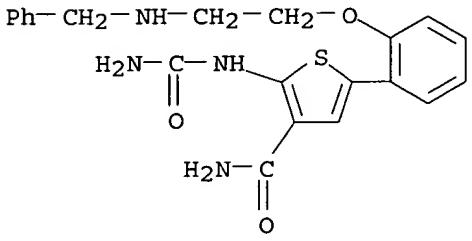
RN 727741-81-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-[[(2-chlorophenyl)methyl]methylamino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



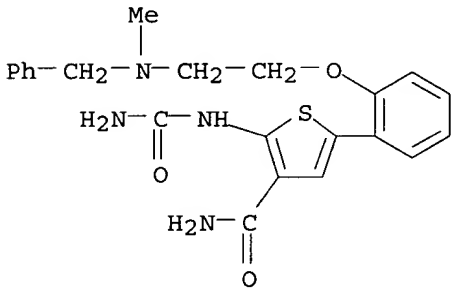
RN 727741-82-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-[[(4-chlorophenyl)methyl]methylamino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



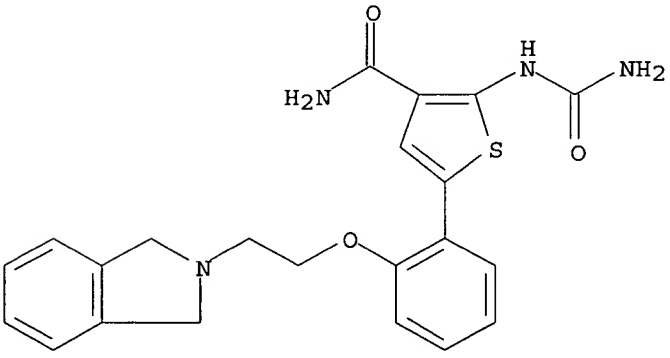
RN 727741-83-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-[(phenylmethyl)amino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



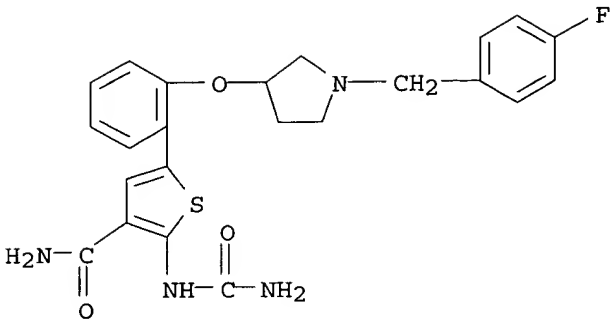
RN 727741-84-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-[methyl(phenylmethyl)amino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



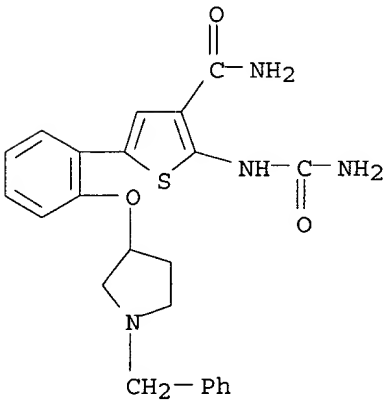
RN 727741-85-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(1,3-dihydro-2H-isoindol-2-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



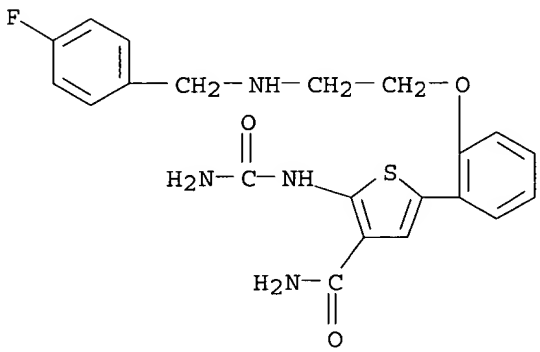
RN 727741-86-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-[(4-fluorophenyl)methyl]-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



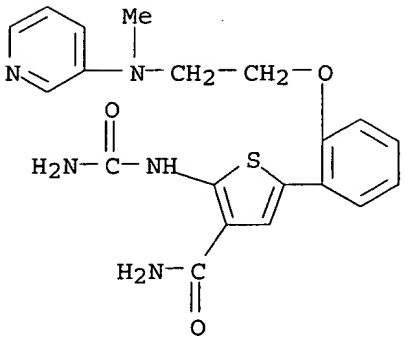
RN 727741-87-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(phenylmethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



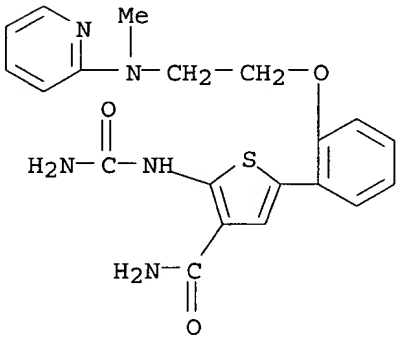
RN 727741-88-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-[[(4-fluorophenyl)methyl]amino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



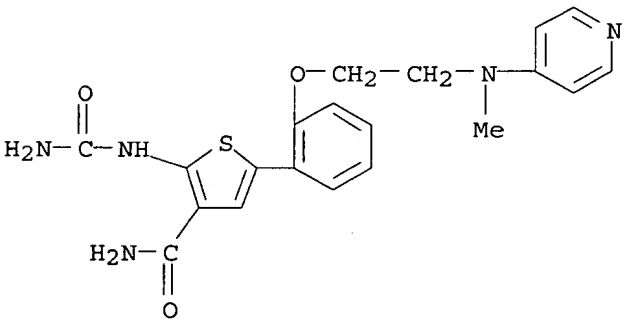
RN 727741-89-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(methyl-3-pyridinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



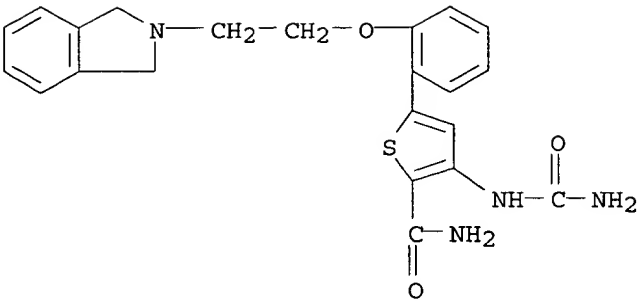
RN 727741-90-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 727741-91-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(methyl-4-pyridinylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



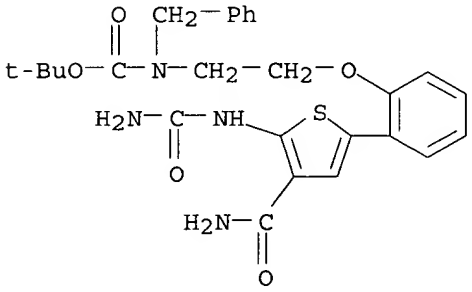
RN 727741-92-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(1,3-dihydro-2H-isoindol-2-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



IT **727741-95-9P**, tert-Butyl N-[2-[2-[3-(aminocarbonyl)-2-[(aminocarbonyl)amino]thien-5-yl]phenoxy]ethyl]-N-benzylcarbamate **727742-03-2P**, tert-Butyl N-[2-[2-[3-(aminocarbonyl)-2-[(aminocarbonyl)amino]thien-5-yl]phenoxy]ethyl]-N-(4-fluorobenzyl)carbamate **727742-06-5P**, tert-Butyl-N-[2-[2-[3-(aminocarbonyl)-2-[(aminocarbonyl)amino]thien-5-yl]phenoxy]ethyl]-N-pyridin-3-ylmethylcarbamate **727742-09-8P**, tert-Butyl N-[2-[2-[3-(aminocarbonyl)-2-[(aminocarbonyl)amino]thien-5-yl]phenoxy]ethyl]-N-(pyridin-2-ylmethyl)carbamate **727742-12-3P**, tert-Butyl-N-[2-[2-[3-(aminocarbonyl)-2-[(aminocarbonyl)amino]thien-5-yl]phenoxy]ethyl]-N-pyridin-4-ylmethylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.)

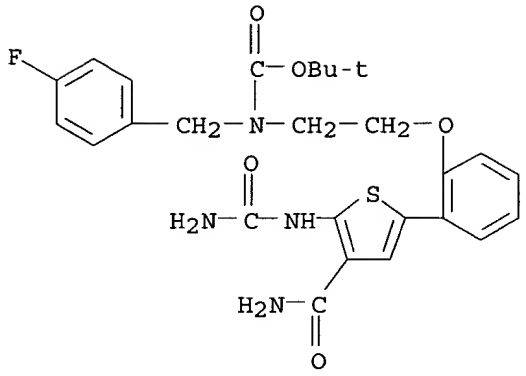
RN 727741-95-9 HCAPLUS

CN Carbamic acid, [2-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenoxy]ethyl](phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

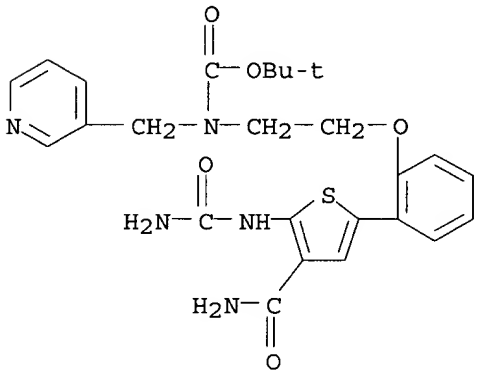


RN 727742-03-2 HCAPLUS

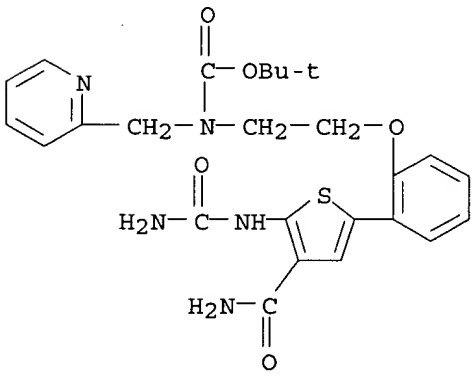
CN Carbamic acid, [2-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenoxy]ethyl][(4-fluorophenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 727742-06-5 HCAPLUS
CN Carbamic acid, [2-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenoxy]ethyl](3-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

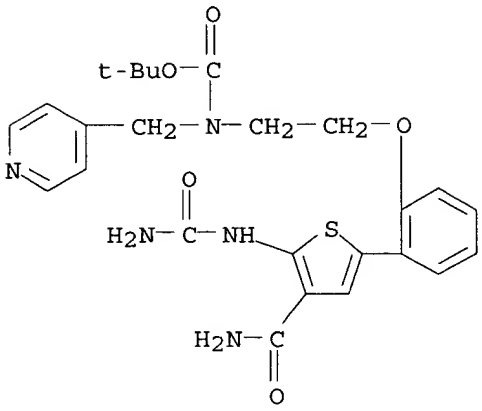


RN 727742-09-8 HCAPLUS
CN Carbamic acid, [2-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenoxy]ethyl](2-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 727742-12-3 HCAPLUS
CN Carbamic acid, [2-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-

thienyl]phenoxy]ethyl](4-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:515662 HCAPLUS
DOCUMENT NUMBER: 141:47386
TITLE: Ureidothiophene compound NF-κB inhibitor for therapeutic use
INVENTOR(S): Callahan, James Frances; Li, Yue Hu
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

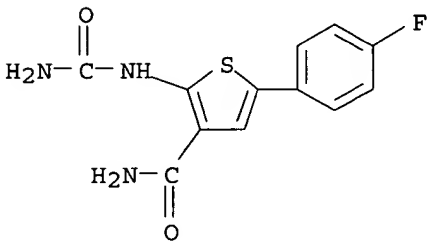
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004053087	A2	20040624	WO 2003-US38970	20031205
WO 2004053087	A3	20040910		
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, VN, YU, ZA				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1569924	A2	20050907	EP 2003-812858	20031205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-431496P P 20021206
WO 2003-US38970 W 20031205

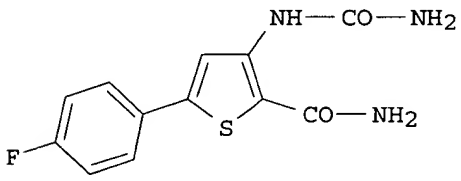
AB The invention provides 5-(4-fluorophenyl)-2-ureidothiophene-3-carboxylic acid amide (preparation described) and methods for treating diseases related to the inhibition of IKK-β phosphorylation of Iκ.

IT **507475-17-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ureidothiophene compound NF-κB inhibitor for therapeutic use)
RN 507475-17-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

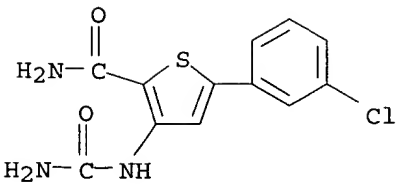


L12 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:362566 HCAPLUS
DOCUMENT NUMBER: 141:99000
TITLE: Hit-to-lead studies: the discovery of potent, orally active, thiophenecarboxamide IKK-2 inhibitors
AUTHOR(S): Baxter, Andrew; Brough, Steve; Cooper, Anne; Floettmann, Eike; Foster, Steve; Harding, Christine; Kettle, Jason; McInally, Tom; Martin, Craig; Mobbs, Michelle; Needham, Maurice; Newham, Pete; Paine, Stuart; St-Gallay, Steve; Salter, Sylvia; Unitt, John; Xue, Yafeng
CORPORATE SOURCE: AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(11), 2817-2822
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

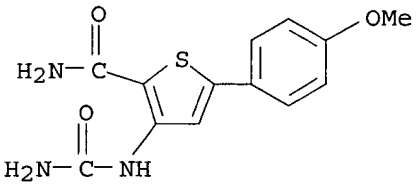


I

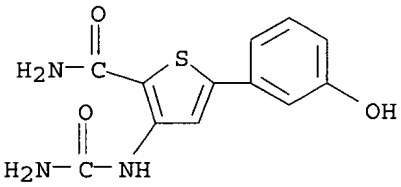
AB A hit-to-lead optimization program was carried out on the thiophenecarboxamide high throughput screening hits 1 and 2 resulting in the discovery of the potent and orally bioavailable IKK-2 inhibitor (I).
IT **354810-83-6 354810-95-0 354811-01-1 354811-04-4 354811-06-6 354811-09-9 354811-10-2**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(high throughput screening of potent, orally active, thiophenecarboxamide IKK-2 inhibitors)
RN 354810-83-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



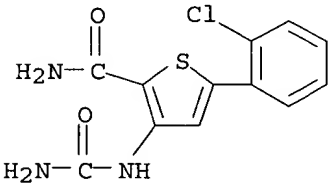
RN 354810-95-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



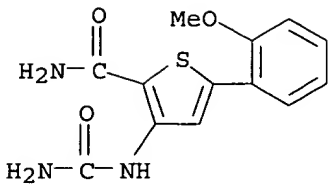
RN 354811-01-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-hydroxyphenyl)-
(9CI) (CA INDEX NAME)



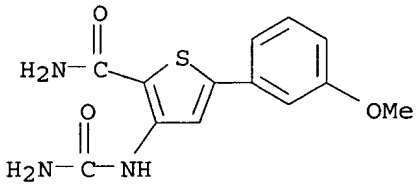
RN 354811-04-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(2-chlorophenyl)- (9CI)
(CA INDEX NAME)



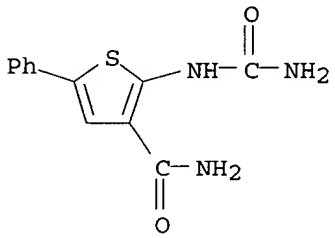
RN 354811-06-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(2-methoxyphenyl)-
(9CI) (CA INDEX NAME)



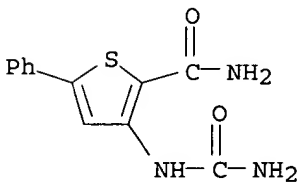
RN 354811-09-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-methoxyphenyl)-(9CI) (CA INDEX NAME)



RN 354811-10-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)

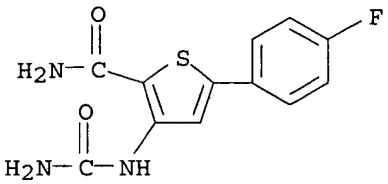


IT **354810-80-3**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
(high throughput screening of potent, orally active, thiophenecarboxamide IKK-2 inhibitors)
RN 354810-80-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)



IT **354810-86-9**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(high throughput screening of potent, orally active, thiophenecarboxamide IKK-2 inhibitors)
RN 354810-86-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



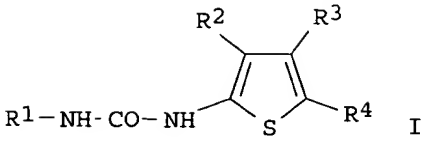
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:282559 HCAPLUS
DOCUMENT NUMBER: 138:304153
TITLE: Preparation of 2-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
INVENTOR(S): Parrish, Cynthia A.; Callahan, James F.; Li, Yue; Stavenger, Robert A.; Holt, Dennis A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029241	A1	20030410	WO 2002-US31752	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326977P P 20011004
OTHER SOURCE(S): MARPAT 138:304153
GI



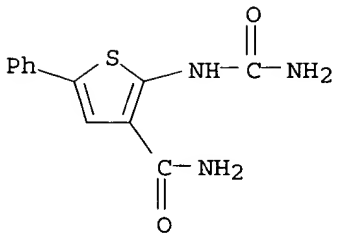
I

AB Ureidothiophenes (shown as I; variables defined below; e.g. 5-(4-fluorophenyl)-2-(3-methylureido)thiophene-3-carboxylic acid amide) useful in the inhibition of angiogenesis and damage response kinases (no data) are provided. Although the methods of preparation are not claimed, 46 example prepns. are included. For I: R1 = H, C1-2 alkyl, XH, XCH3, C1-2-alkyl-XH, C1-2 alkyl-XCH3, C(O)NH2, C(O)NHCH3, and C(O)-C1-2-alkyl; X = O, S, and NH; R2 = C(O)R5, CO2R5, C(O)NHR5, C(O)NHC(:NH)R5, C(O)NHC(:NH)NR5R6, C(O)NHC(O)R5, C(O)NHC(O)NR5R6, SO2R5, S(O)R5, SO3R5, and PO3R5R6. R3 is H or halogen; R4 is aryl or heteroaryl; addnl. details are given in the claims.

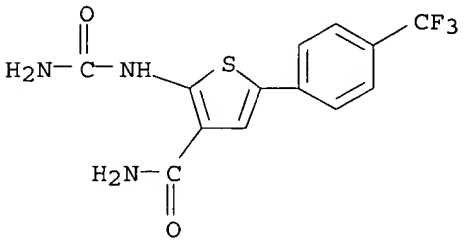
IT **354811-10-2P**, 5-Phenyl-2-ureidothiophene-3-carboxylic acid amide **354811-59-9P**, 5-(4-Trifluoromethylphenyl)-2-ureidothiophene-3-carboxylic acid amide **354811-67-9P**, 5-(4-Chlorophenyl)-2-ureidothiophene-3-carboxylic acid amide **354811-68-0P**, 5-(4-Methanesulfonylphenyl)-2-ureidothiophene-3-carboxylic acid amide **354812-11-6P**, 5-(4-Methoxyphenyl)-2-ureidothiophene-3-carboxylic acid amide **412914-58-0P**, 5-(3-Chloro-4-fluorophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-17-4P**, 5-(4-Fluorophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-20-9P**, 5-p-Tolyl-2-ureidothiophene-3-carboxylic acid amide **507475-28-7P**, 5-Naphthalen-2-yl-2-ureidothiophene-3-carboxylic acid amide **507475-29-8P**, 5-(2-Fluorophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-56-1P**, 5-(3-Fluorophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-57-2P**, 5-(3-Cyanophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-58-3P**, 5-(4-Ethylphenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-59-4P**, 5-(3-Methoxyphenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-60-7P**, 5-(3-Hydroxymethylphenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-61-8P**, 5-(3,4-Dichlorophenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-62-9P**, 5-(3-Trifluoromethylphenyl)-2-ureidothiophene-3-carboxylic acid amide **507475-63-0P**, 5-(3,4-Difluorophenyl)-2-ureidothiophene-3-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders)

RN 354811-10-2 HCAPLUS

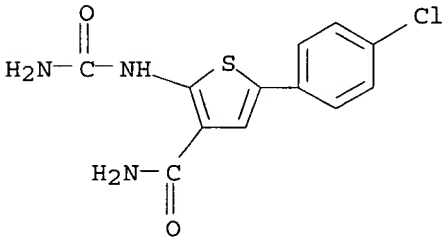
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)



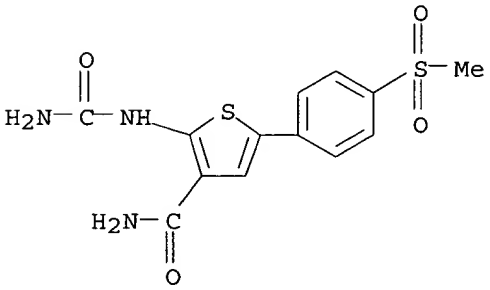
RN 354811-59-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



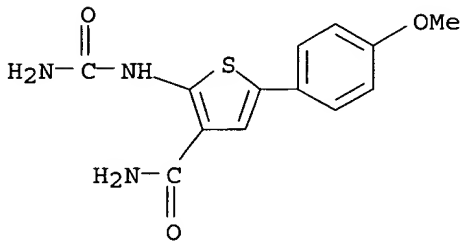
RN 354811-67-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



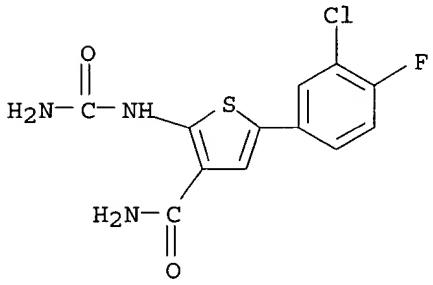
RN 354811-68-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



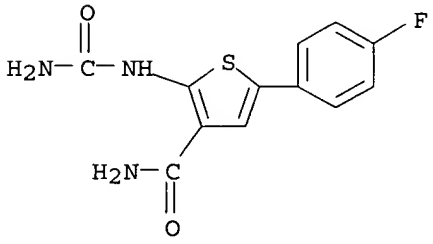
RN 354812-11-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



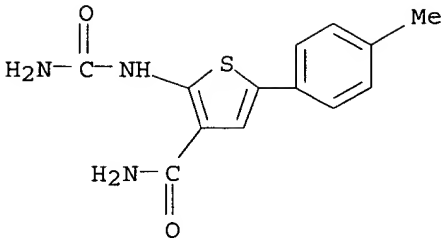
RN 412914-58-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-chloro-4-
fluorophenyl)- (9CI) (CA INDEX NAME)



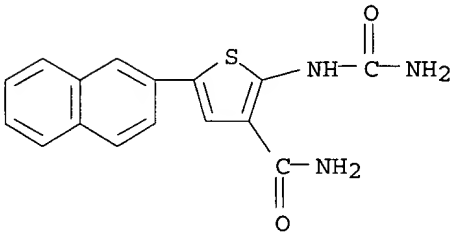
RN 507475-17-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI)
(CA INDEX NAME)



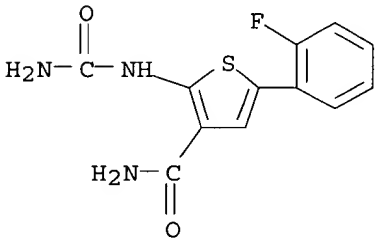
RN 507475-20-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-methylphenyl)- (9CI)
(CA INDEX NAME)



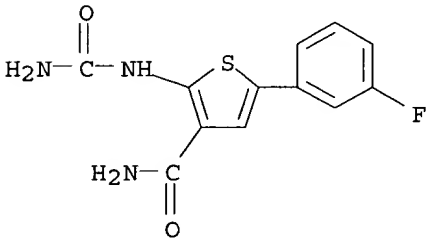
RN 507475-28-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



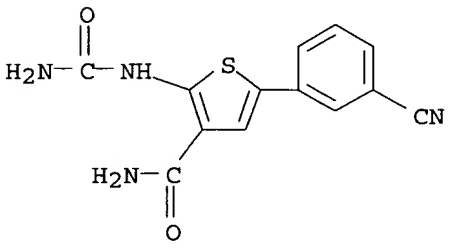
RN 507475-29-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



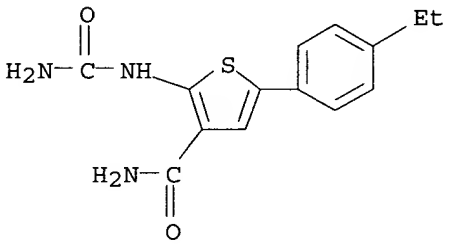
RN 507475-56-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-fluorophenyl)- (9CI) (CA INDEX NAME)



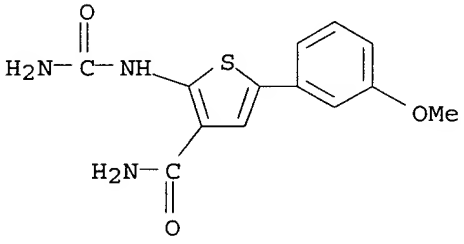
RN 507475-57-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-cyanophenyl)- (9CI) (CA INDEX NAME)



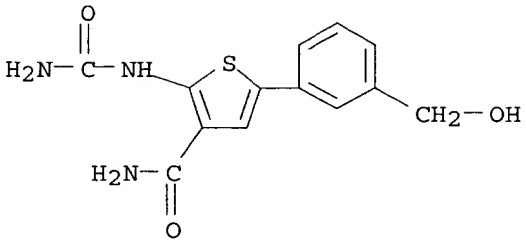
RN 507475-58-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-ethylphenyl)- (9CI) (CA INDEX NAME)



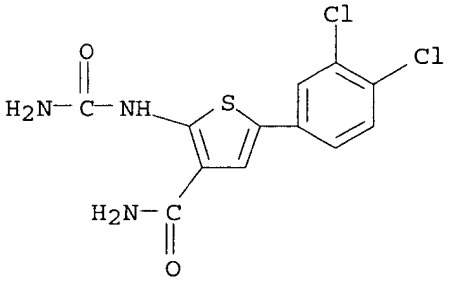
RN 507475-59-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



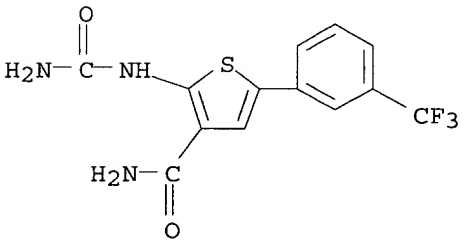
RN 507475-60-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



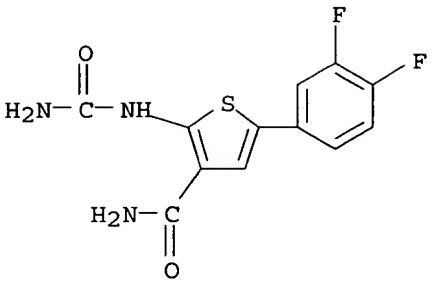
RN 507475-61-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3,4-dichlorophenyl)-
(9CI) (CA INDEX NAME)



RN 507475-62-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 507475-63-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3,4-difluorophenyl)-
(9CI) (CA INDEX NAME)



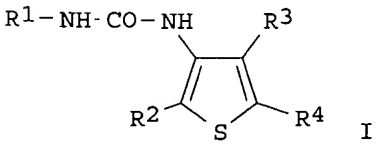
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:282401 HCAPLUS
DOCUMENT NUMBER: 138:304152
TITLE: Preparation of 3-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
INVENTOR(S): Parrish, Cynthia A.; Callahan, James F.; Wan, Zehong; Burgess, Joelle L.; Stavenger, Robert A.; Holt, Dennis A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028731	A1	20030410	WO 2002-US31901	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326971P P 20011004
OTHER SOURCE(S): MARPAT 138:304152
GI



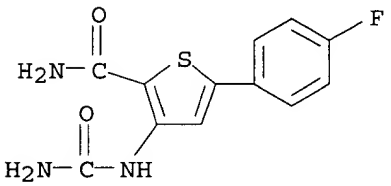
I

AB Ureidothiophenes (shown as I; variables defined below; e.g.

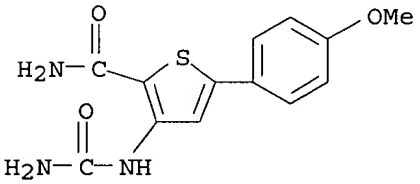
5-phenyl-3-ureidothiophene-2-carboxylic acid Me ester) useful in the inhibition of angiogenesis and damage response kinases (no data) are provided. Although the methods of preparation are not claimed, 36 example prepns. are included. For I: R1 = H, C1-2 alkyl, XH, XCH3, C1-2-alkyl-XH, C1-2 alkyl-XCH3, C(O)NH2, C(O)NHCH3, and C(O)-C1-2-alkyl; X = O, S, and NH; R2 = C(O)R5, CO2R5, C(O)NHR5, C(O)NHC(:NH)R5, C(O)NHC(:NH)NR5R6, C(O)NHC(O)R5, C(O)NHC(O)NR5R6, SO2R5, S(O)R5, SO3R5, and PO3R5R6. R3 is H or halogen; R4 is aryl or heteroaryl; addnl. details are given in the claims.

IT **354810-86-9P**, 5-(4-Fluorophenyl)-3-ureidothiophene-2-carboxylic acid amide **354810-95-0P**, 5-(4-Methoxyphenyl)-3-ureidothiophene-2-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders)

RN 354810-86-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 354810-95-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



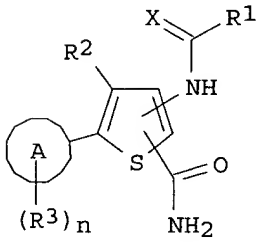
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:97415 HCAPLUS
DOCUMENT NUMBER: 138:153430
TITLE: Preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase
INVENTOR(S): Griffiths, David; Johnstone, Craig
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010163	A1	20030206	WO 2002-SE1402	20020719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2454702	AA	20030206	CA 2002-2454702	20020719
EP 1421079	A1	20040526	EP 2002-756047	20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1538968	A	20041020	CN 2002-815251	20020719
BR 2002011472	A	20041109	BR 2002-11472	20020719
JP 2004536869	T2	20041209	JP 2003-515522	20020719
US 2004235821	A1	20041125	US 2004-484645	20040122
ZA 2004000494	A	20050422	ZA 2004-494	20040122
PRIORITY APPLN. INFO.:			SE 2001-2617 A	20010725
			WO 2002-SE1402 W	20020719

OTHER SOURCE(S): MARPAT 138:153430
GI



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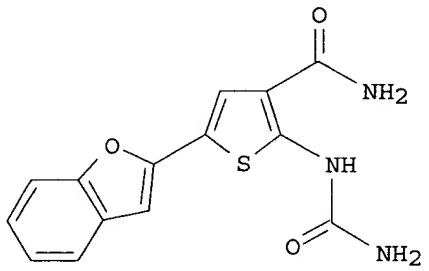


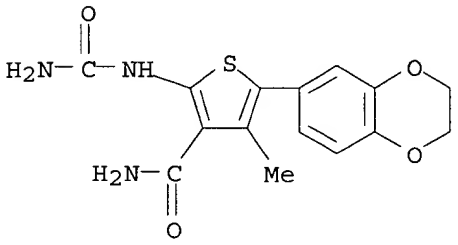
II

AB Title compds. I [R1 = NH2, (un)substituted methyl; X = O, S; R2 = H, halo, CN, NO2, amino, carboxamido, carboxy, etc.; A = Ph, 5-7-membered (un)substituted heteroarom. ring; n = 1-2; R3 = W-Y-Z; W = O, SO0-2; amino, CH2(O), bond; Y = (CH2)0-2-T-(CH2)0-2; T = O, CO, alkyl; Z = Ph, 5-6-membered (un)substituted heteroarom. ring, etc.; with specific exceptions] are prepared For instance, 2-Amino-3-thiophencarboxamide (preparation given) was converted to the corresponding urea (CH3CN, Cl3CONCO; MeOH/NH3), brominated in the thiophene 5-position (HOAc, Br2) and coupled to benzofuran-2-boronic acid (DME, Na2CO3, Pd°) to give II. Compds. of the invention have IC50 < 10 μM for IKK2 kinase. I are useful for the treatment of inflammatory diseases.

IT **494833-68-0P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-(1,4-benzodioxan-

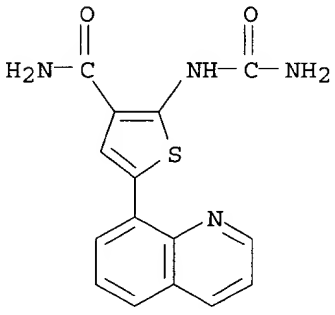
6-yl)-3-thiophenecarboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ureido-carboxamido substituted thiophenes as inhibitors of IKK2 kinase)

RN 494833-68-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-methyl- (9CI) (CA INDEX NAME)

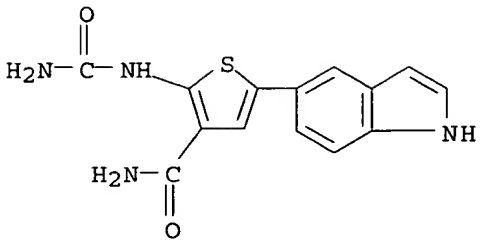


IT **494833-64-6P**, 2-[(Aminocarbonyl)amino]-5-(8-quinolinyl)-3-thiophenecarboxamide **494833-67-9P**, 2-[(Aminocarbonyl)amino]-5-(5-indolyl)-3-thiophenecarboxamide **494833-71-5P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-(1,3-benzodioxolan-5-yl)-3-thiophenecarboxamide **494833-81-7P**, 2-[(Aminocarbonyl)amino]-5-(2-morpholin-4-ylmethylbenzo[b]thiophen-5-yl)thiophene-3-carboxamide **494833-85-1P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-methylphenylsulfonyl)-1,2,3,4-tetrahydroisoquinolin-6-yl]thiophene-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureido-carboxamido substituted thiophenes as inhibitors of IKK2 kinase)

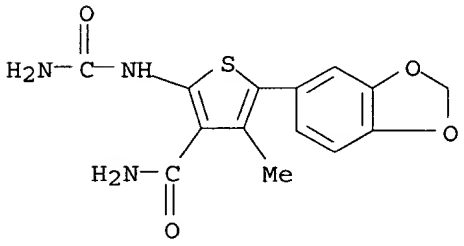
RN 494833-64-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(8-quinolinyl)- (9CI) (CA INDEX NAME)



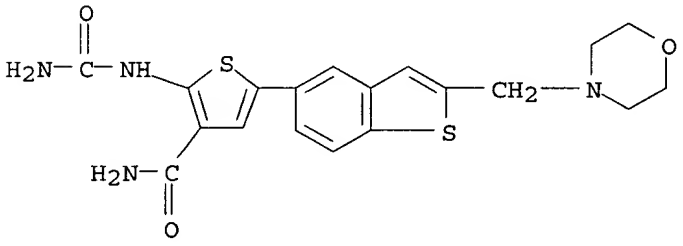
RN 494833-67-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(1H-indol-5-yl)- (9CI) (CA INDEX NAME)



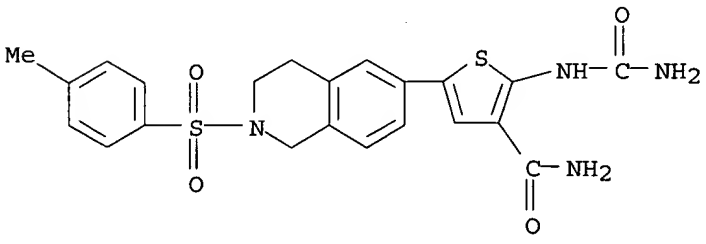
RN 494833-71-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(1,3-benzodioxol-5-yl)-4-methyl- (9CI) (CA INDEX NAME)



RN 494833-81-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(4-morpholinylmethyl)benzo[b]thien-5-yl]- (9CI) (CA INDEX NAME)



RN 494833-85-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[1,2,3,4-tetrahydro-2-[(4-methylphenyl)sulfonyl]-6-isoquinolinyl]- (9CI) (CA INDEX NAME)

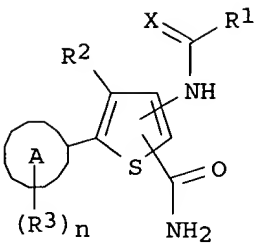


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:97411 HCAPLUS
DOCUMENT NUMBER: 138:137162
TITLE: Preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase
INVENTOR(S): Faull, Alan; Johnstone, Craig; Morley, Andrew; Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003010158	A1	20030206	WO 2002-SE1403		20020719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2454703	AA	20030206	CA 2002-2454703		20020719
EP 1421074	A1	20040526	EP 2002-751935		20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011473	A	20041026	BR 2002-11473		20020719
CN 1541214	A	20041027	CN 2002-815836		20020719
JP 2005503372	T2	20050203	JP 2003-515517		20020719
US 2004242573	A1	20041202	US 2004-484569		20040122
ZA 2004000492	A	20050422	ZA 2004-492		20040122
PRIORITY APPLN. INFO.:			SE 2001-2616	A	20010725
			WO 2002-SE1403	W	20020719

OTHER SOURCE(S): MARPAT 138:137162
GI



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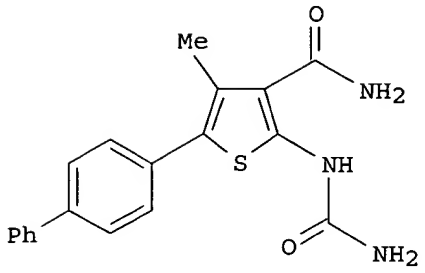


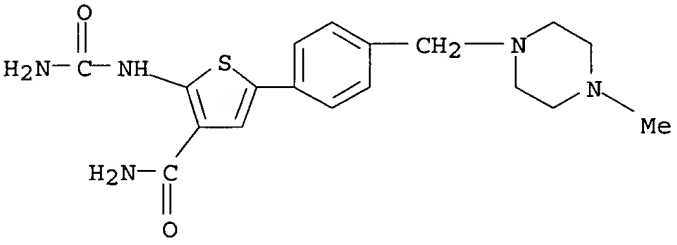
II

AB Title compds. I [R1 = NH2, (un)substituted methyl; X = O, S; R2 = H, halo, CN, NO2, amino, carboxamido, carboxy, etc.; A = Ph, 5-7-membered (un)substituted heteroarom. ring; n = 1-2; R3 = W-Y-Z; W = O, SO0-2; amino, CH2(O), bond; Y = (CH2)0-2-T-(CH2)0-2; T = O, CO, alkyl; Z = Ph, 5-6-membered (un)substituted heteroarom. ring, etc.; with specific exceptions] are prepared For instance, (1,1'-biphenyl-4-yl)acetone, cyanoacetamide, sulfur and morpholine in EtOH at 55° are reacted to give 2-Amino-4-methyl-5-(1,1'-biphenyl-4-yl)-3-thiophencarboxamide. This intermediate is treated with trichloroacetyl isocyanate and ammonia in MeOH to give example compound II. Compds. of the invention have IC50 < 10 μM for IKK2 kinase. I are useful for the treatment of inflammatory diseases.

IT **494773-24-9P**, 2-[(Aminocarbonyl)amino]-5-[4-[(4-methylpiperazin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-33-0P**, 2-[(Aminocarbonyl)amino]-5-[4-[(4-hydroxypiperidin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-75-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-tert-butyloxycarbonyl-3-pyrrolidinyl)oxy]phenyl]-3-thiophenecarboxamide **494773-78-3P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-methylpiperidin-2-yl)methoxy]phenyl]-3-thiophenecarboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase)

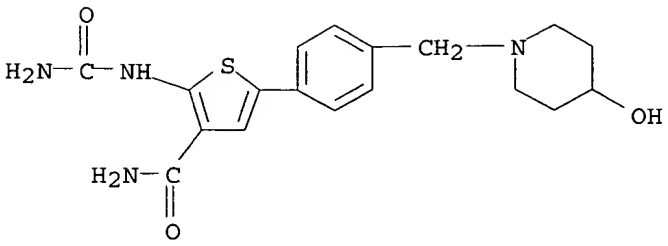
RN 494773-24-9 HCAPLUS

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

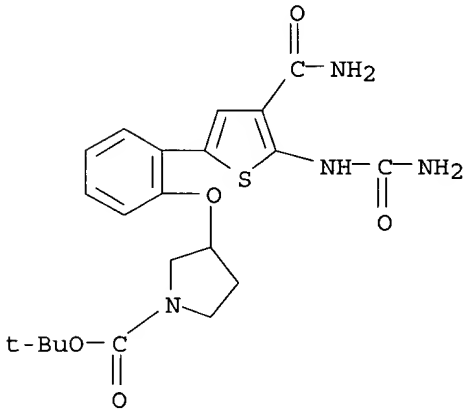


RN 494773-33-0 HCAPLUS

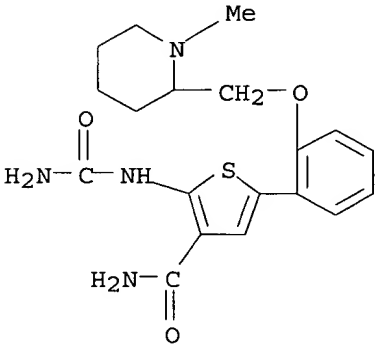
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(4-hydroxy-1-piperidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 494773-75-0 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 494773-78-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(1-methyl-2-piperidinyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



IT **494771-42-5P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-(1,1'-biphenyl-4-yl)-3-thiophenecarboxamide **494771-44-7P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-[(3,5-dimethylisoxazol-4-yl)methoxy]phenyl]-3-thiophenecarboxamide **494771-46-9P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-((4-chlorophenyl)methoxy)phenyl]-3-thiophenecarboxamide **494771-47-0P**, 2-[(Aminocarbonyl)amino]-4-

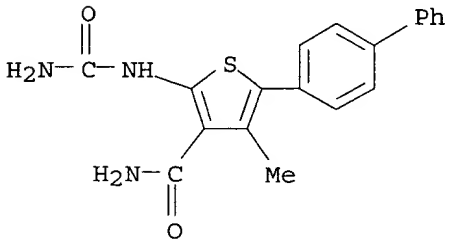
methyl-5-[4-[(5-chlorothien-2-yl)methoxy]phenyl]-3-thiophenecarboxamide **494771-49-2P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-[2-(2,2,6,6-tetramethylpiperidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494771-52-7P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-[(thiazol-4-yl)methoxy]phenyl]-3-thiophenecarboxamide **494771-55-0P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-[(1,2,5-thiadiazol-3-yl)methoxy]phenyl]-3-thiophenecarboxamide **494771-58-3P** **494772-19-9P**, 2-[(Aminocarbonyl)amino]-5-[4-(1,3,4-oxadiazol-2-yl)phenyl]-3-thiophenecarboxamide **494772-20-2P**, 2-[(Aminocarbonyl)amino]-5-[4-(cyclopropylmethoxy)phenyl]-3-thiophenecarboxamide **494772-21-3P**, 2-[(Aminocarbonyl)amino]-5-[3-(1,3-thiazol-4-ylmethoxy)phenyl]thiophene-3-carboxamide **494772-23-5P**, 2-[(Aminocarbonyl)amino]-5-[4-(morpholin-4-ylmethyl)phenyl]thiophene-3-carboxamide **494772-41-7P**, 2-[(Aminocarbonyl)amino]-5-(2-benzyloxyphenyl)-3-thiophenecarboxamide **494772-42-8P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-fluorophenylmethoxy)phenyl]-3-thiophenecarboxamide **494772-44-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(4-fluorophenyl)ethoxy]phenyl]-3-thiophenecarboxamide **494772-46-2P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(4-chlorophenyl)ethoxy]phenyl]-3-thiophenecarboxamide **494772-48-4P**, 2-[(Aminocarbonyl)amino]-5-[2-(2-phenylethoxy)phenyl]-3-thiophenecarboxamide **494772-52-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(morpholinyl)ethylsulfanyl]phenyl]-3-thiophenecarboxamide **494772-54-2P** **494772-56-4P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(piperidinyl)ethylsulfanyl]phenyl]-3-thiophenecarboxamide **494772-58-6P**, 2-[(Aminocarbonyl)amino]-5-[4-(pyrrolidinyl)phenyl]-3-thiophenecarboxamide **494772-59-7P**, 2-[(Aminocarbonyl)amino]-5-[4-(piperidinyl)phenyl]-3-thiophenecarboxamide **494772-60-0P**, 2-[(Aminocarbonyl)amino]-5-[4-(imidazolyl)phenyl]-3-thiophenecarboxamide **494772-63-3P**, 2-[(Aminocarbonyl)amino]-5-[4-[2-(2-methoxyethoxy)ethoxy]phenyl]-3-thiophenecarboxamide **494772-64-4P**, 2-[(Aminocarbonyl)amino]-5-[4-[2-((cyclopropyl)methoxy)ethoxy]phenyl]-3-thiophenecarboxamide **494772-68-8P**, 2-[(Aminocarbonyl)amino]-5-[3-chloro-4-(tetrahydrofuran-2-ylmethoxy)phenyl]-3-thiophenecarboxamide **494772-70-2P**, 2-[(Aminocarbonyl)amino]-5-[4-(tetrahydrofuran-2-ylmethoxy)phenyl]-3-thiophenecarboxamide **494772-74-6P**, 2-[(Aminocarbonyl)amino]-5-[4-[2-(2-methoxyethoxy)ethoxy]-3-methylphenyl]-3-thiophenecarboxamide **494772-76-8P**, 2-[(Aminocarbonyl)amino]-5-[3-chloro-4-[2-(2-methoxyethoxy)ethoxy]phenyl]-3-thiophenecarboxamide **494772-78-0P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-methylpiperazinylmethyl)phenyl]-3-thiophenecarboxamide **494772-80-4P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-isopropylpiperazinylmethyl)phenyl]-3-thiophenecarboxamide **494772-81-5P**, 2-[(Aminocarbonyl)amino]-5-[4-(pyrrolidinylmethyl)phenyl]thiophene-3-carboxamide **494772-82-6P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(4,4-difluoropiperidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494772-84-8P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(3,3-difluoropyrrolidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494772-86-0P**, 3-[(Aminocarbonyl)amino]-5-[4-(morpholin-4-ylmethyl)phenyl]thiophene-2-carboxamide **494772-91-7P**, 3-[(Aminocarbonyl)amino]-5-[4-(cis-2,6-dimethylmorpholin-4-ylmethyl)phenyl]thiophene-2-carboxamide **494772-93-9P**, 2-[(Aminocarbonyl)amino]-5-[4-(cis-2,6-dimethylmorpholin-4-ylmethyl)phenyl]thiophene-3-carboxamide **494772-95-1P**, 2-[(Aminocarbonyl)amino]-5-[[4-(8-oxa-3-azabicyclo[3.2.1]octan-3-yl)methyl]phenyl]thiophene-3-carboxamide **494772-97-3P**, 2-[(Aminocarbonyl)amino]-5-[3-(morpholin-4-ylmethyl)-4-isobutoxyphenyl]thiophene-3-carboxamide **494772-99-5P**, 2-[(Aminocarbonyl)amino]-5-[3-(morpholin-4-ylmethyl)phenyl]thiophene-3-

carboxamide **494773-00-1P**, 2-[(Aminocarbonyl)amino]-5-[4-[[2-(methoxymethyl)morpholin-4-yl]methyl]phenyl]thiophene-3-carboxamide **494773-02-3P**, 2-[(Aminocarbonyl)amino]-5-[3-fluoro-4-(morpholin-4-ylmethyl)phenyl]thiophene-3-carboxamide **494773-03-4P** **494773-05-6P**, 2-[(Aminocarbonyl)amino]-5-[4-[(4,4-difluoropiperidin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-07-8P**, 2-[(Aminocarbonyl)amino]-5-[4-[1-(piperidin-1-yl)ethyl]phenyl]thiophene-3-carboxamide **494773-09-0P** **494773-11-4P**, 2-[(Aminocarbonyl)amino]-5-[4-[[4-(2-methoxyethyl)piperazin-1-yl]methyl]phenyl]thiophene-3-carboxamide **494773-13-6P**, 2-[(Aminocarbonyl)amino]-5-[4-((piperidin-1-yl)methyl)phenyl]thiophene-3-carboxamide **494773-14-7P**, 2-[(Aminocarbonyl)amino]-5-[4-[[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptan-5-yl]methyl]phenyl]thiophene-3-carboxamide **494773-16-9P**, 5-[4-[(4-Acetylpiperazin-1-yl)methyl]phenyl]-2-[(aminocarbonyl)amino]thiophene-3-carboxamide **494773-18-1P**, 2-[(Aminocarbonyl)amino]-5-[4-(1,4-oxazepan-4-ylmethyl)phenyl]thiophene-3-carboxamide **494773-20-5P** **494773-22-7P**, 2-[(Aminocarbonyl)amino]-5-[4-[1-methyl-1-(morpholin-4-yl)ethyl]phenyl]thiophene-3-carboxamide **494773-26-1P**, 2-[(Aminocarbonyl)amino]-5-[4-[(2-ethoxycarbonylpiperidin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-27-2P**, 2-[(Aminocarbonyl)amino]-5-[4-[(3-diethylaminocarbonylpiperidin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-28-3P**, 2-[(Aminocarbonyl)amino]-5-[4-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl]thiophene-3-carboxamide **494773-29-4P** **494773-30-7P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-[4-((morpholinyl)methyl)phenyl]-3-thiophenecarboxamide **494773-34-1P** , 2-[(Aminocarbonyl)amino]-5-(2-(piperazin-1-yl)phenyl)thiophene-3-carboxamide **494773-37-4P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-methylpiperazin-1-yl)phenyl]thiophene-3-carboxamide **494773-38-5P** , 2-[(Aminocarbonyl)amino]-5-[2-[3-(methylamino)pyrrolidin-1-yl]phenyl]thiophene-3-carboxamide **494773-41-0P**, 2-[(Aminocarbonyl)amino]-5-[4-(cyclopentyloxy)-2-[2-(piperidin-1-yl)ethoxy]phenyl]thiophene-3-carboxamide **494773-46-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(piperidin-1-yl)ethoxy]-4-(pyrrolidin-1-yl)phenyl]thiophene-3-carboxamide **494773-50-1P**, 2-[(Aminocarbonyl)amino]-5-[4-(piperidin-1-yl)-2-[2-(piperidin-1-yl)ethoxy]phenyl]thiophene-3-carboxamide **494773-52-3P**, 2-[(Aminocarbonyl)amino]-5-[4-(morpholin-4-ylmethyl)-2-[2-(piperidin-1-yl)ethoxy]phenyl]thiophene-3-carboxamide **494773-55-6P**, 2-[(Aminocarbonyl)amino]-5-[4-(2-methoxyethoxy)-2-(2-(piperidin-1-yl)ethoxy)phenyl]thiophene-3-carboxamide **494773-57-8P** **494773-59-0P**, 2-[(Aminocarbonyl)amino]-5-[2-(2-hydroxyethoxy)phenyl]thiophene-3-carboxamide **494773-61-4P**, (R)-2-[(Aminocarbonyl)amino]-5-[2-((tetrahydrofuran-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494773-62-5P** **494773-64-7P**, 2-[(Aminocarbonyl)amino]-5-[2-((tetrahydropyran-4-yl)oxy)phenyl]-3-thiophenecarboxamide **494773-66-9P**, 2-[(Aminocarbonyl)amino]-5-[2-(cyclopropylmethoxy)phenyl]-3-thiophenecarboxamide **494773-68-1P**, 2-[(Aminocarbonyl)amino]-5-[2-(cyclopentyloxy)phenyl]-3-thiophenecarboxamide **494773-70-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]phenyl]-3-thiophenecarboxamide **494773-73-8P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-ethylpyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494773-77-2P**, 2-[(Aminocarbonyl)amino]-5-[2-((pyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494773-80-7P**, (S)-2-[(Aminocarbonyl)amino]-5-[2-[(1-methylpyrrolidin-2-yl)methoxy]phenyl]-3-thiophenecarboxamide **494773-82-9P**, 2-[(Aminocarbonyl)amino]-5-[2-[[1-(2-methoxyethyl)pyrrolidin-3-yl]oxy]phenyl]-3-thiophenecarboxamide

494773-84-1P, (R)-2-[(Aminocarbonyl)amino]-5-[2-((1-methylpyrrolidin-2-yl)methoxy)phenyl]-3-thiophenecarboxamide **494773-87-4P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(2,2,6-trimethylpiperidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494773-90-9P**, 2-[(Aminocarbonyl)amino]-5-[5-chloro-2-((1-isopropylpyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494773-92-1P**, 2-[(Aminocarbonyl)amino]-5-[4-fluoro-2-[(1-isopropylpyrrolidin-3-yl)oxy]phenyl]-3-thiophenecarboxamide **494773-94-3P**, 2-[(Aminocarbonyl)amino]-5-[4,5-difluoro-2-[(1-isopropylpyrrolidin-3-yl)oxy]phenyl]-3-thiophenecarboxamide **494773-96-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]-5-methylphenyl]-3-thiophenecarboxamide **494773-98-7P 494774-00-4P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]-5-methoxyphenyl]-3-thiophenecarboxamide **494774-02-6P**, 2-[(Aminocarbonyl)amino]-5-[3,5-difluoro-2-[(1-isopropylpyrrolidin-3-yl)oxy]phenyl]-3-thiophenecarboxamide **494774-04-8P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]-3-methoxyphenyl]-3-thiophenecarboxamide **494774-06-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]-5-trifluoromethylphenyl]-3-thiophenecarboxamide **494774-08-2P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-isopropylpyrrolidin-3-yl)oxy)-4-(trifluoromethyl)phenyl]-3-thiophenecarboxamide **494774-10-6P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1-isopropylpyrrolidin-3-yl)oxy]-4-methoxyphenyl]-3-thiophenecarboxamide **494774-12-8P**, 2-[(Aminocarbonyl)amino]-5-[5-fluoro-2-((1-isopropylpyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494774-14-0P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-isopropylpyrrolidin-3-yl)oxy)-3-((morpholin-4-yl)methyl)phenyl]-3-thiophenecarboxamide **494774-16-2P**, 2-[(Aminocarbonyl)amino]-5-[2-[[1-(cyclopropylmethyl)pyrrolidin-3-yl]oxy]phenyl]-3-thiophenecarboxamide **494774-18-4P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-cyclopropylpyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494774-21-9P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(4-fluoropiperidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494774-23-1P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-methylpiperidin-4-yl)oxy)phenyl]-3-thiophenecarboxamide **494774-25-3P**, 2-[(Aminocarbonyl)amino]-5-[2-((1-methylpyrrolidin-3-yl)oxy)phenyl]-3-thiophenecarboxamide **494774-27-5P**, 2-[(Aminocarbonyl)amino]-5-[4-[2-(morpholin-4-yl)acetyl]phenyl]-3-thiophenecarboxamide **494774-28-6P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(4-hydroxy-1-piperidinyl)ethoxy]phenyl]-3-thiophenecarboxamide **494774-30-0P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(2,2,6,6-tetramethylpiperidin-1-yl)ethoxy]phenyl]-3-thiophenecarboxamide **494774-32-2P 494774-34-4P**, 2-[(Aminocarbonyl)amino]-5-[2-[2-(2,5-dimethyl-3-pyrrolin-1-yl)ethoxy]phenyl]thiophene-3-carboxamide **494774-36-6P**, (S)-2-[(Aminocarbonyl)amino]-5-[4-(2-methoxymethylpyrrolidin-1-ylmethyl)phenyl]thiophene-3-carboxamide **494774-37-7P**, 2-[(Aminocarbonyl)amino]-5-[4-((4-aminocarbonylpiperidin-1-yl)methyl)phenyl]thiophene-3-carboxamide **494774-38-8P**, 2-[(Aminocarbonyl)amino]-5-[4-((3-hydroxymethylpiperidin-1-yl)methyl)phenyl]thiophene-3-carboxamide **494774-39-9P**, 2-[(Aminocarbonyl)amino]-5-[4-(4-hydroxymethylpiperidin-1-ylmethyl)phenyl]thiophene-3-carboxamide **494774-40-2P**, 2-[(Aminocarbonyl)amino]-5-[2-[3-(morpholin-4-yl)pyrrolidin-1-yl]phenyl]thiophene-3-carboxamide **494774-43-5P**, 2-[(Aminocarbonyl)amino]-5-[2-[4-(2-methoxyethyl)piperazin-1-yl]phenyl]thiophene-3-carboxamide **494774-45-7P**, 2-[(Aminocarbonyl)amino]-5-[2-[(1S,4S)-2,5-diazabicyclo[2.2.1]heptan-2-yl]phenyl]thiophene-3-carboxamide **494775-33-6P**, 2-[(Aminocarbonyl)amino]-5-[2-((4-(tert-butyloxycarbonyl)piperazinyl)methy

l)phenyl]-3-thiophenecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase)

RN 494771-42-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[1,1'-biphenyl]-4-yl-4-methyl- (9CI) (CA INDEX NAME)



RN 494771-44-7 HCAPLUS
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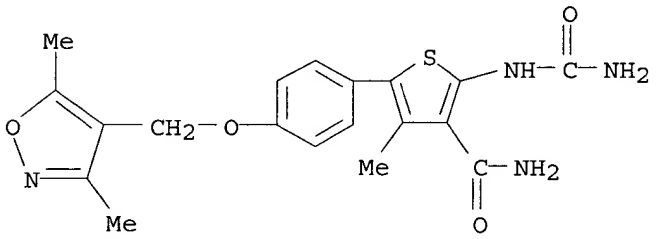
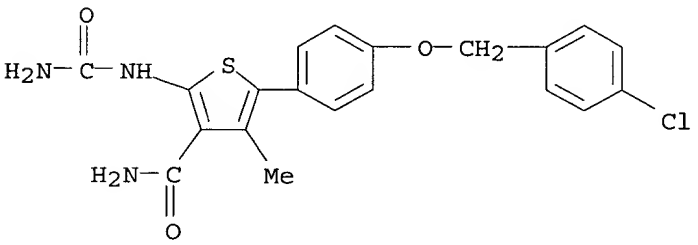
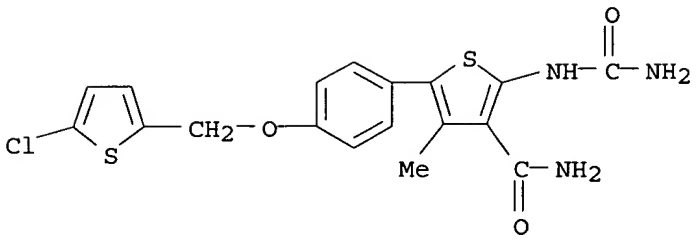


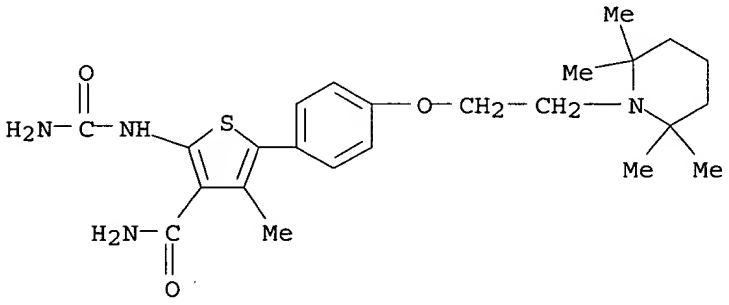
RN 494771-46-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(4-chlorophenyl)methoxy]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



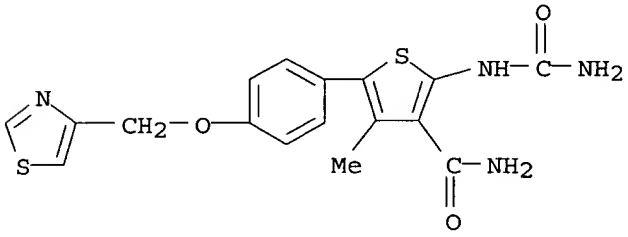
RN 494771-47-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(5-chloro-2-thienyl)methoxy]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



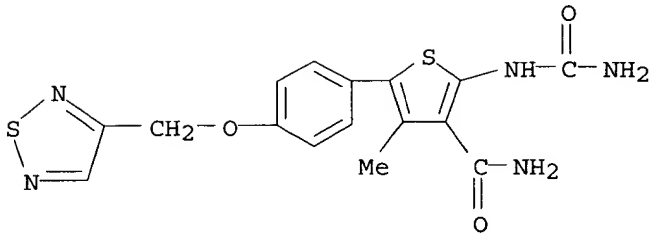
RN 494771-49-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



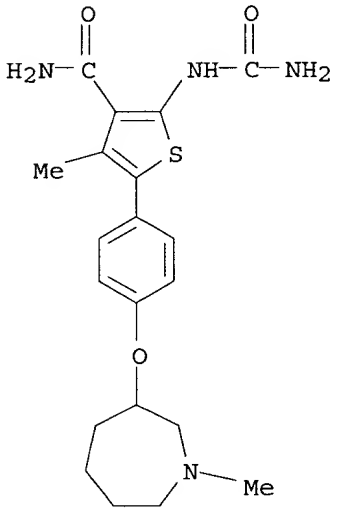
RN 494771-52-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-(4-thiazolylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



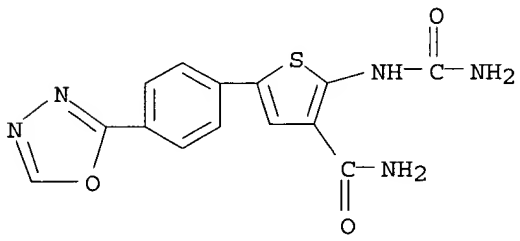
RN 494771-55-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-(1,2,5-thiadiazol-3-ylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 494771-58-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(hexahydro-1-methyl-1H-azepin-3-yl)oxy]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 494772-19-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1,3,4-oxadiazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 494772-20-2 HCAPLUS
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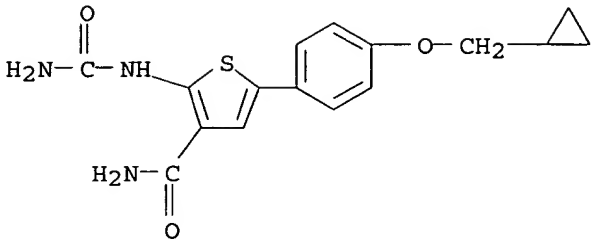
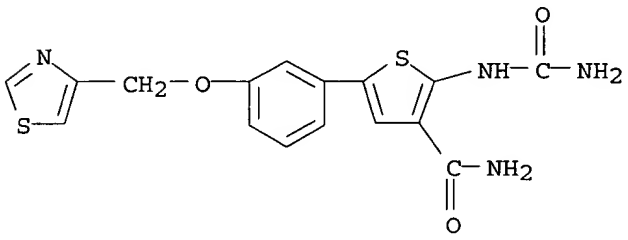
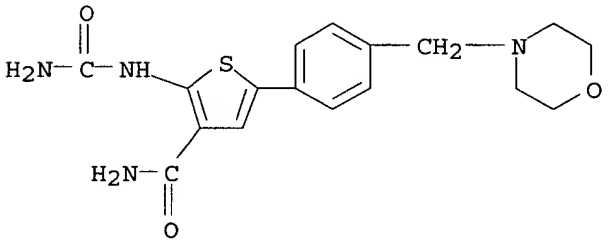


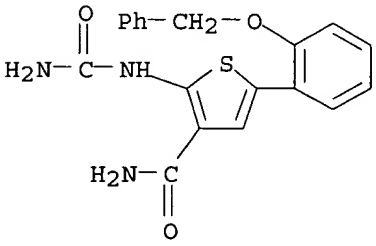
RN 494772-21-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-(4-thiazolylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



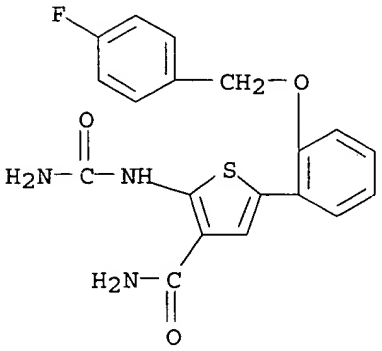
RN 494772-23-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



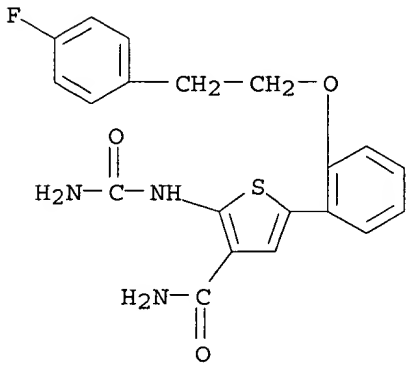
RN 494772-41-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



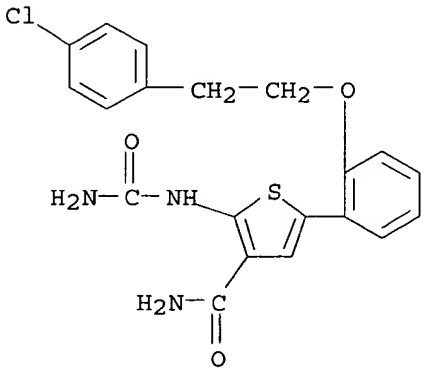
RN 494772-42-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(4-fluorophenyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



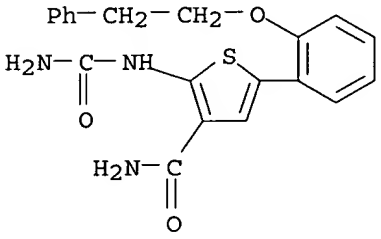
RN 494772-44-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(4-fluorophenyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



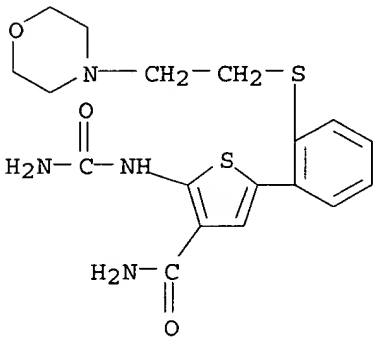
RN 494772-46-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(4-chlorophenyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



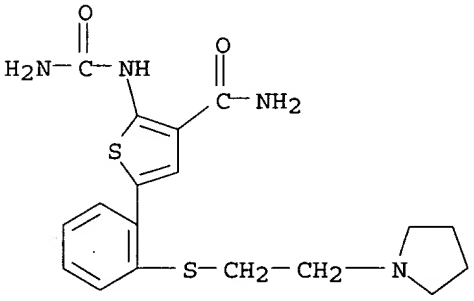
RN 494772-48-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(2-phenylethoxy)phenyl]- (9CI) (CA INDEX NAME)



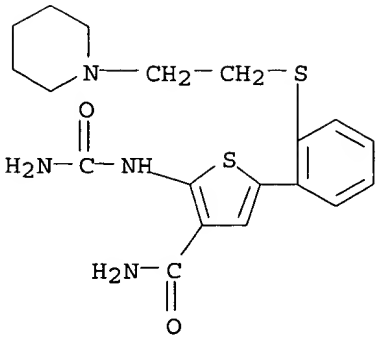
RN 494772-52-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[2-(4-morpholinyl)ethyl]thio]phenyl]- (9CI) (CA INDEX NAME)



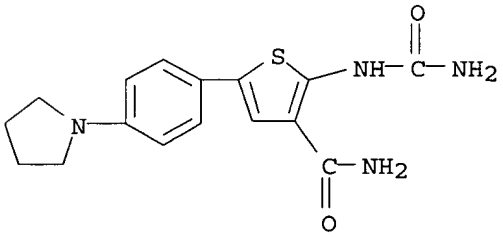
RN 494772-54-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[2-(1-pyrrolidinyl)ethyl]thio]phenyl]- (9CI) (CA INDEX NAME)



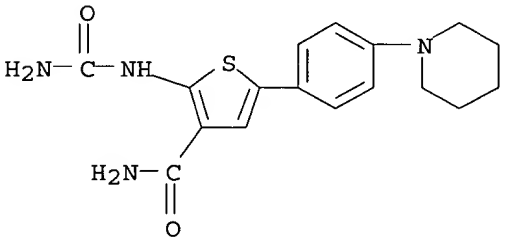
RN 494772-56-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[2-(1-piperidinyl)ethyl]thio]phenyl]- (9CI) (CA INDEX NAME)



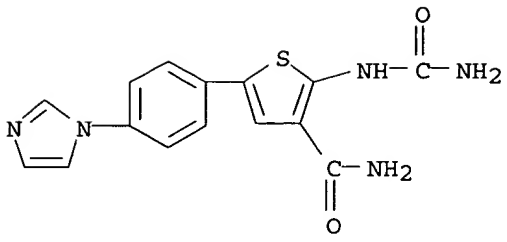
RN 494772-58-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



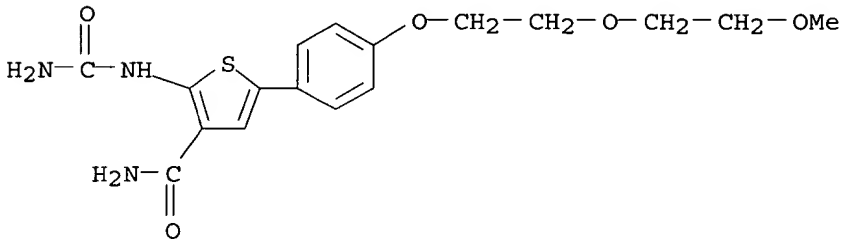
RN 494772-59-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



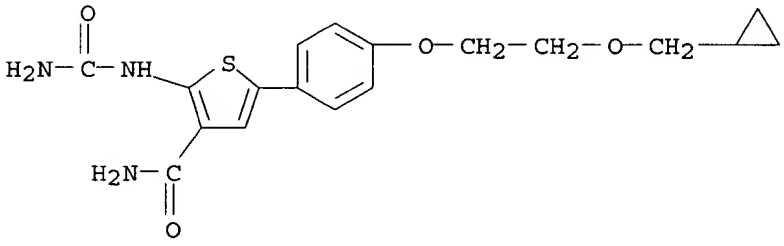
RN 494772-60-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



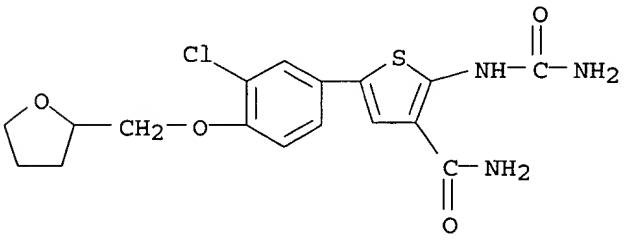
RN 494772-63-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(2-methoxyethoxy)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



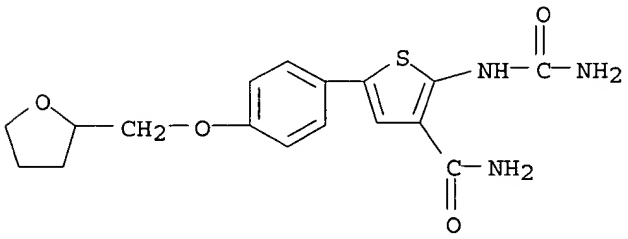
RN 494772-64-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(cyclopropylmethoxy)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



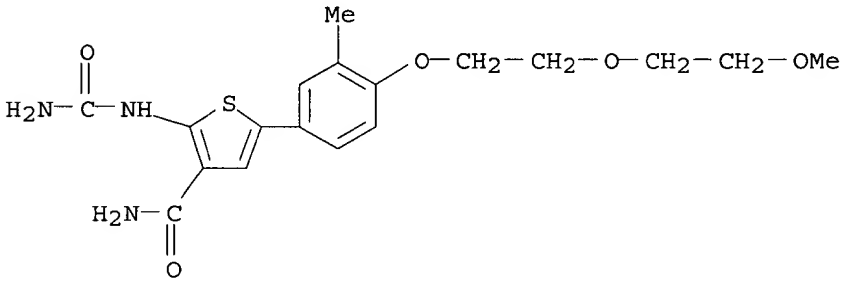
RN 494772-68-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-chloro-4-[(tetrahydro-2-furanyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



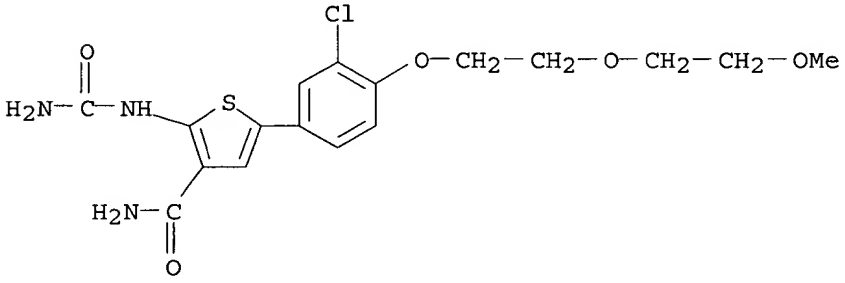
RN 494772-70-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(tetrahydro-2-furanyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



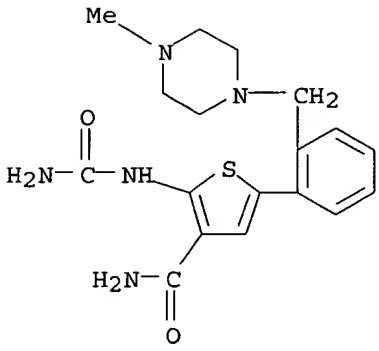
RN 494772-74-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(2-methoxyethoxy)ethoxy]-3-methylphenyl]- (9CI) (CA INDEX NAME)



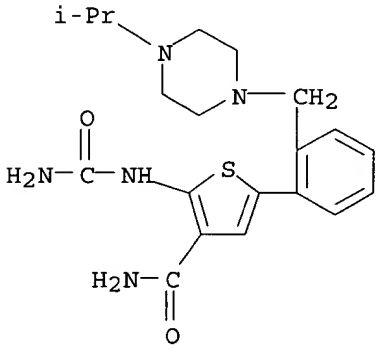
RN 494772-76-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-chloro-4-[2-(2-methoxyethoxy)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



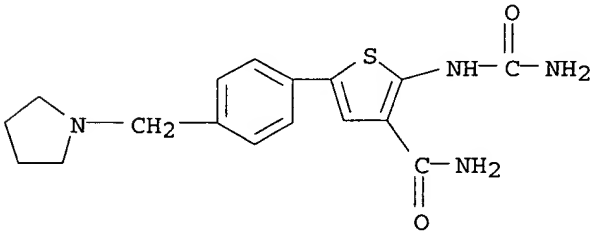
RN 494772-78-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



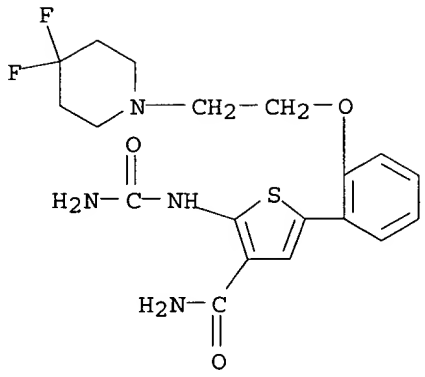
RN 494772-80-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[4-(1-methylethyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



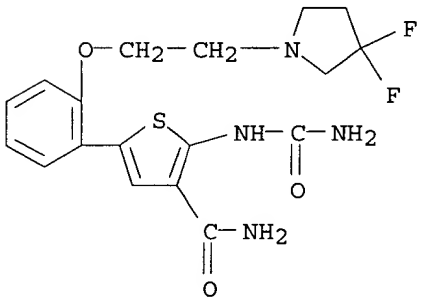
RN 494772-81-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



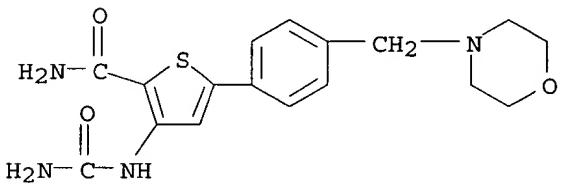
RN 494772-82-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(4,4-difluoro-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494772-84-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(3,3-difluoro-1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

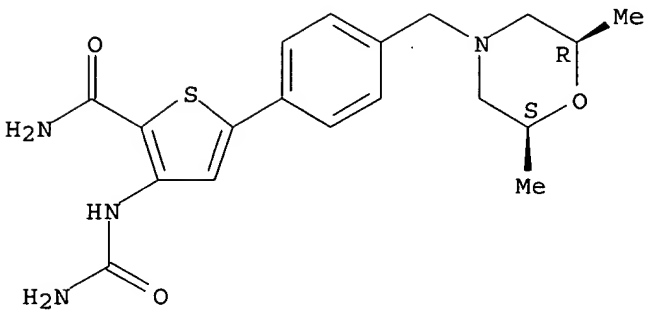


RN 494772-86-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



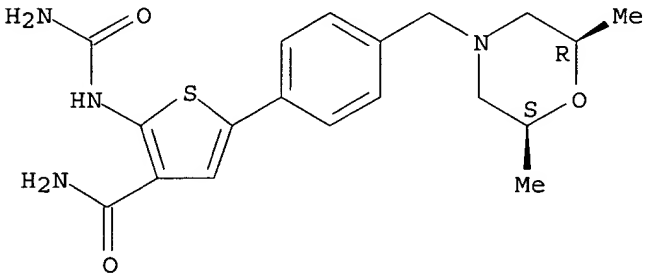
RN 494772-91-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]methyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

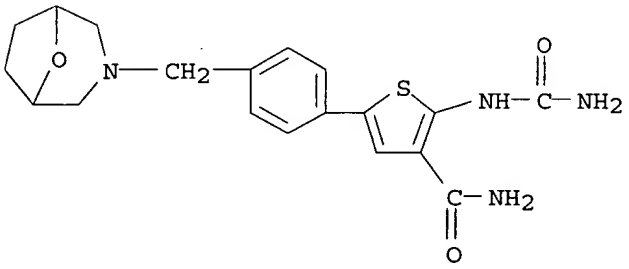


RN 494772-93-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]methyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

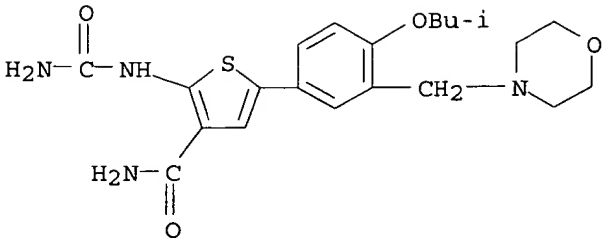
Relative stereochemistry.



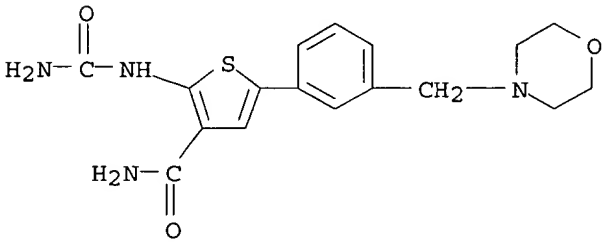
RN 494772-95-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(8-oxa-3-azabicyclo[3.2.1]oct-3-ylmethyl)phenyl]- (9CI) (CA INDEX NAME)



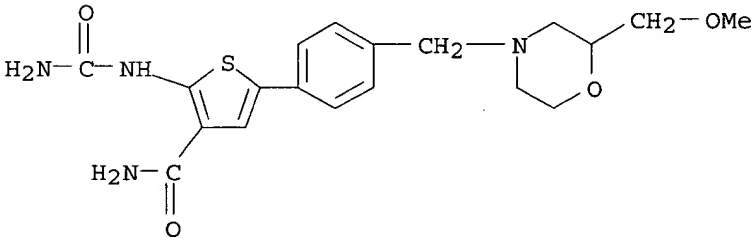
RN 494772-97-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(2-methylpropoxy)-3-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



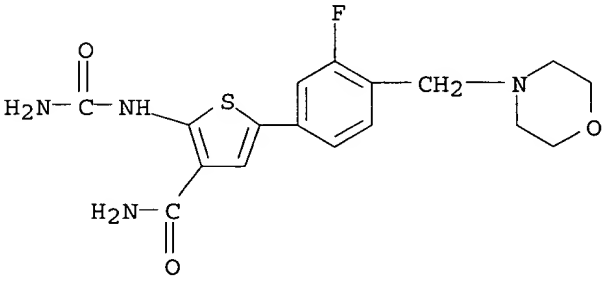
RN 494772-99-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



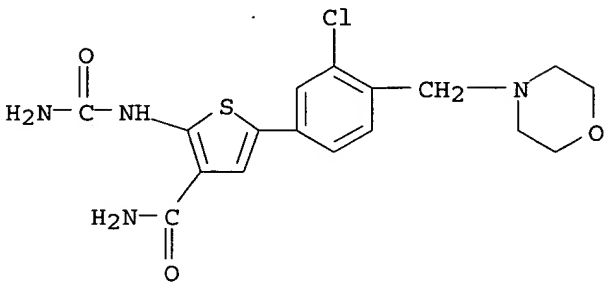
RN 494773-00-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[2-(methoxymethyl)-4-morpholinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



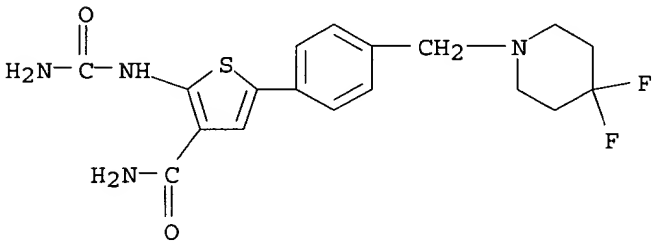
RN 494773-02-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-fluoro-4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



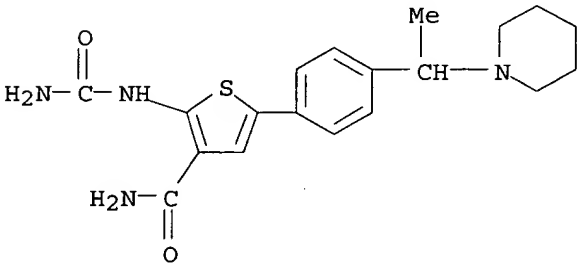
RN 494773-03-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-chloro-4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 494773-05-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(4,4-difluoro-1-piperidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

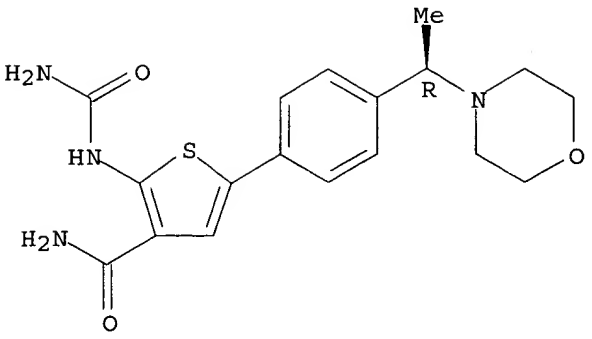


RN 494773-07-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[1-(1-piperidinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

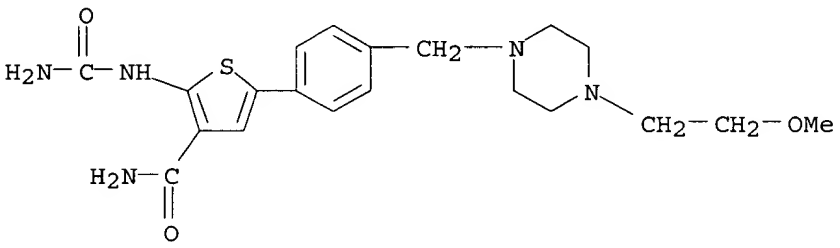


RN 494773-09-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(1R)-1-(4-morpholinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

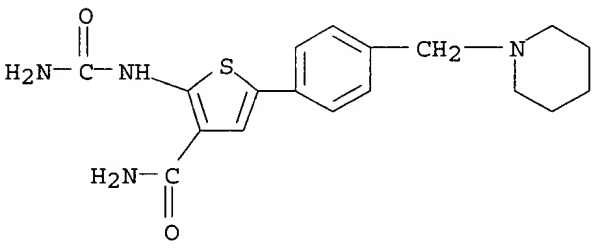
Absolute stereochemistry.



RN 494773-11-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

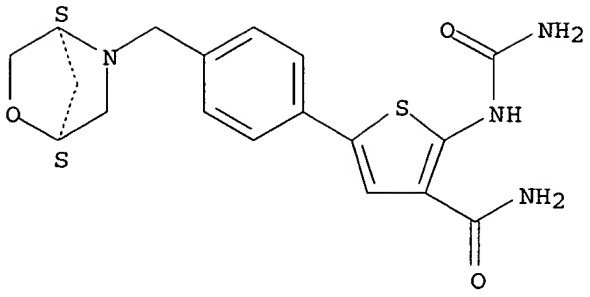


RN 494773-13-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

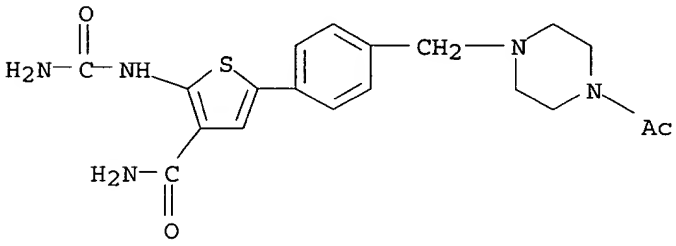


RN 494773-14-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylmethyl]phenyl]- (9CI) (CA INDEX NAME)

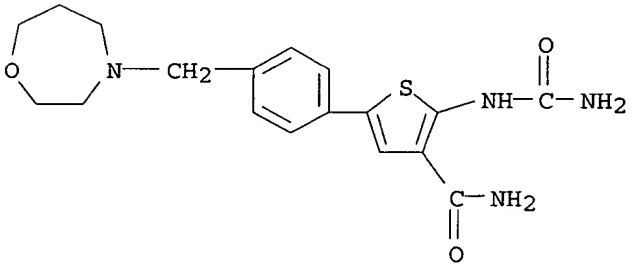
Absolute stereochemistry.



RN 494773-16-9 HCAPLUS
CN 3-Thiophenecarboxamide, 5-[4-[(4-acetyl-1-piperazinyl)methyl]phenyl]-2-[(aminocarbonyl)amino]- (9CI) (CA INDEX NAME)

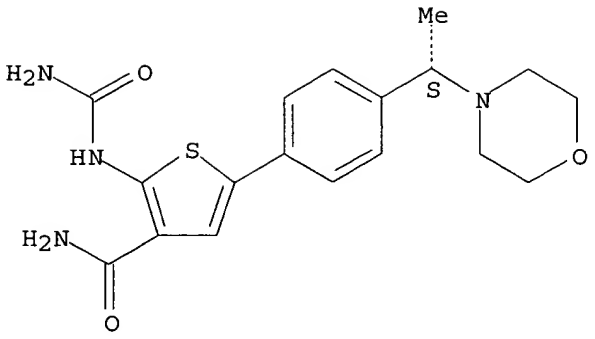


RN 494773-18-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(tetrahydro-1,4-oxazepin-4(5H)-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)

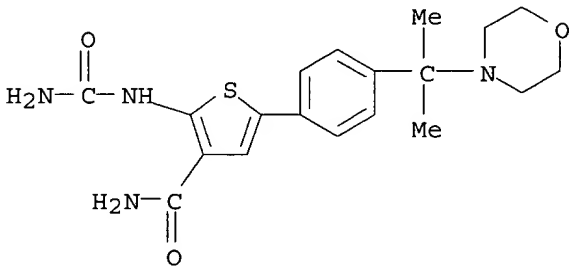


RN 494773-20-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(1S)-1-(4-morpholinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

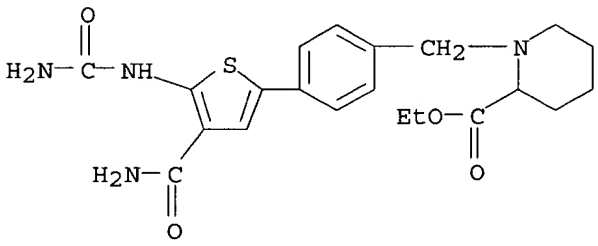
Absolute stereochemistry.



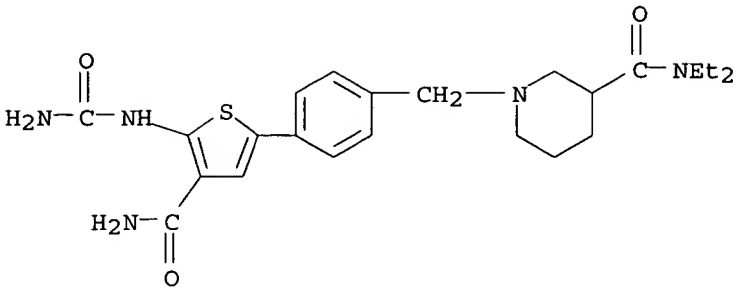
RN 494773-22-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[1-methyl-1-(4-morpholinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



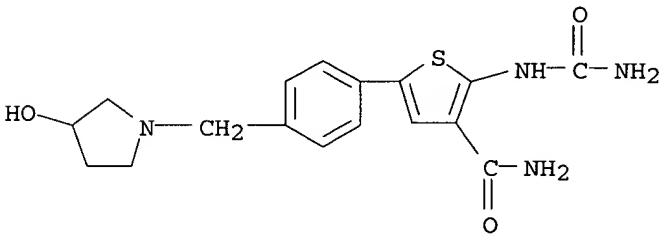
RN 494773-26-1 HCAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



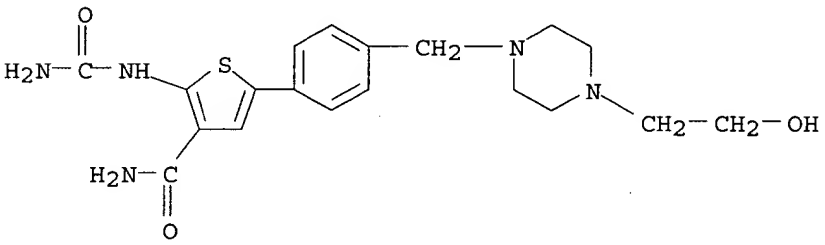
RN 494773-27-2 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[[4-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



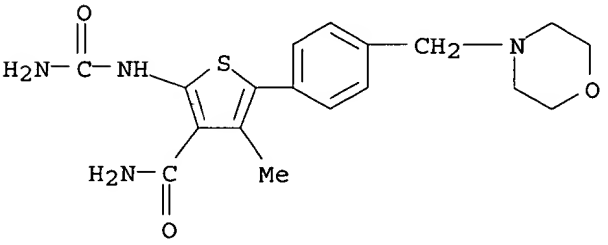
RN 494773-28-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[(3-hydroxy-1-pyrrolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



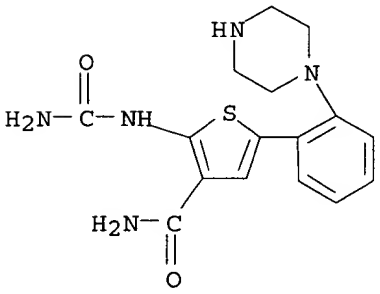
RN 494773-29-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



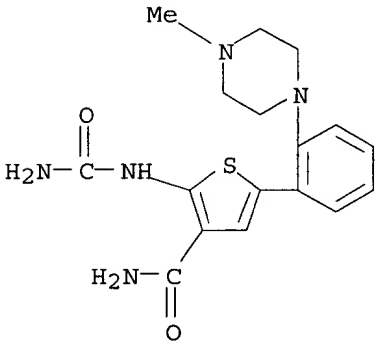
RN 494773-30-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



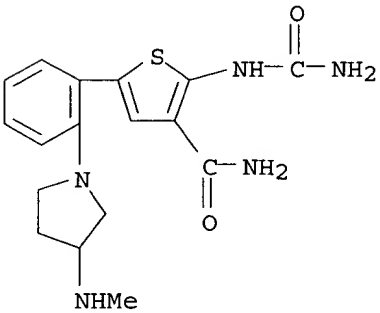
RN 494773-34-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



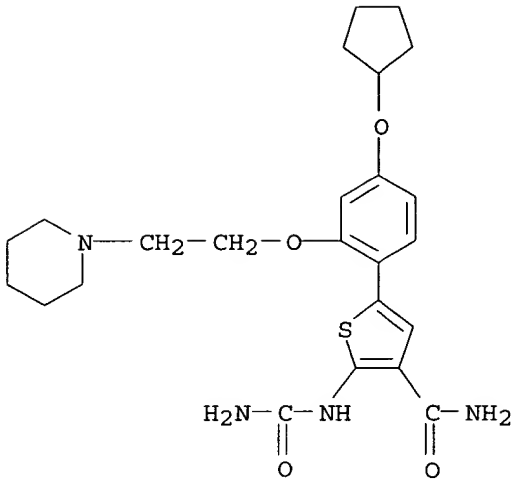
RN 494773-37-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



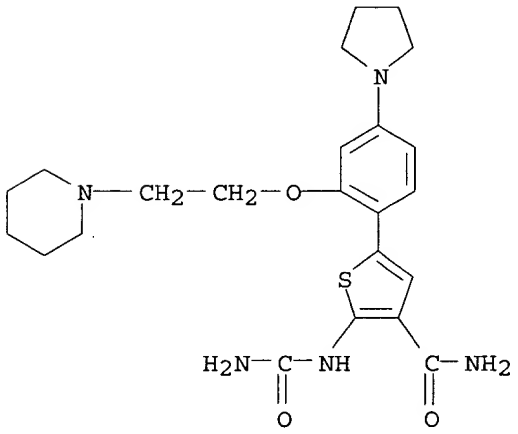
RN 494773-38-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[3-(methylamino)-1-pyrrolidinyl]phenyl]- (9CI) (CA INDEX NAME)



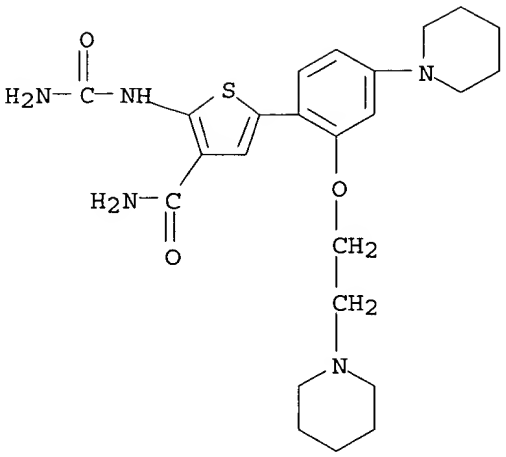
RN 494773-41-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(cyclopentyloxy)-2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



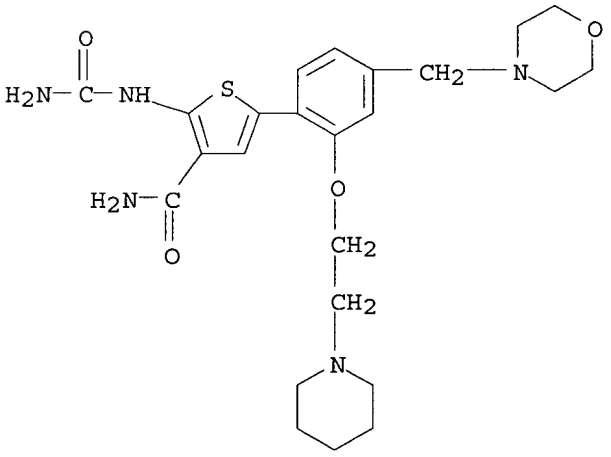
RN 494773-46-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(1-piperidinyl)ethoxy]-4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



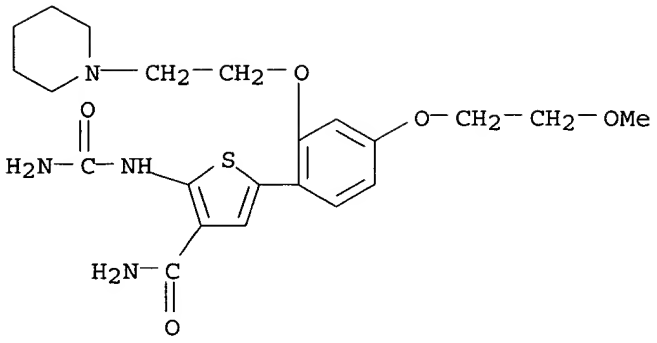
RN 494773-50-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(1-piperidinyl)-2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



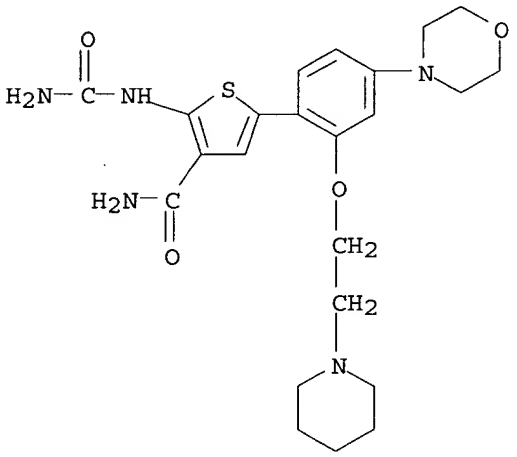
RN 494773-52-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(4-morpholinylmethyl)-2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



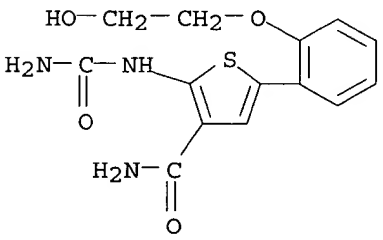
RN 494773-55-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(2-methoxyethoxy)-2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494773-57-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(4-morpholinyl)-2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

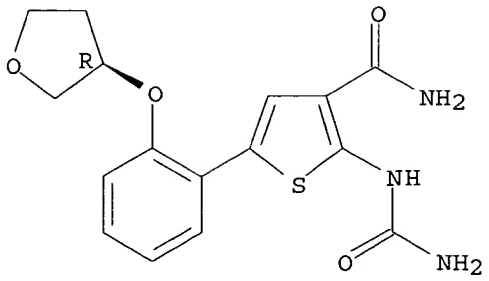


RN 494773-59-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(2-hydroxyethoxy)phenyl]- (9CI) (CA INDEX NAME)



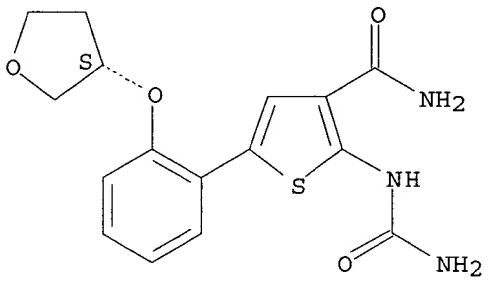
RN 494773-61-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

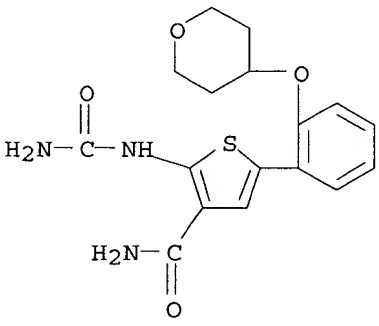


RN 494773-62-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[(3S)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

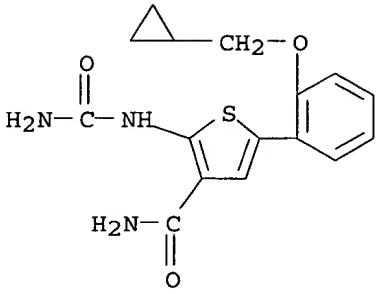
Absolute stereochemistry.



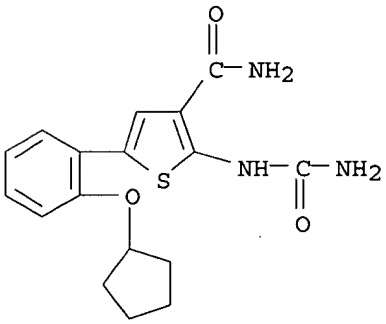
RN 494773-64-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)



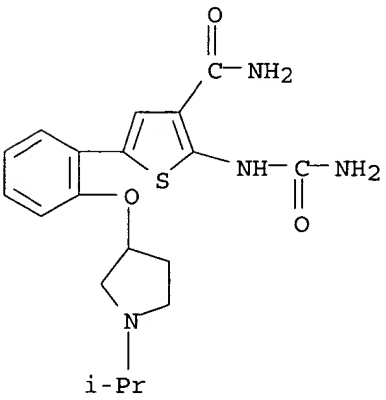
RN 494773-66-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(cyclopropylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



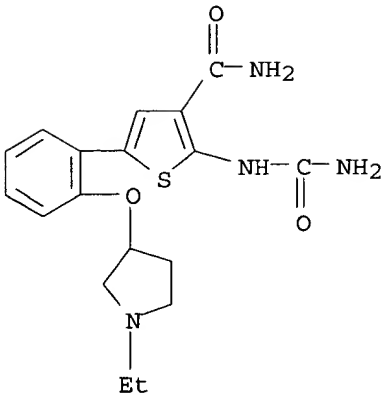
RN 494773-68-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(cyclopentyloxy)phenyl]- (9CI) (CA INDEX NAME)



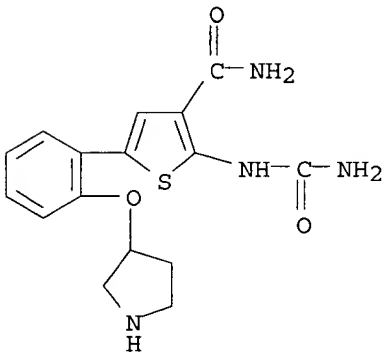
RN 494773-70-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494773-73-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(1-ethyl-3-pyrrolidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

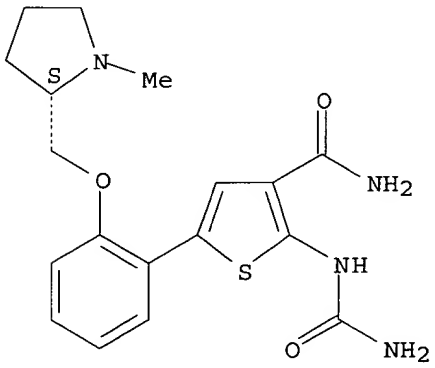


RN 494773-77-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(3-pyrrolidinyloxy)phenyl]- (9CI) (CA INDEX NAME)



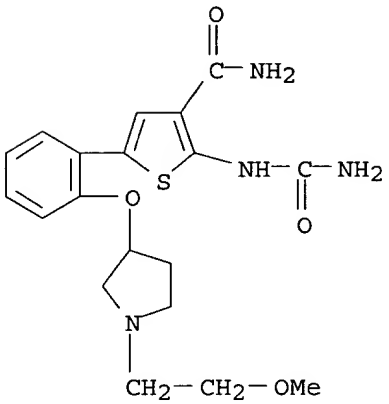
RN 494773-80-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



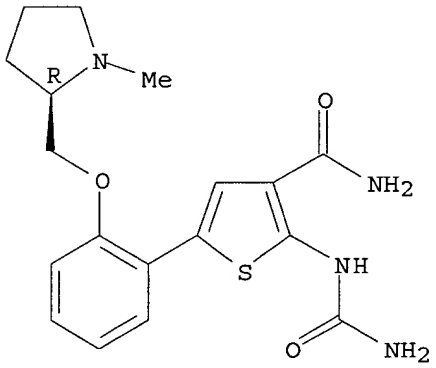
RN 494773-82-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(2-methoxyethyl)-

3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

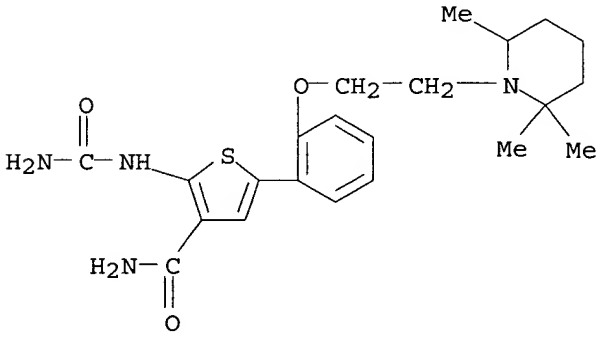


RN 494773-84-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

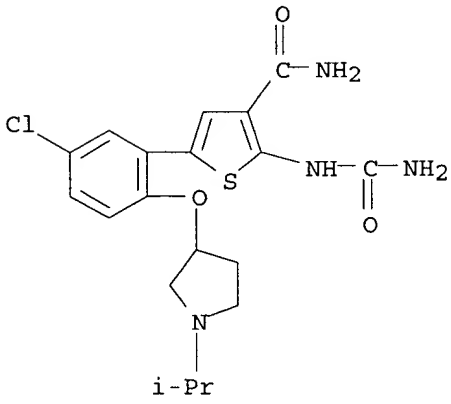


RN 494773-87-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(2,2,6-trimethyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

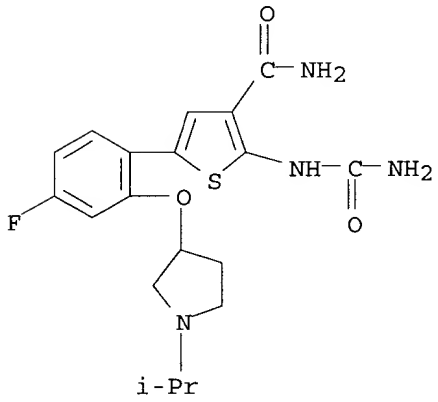


RN 494773-90-9 HCAPLUS

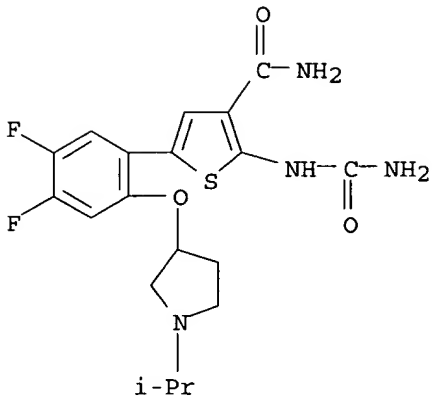
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[5-chloro-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



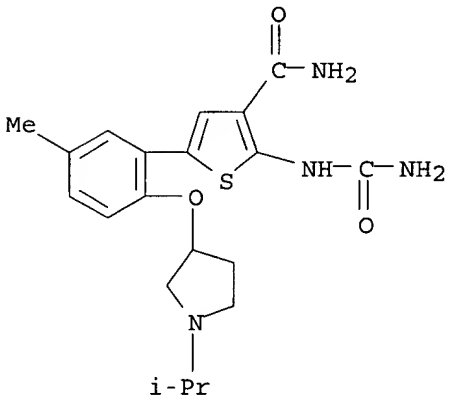
RN 494773-92-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-fluoro-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



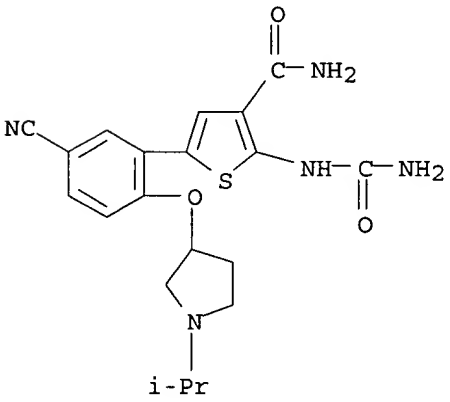
RN 494773-94-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4,5-difluoro-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494773-96-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[5-methyl-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

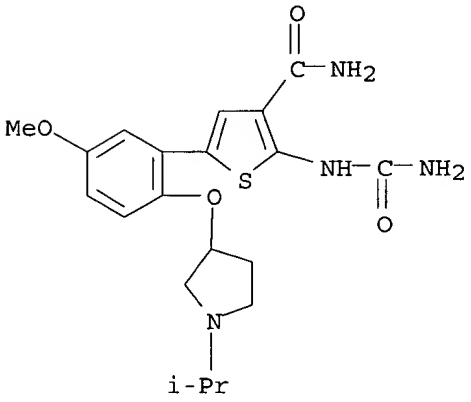


RN 494773-98-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[5-cyano-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

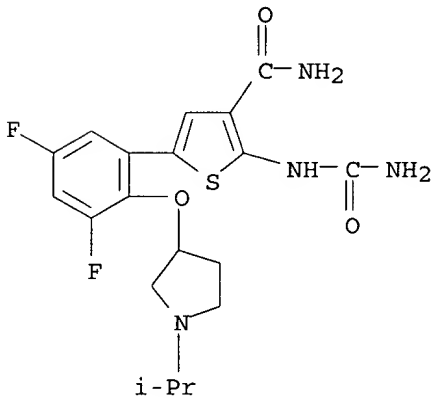


RN 494774-00-4 HCAPLUS

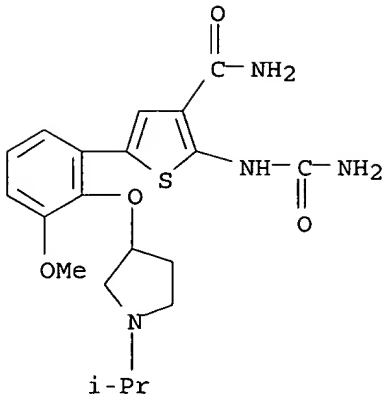
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[5-methoxy-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



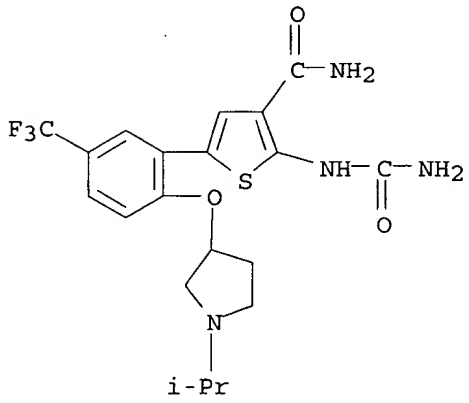
RN 494774-02-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3,5-difluoro-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



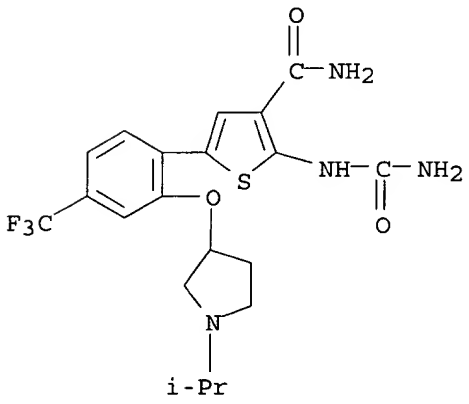
RN 494774-04-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[3-methoxy-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494774-06-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

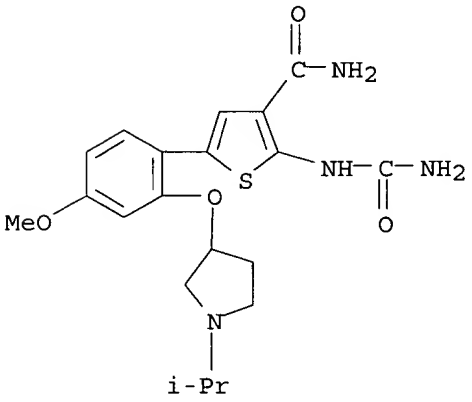


RN 494774-08-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

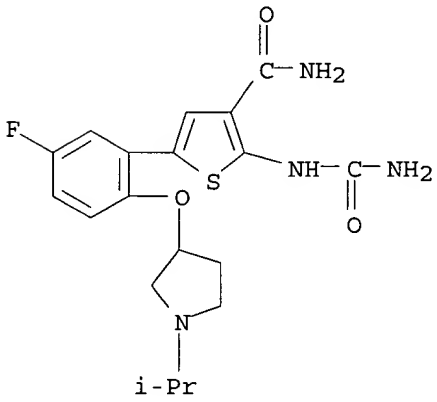


RN 494774-10-6 HCAPLUS

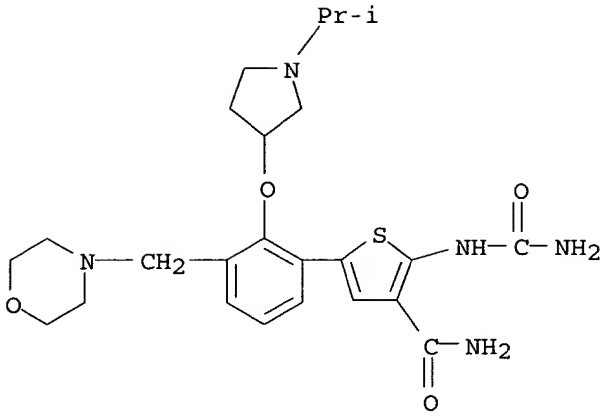
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-methoxy-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



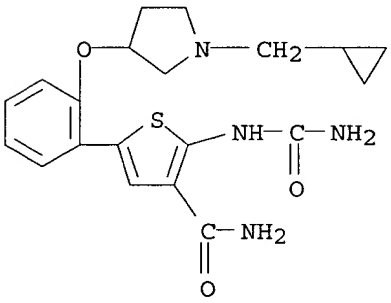
RN 494774-12-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[5-fluoro-2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



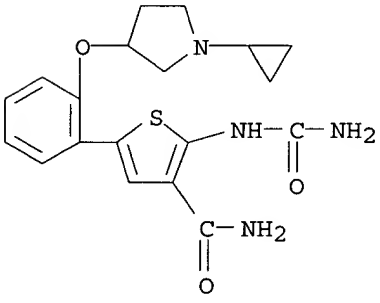
RN 494774-14-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]-3-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



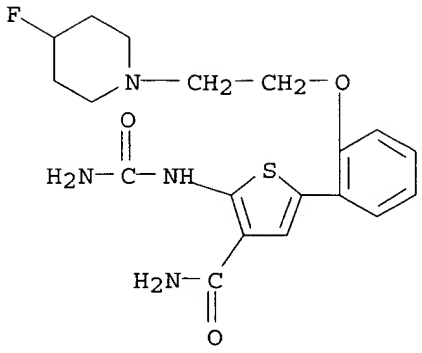
RN 494774-16-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[[1-(cyclopropylmethyl)-3-pyrrolidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



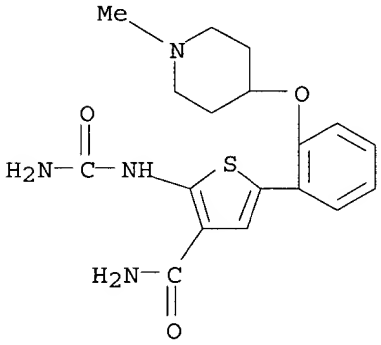
RN 494774-18-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(1-cyclopropyl-3-pyrrolidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



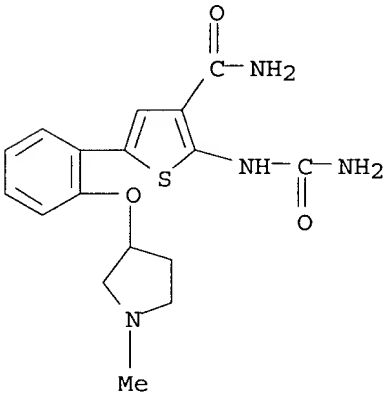
RN 494774-21-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(4-fluoro-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



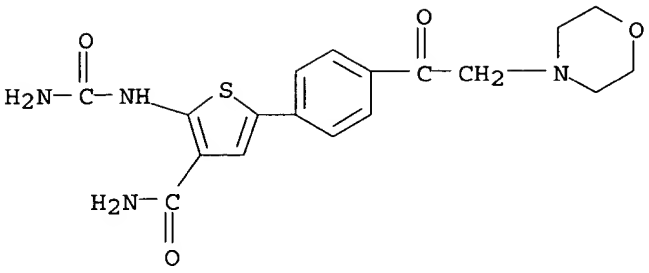
RN 494774-23-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



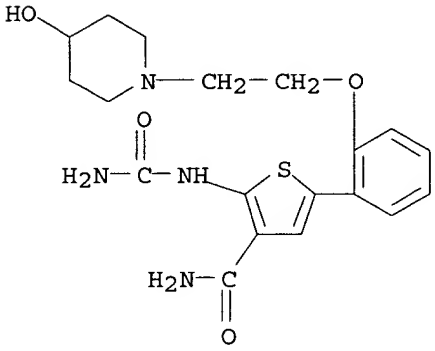
RN 494774-25-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



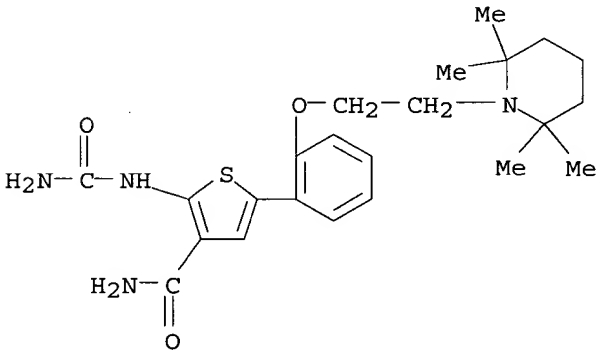
RN 494774-27-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(4-morpholinylacetyl)phenyl]- (9CI) (CA INDEX NAME)



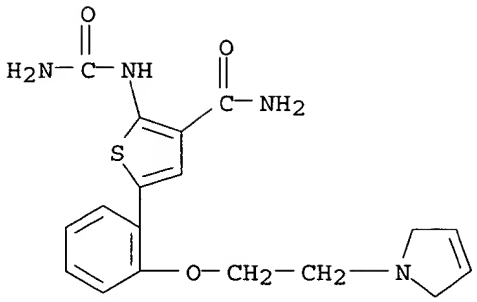
RN 494774-28-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(4-hydroxy-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



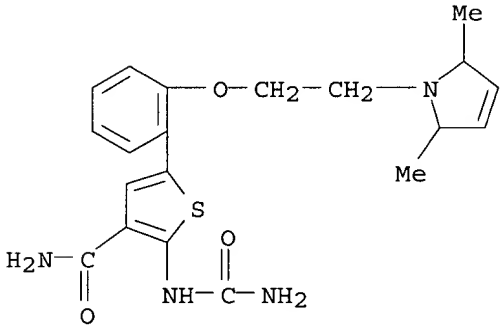
RN 494774-30-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 494774-32-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(2,5-dihydro-1H-pyrrol-1-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

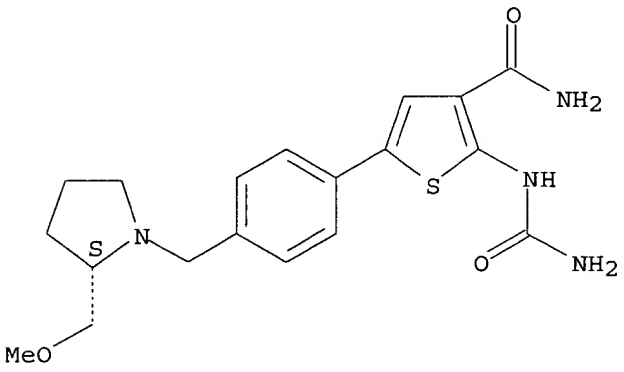


RN 494774-34-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[2-(2,5-dihydro-2,5-dimethyl-1H-pyrrol-1-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

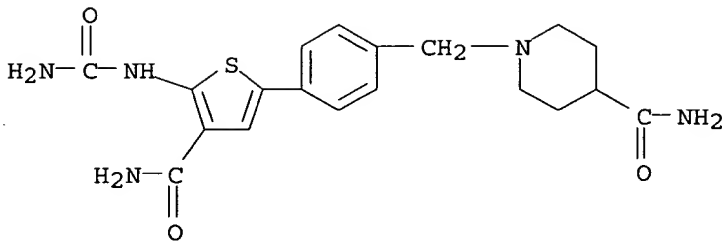


RN 494774-36-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

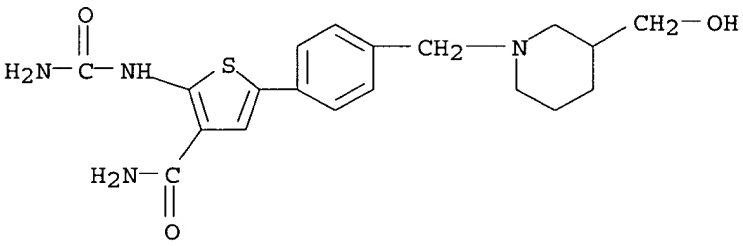
Absolute stereochemistry.



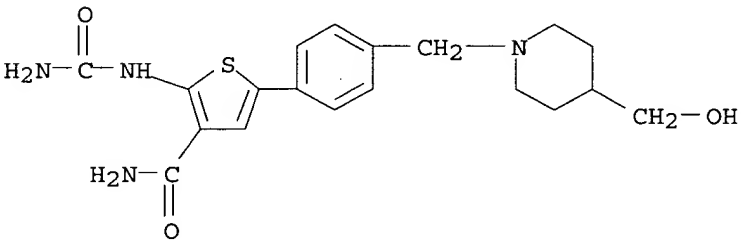
RN 494774-37-7 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



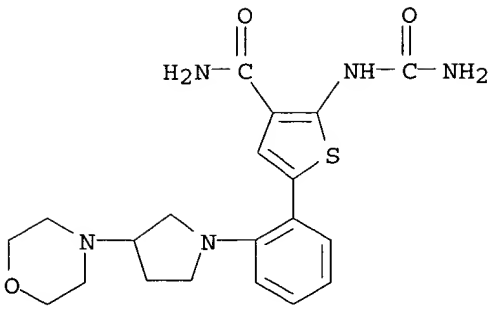
RN 494774-38-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[3-(hydroxymethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



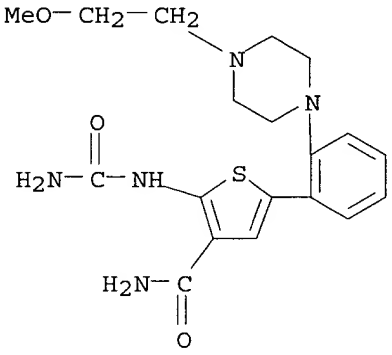
RN 494774-39-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[[4-(hydroxymethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 494774-40-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[3-(4-morpholinyl)-1-pyrrolidinyl]phenyl]- (9CI) (CA INDEX NAME)

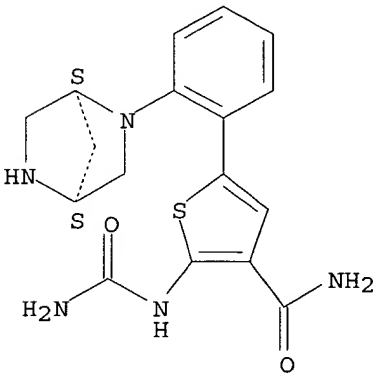


RN 494774-43-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

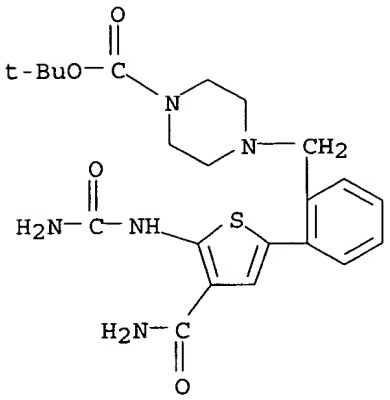


RN 494774-45-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[2-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-ylphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

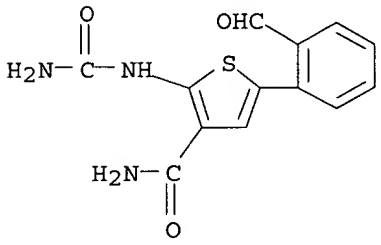


RN 494775-33-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

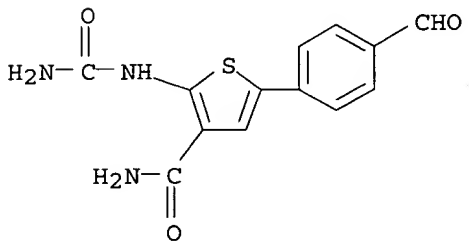


IT **494772-79-1P**, 2-[(Aminocarbonyl)amino]-5-(2-formylphenyl)-3-thiophenecarboxamide **494773-25-0P**, 2-[(Aminocarbonyl)amino]-5-(4-formylphenyl)thiophene-3-carboxamide **494773-36-3P**, 2-[(Aminocarbonyl)amino]-5-[2-(4-tert-butyloxycarbonylpiperazin-1-yl)phenyl]thiophene-3-carboxamide **494773-40-9P**, 2-[(Aminocarbonyl)amino]-5-[2-[3-(N-tert-butyloxycarbonyl-N-methylamino)pyrrolidin-1-yl]phenyl]thiophene-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase)

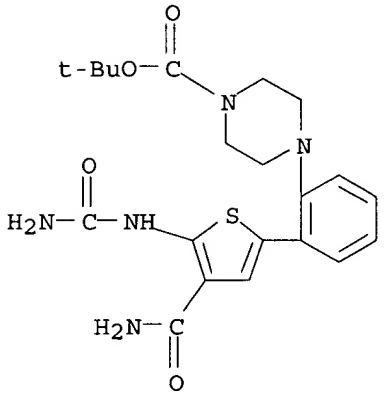
RN 494772-79-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2-formylphenyl)- (9CI) (CA INDEX NAME)



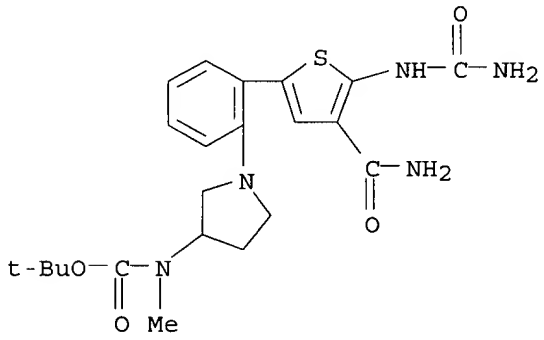
RN 494773-25-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-formylphenyl)- (9CI) (CA INDEX NAME)



RN 494773-36-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 494773-40-9 HCAPLUS
CN Carbamic acid, [1-[2-[4-(aminocarbonyl)-5-[(aminocarbonyl)amino]-2-thienyl]phenyl]-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



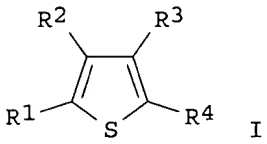
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:293385 HCAPLUS
DOCUMENT NUMBER: 136:325411
TITLE: Preparation of 2-aminothiophene-3-carboxamides as NF-κB inhibitors
INVENTOR(S): Callahan, James F.; Roshak, Amy K.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002030353	A2	20020418	WO 2001-US31866	20011012
WO 2002030353	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011663	A5	20020422	AU 2002-11663	20011012
EP 1324759	A2	20030709	EP 2001-979731	20011012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523476	T2	20040805	JP 2002-533800	20011012
US 2004024047	A1	20040205	US 2003-398847	20030410
PRIORITY APPLN. INFO.:			US 2000-239759P	P 20001012
			WO 2001-US31866	W 20011012

OTHER SOURCE(S): MARPAT 136:325411
GI



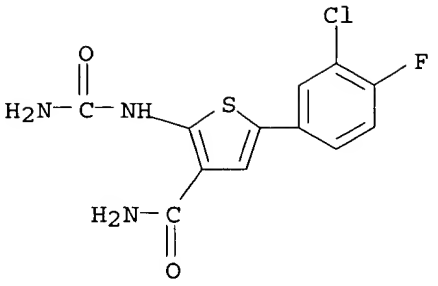
I

AB The title compds. [I; R1 = NR5R6; R2 = CONH2, SO2NH2; R3 = H, halo; R4 = aryl, heteroaryl; R5 = H, alkyl; R6 = H, COalkyl, SO2alkyl, etc.], useful as inhibitors of IKK-β phosphorylation of IκB, were prepared Thus, treating (4-fluorophenyl)ethanol with PCC in CH2Cl2 followed by reacting the resulting (4-fluorophenyl)acetaldehyde with sulfur and 2-cyanoacetamide in the presence of Et3N in DMF afforded 2-amino-5-(4-fluorophenyl)thiophene-3-carboxamide.

IT **412914-58-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminothiophene-3-carboxamides as NF-κB inhibitors)

RN 412914-58-0 HCAPLUS

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:597977 HCAPLUS
DOCUMENT NUMBER: 135:180698
TITLE: Preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2
INVENTOR(S): Baxter, Andrew; Brough, Stephen; Faull, Alan; Johnstone, Craig; Mcinally, Thomas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001058890	A1	20010816	WO 2001-SE248		20010207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2396824	AA	20010816	CA 2001-2396824		20010207
EP 1261600	A1	20021204	EP 2001-902951		20010207
EP 1261600	B1	20040506			
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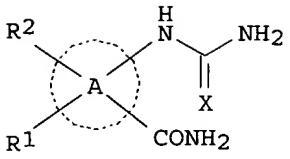
BR 2001008143	A	20030121	BR 2001-8143		20010207
JP 2003522766	T2	20030729	JP 2001-558440		20010207
AT 266019	E	20040515	AT 2001-902951		20010207
NZ 519947	A	20040528	NZ 2001-519947		20010207
PT 1261600	T	20040831	PT 2001-902951		20010207
ES 2218376	T3	20041116	ES 2001-1902951		20010207
AU 781047	B2	20050505	AU 2001-30705		20010207
US 2002107252	A1	20020808	US 2002-868884 -		20020205
ZA 2002005300	A	20031002	ZA 2002-5300		20020702
NO 2002003786	A	20020923	NO 2002-3786		20020809
PRIORITY APPLN. INFO.:			GB 2000-3154	A	20000212
			WO 2001-SE248	W	20010207

OTHER SOURCE(S): MARPAT 135:180698
GI

↓ pregrant Pub



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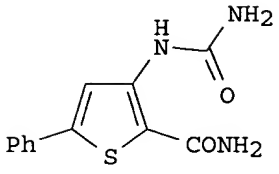
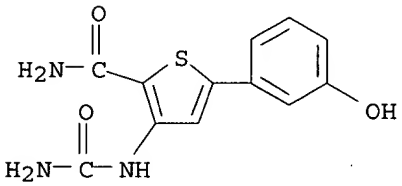


II

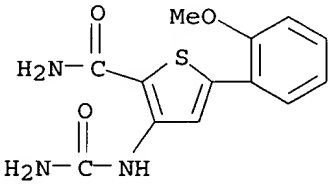
AB The title compds. [I; A = 5-membered heteroarom. ring containing 1-2 heteroatoms selected from O, N or S; R1 = (un)substituted Ph, 5-7 membered heteroarom. ring containing 1-3 heteroatoms selected from O, N or S; R2 = H, halo, CN, etc.; X = O, S], useful in the treatment or prophylaxis of inflammatory disease, were prepared Thus, refluxing 3-amino-5-phenyl-2-thiophenecarboxamide with trimethylsilyl isocyanate in DMF/CH2Cl2 afforded II.

IT **354811-01-1P 354811-06-6P 354811-31-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2)

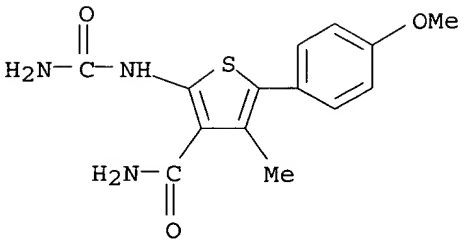
RN 354811-01-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 354811-06-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

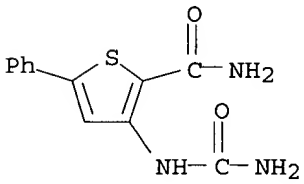


RN 354811-31-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)

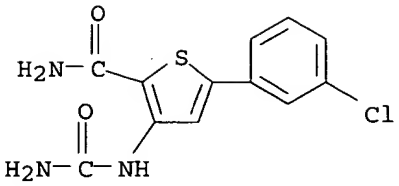


IT **354810-80-3P 354810-83-6P 354810-86-9P**
354810-88-1P 354810-90-5P 354810-95-0P
354811-04-4P 354811-07-7P 354811-08-8P
354811-09-9P 354811-10-2P 354811-11-3P
354811-12-4P 354811-13-5P 354811-14-6P
354811-15-7P 354811-16-8P 354811-17-9P
354811-18-0P 354811-19-1P 354811-20-4P
354811-23-7P 354811-26-0P 354811-27-1P
354811-28-2P 354811-29-3P 354811-30-6P
354811-32-8P 354811-33-9P 354811-34-0P
354811-35-1P 354811-36-2P 354811-37-3P
354811-38-4P 354811-39-5P 354811-40-8P
354811-41-9P 354811-42-0P 354811-48-6P
354811-49-7P 354811-50-0P 354811-51-1P
354811-52-2P 354811-54-4P 354811-56-6P
354811-58-8P 354811-59-9P 354811-60-2P
354811-66-8P 354811-67-9P 354811-68-0P
354811-79-3P 354811-80-6P 354811-81-7P
354811-82-8P 354811-83-9P 354811-84-0P
354811-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2)

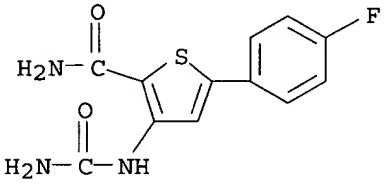
RN 354810-80-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)



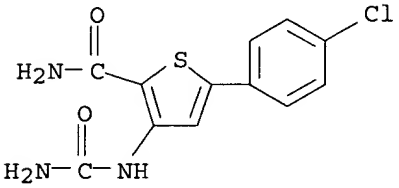
RN 354810-83-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



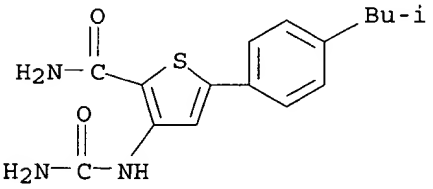
RN 354810-86-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



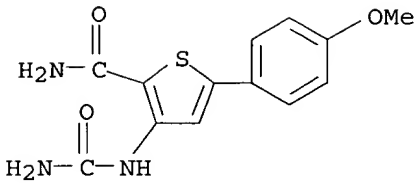
RN 354810-88-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



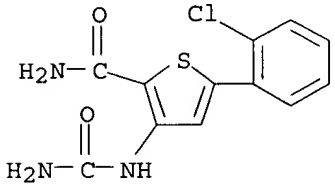
RN 354810-90-5 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-(2-methylpropyl)phenyl]- (9CI) (CA INDEX NAME)



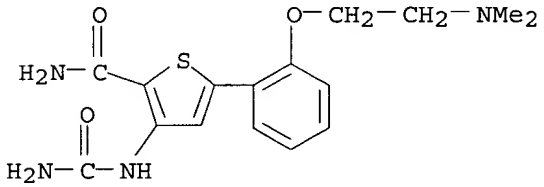
RN 354810-95-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



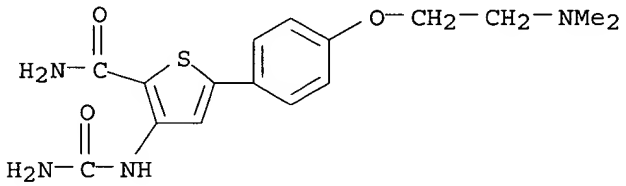
RN 354811-04-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



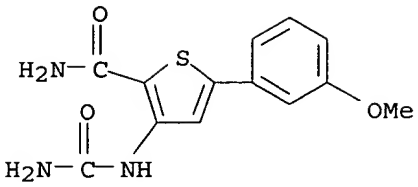
RN 354811-07-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



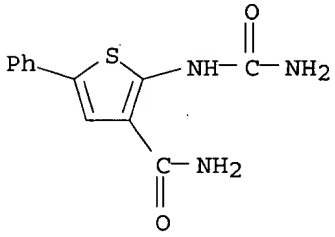
RN 354811-08-8 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



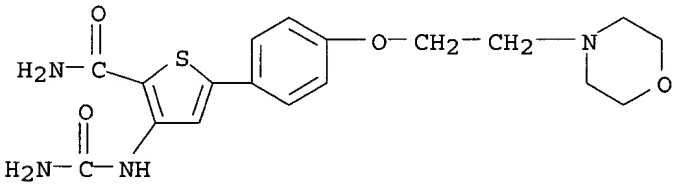
RN 354811-09-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



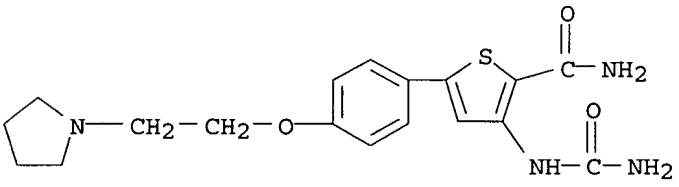
RN 354811-10-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)



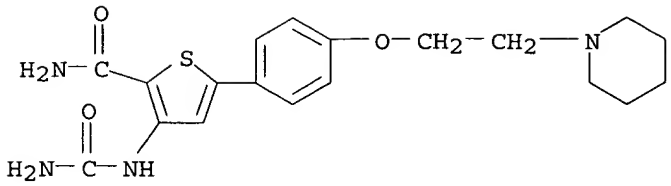
RN 354811-11-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



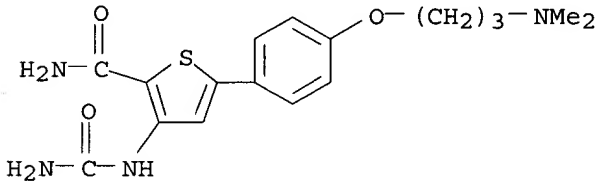
RN 354811-12-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



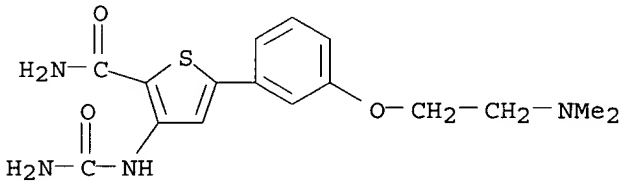
RN 354811-13-5 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



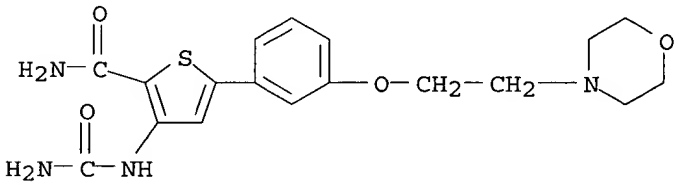
RN 354811-14-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[4-[3-(dimethylamino)propoxy]phenyl]- (9CI) (CA INDEX NAME)



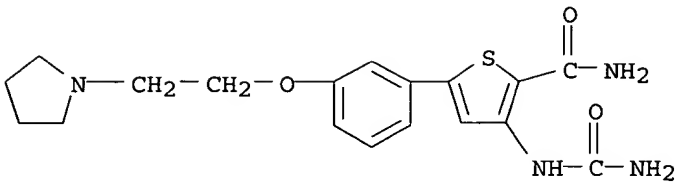
RN 354811-15-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[3-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



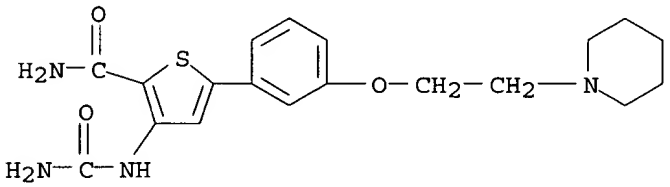
RN 354811-16-8 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



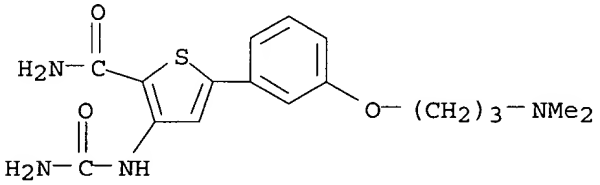
RN 354811-17-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



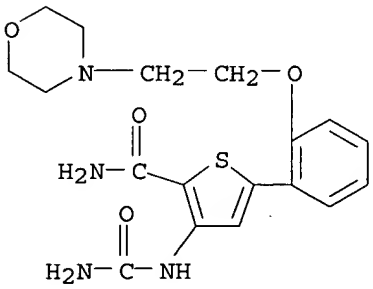
RN 354811-18-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



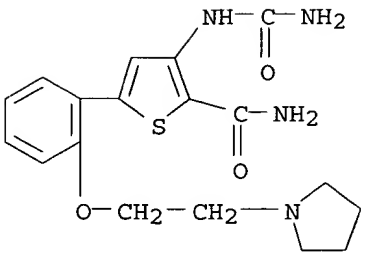
RN 354811-19-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[3-[3-(dimethylamino)propoxy]phenyl]- (9CI) (CA INDEX NAME)



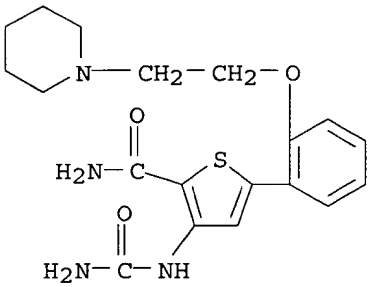
RN 354811-20-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



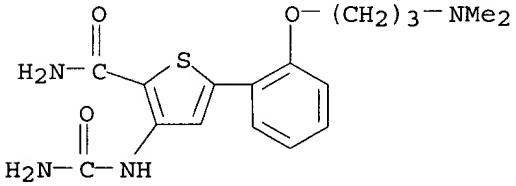
RN 354811-23-7 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



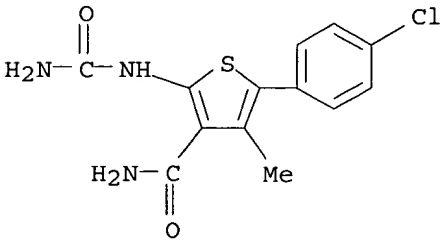
RN 354811-26-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-27-1 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[3-(dimethylamino)propoxy]phenyl]- (9CI) (CA INDEX NAME)

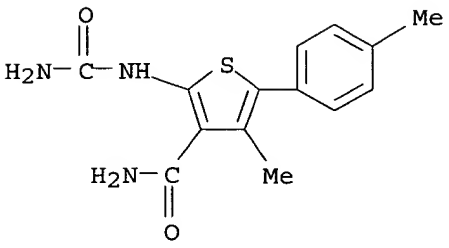


RN 354811-28-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

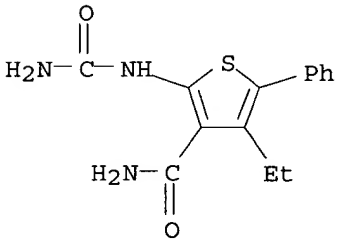


RN 354811-29-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-(4-

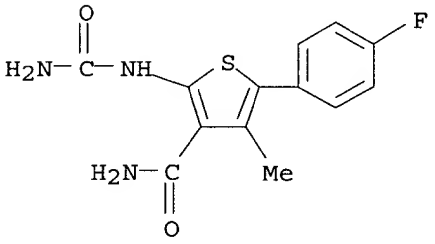
methylphenyl)- (9CI) (CA INDEX NAME)



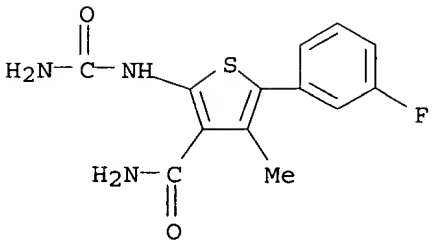
RN 354811-30-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-ethyl-5-phenyl- (9CI) (CA INDEX NAME)



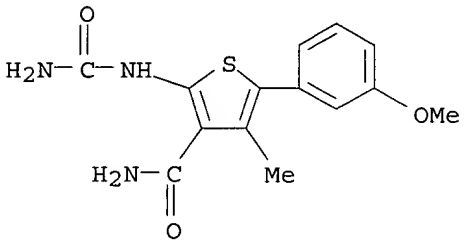
RN 354811-32-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



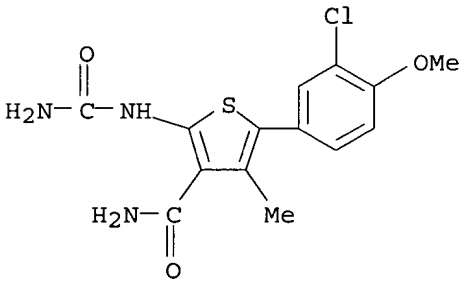
RN 354811-33-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-fluorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



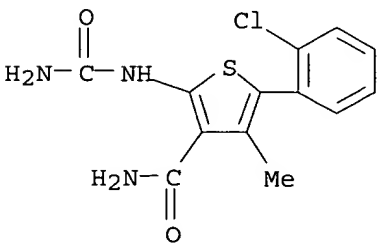
RN 354811-34-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-methoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)



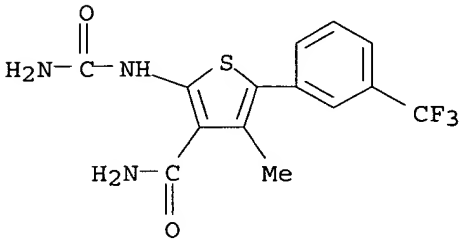
RN 354811-35-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3-chloro-4-methoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)



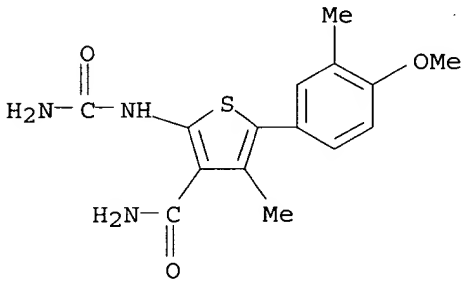
RN 354811-36-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



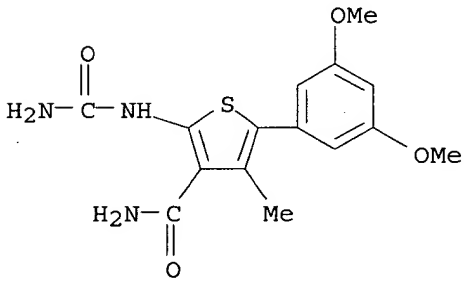
RN 354811-37-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



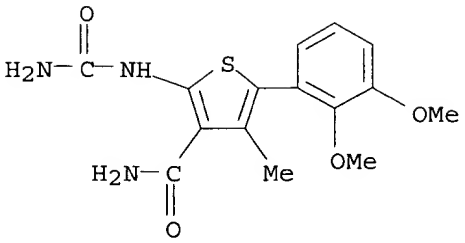
RN 354811-38-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-methoxy-3-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)



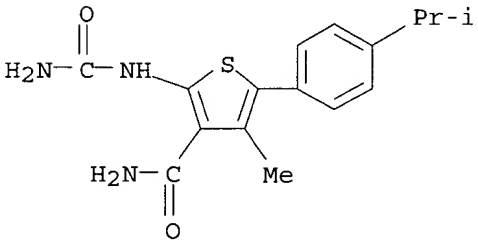
RN 354811-39-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3,5-dimethoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)



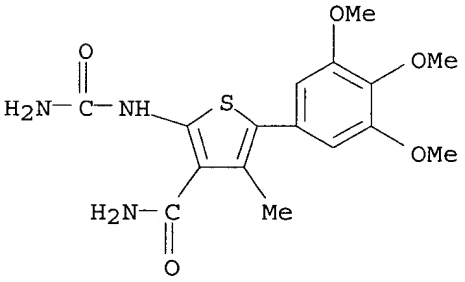
RN 354811-40-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2,3-dimethoxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)



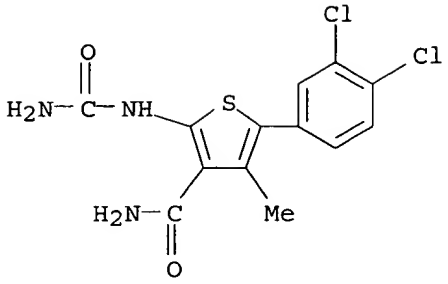
RN 354811-41-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



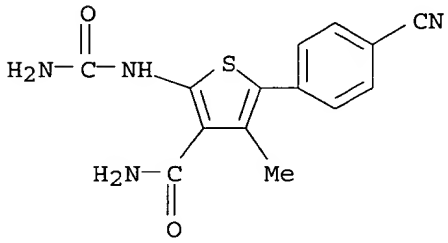
RN 354811-42-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



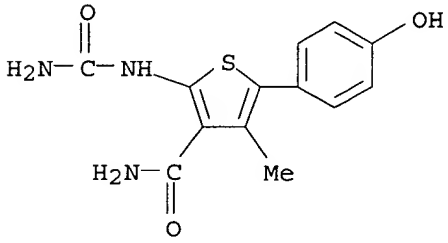
RN 354811-48-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(3,4-dichlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



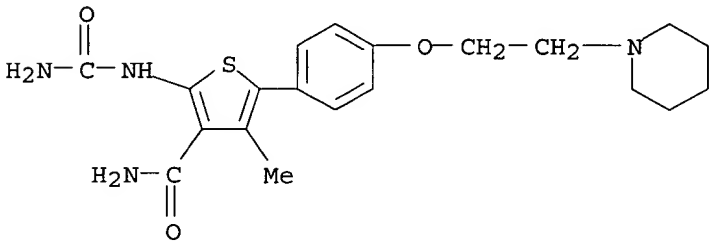
RN 354811-49-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-cyanophenyl)-4-methyl- (9CI) (CA INDEX NAME)



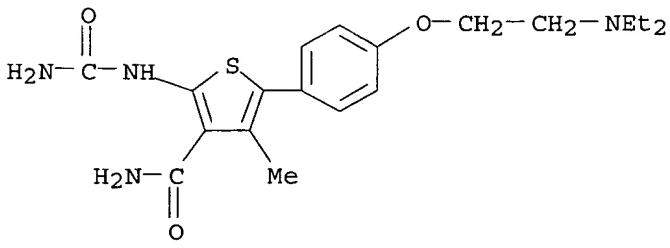
RN 354811-50-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-hydroxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 354811-51-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-52-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(diethylamino)ethoxy]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 354811-54-4 HCAPLUS
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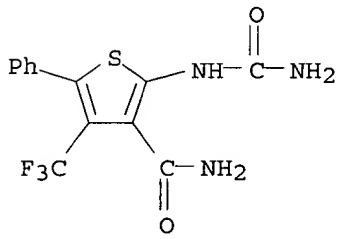
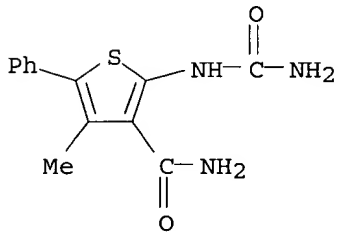
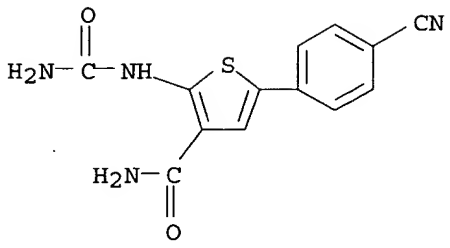


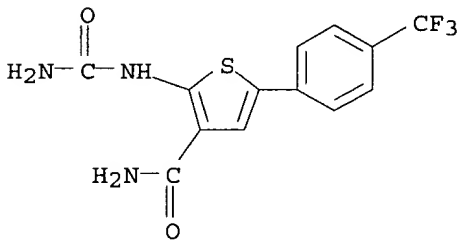
RN 354811-56-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-4-methyl-5-phenyl- (9CI) (CA INDEX NAME)



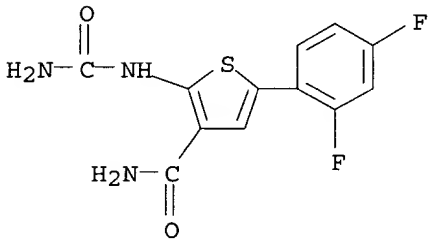
RN 354811-58-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-cyanophenyl)- (9CI) (CA INDEX NAME)



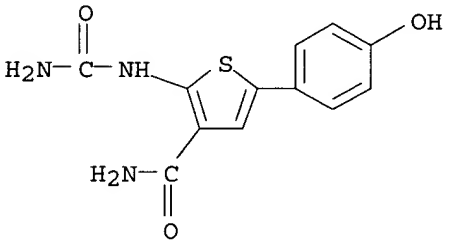
RN 354811-59-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



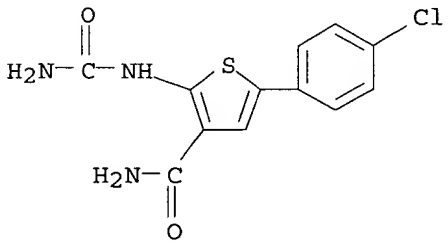
RN 354811-60-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)



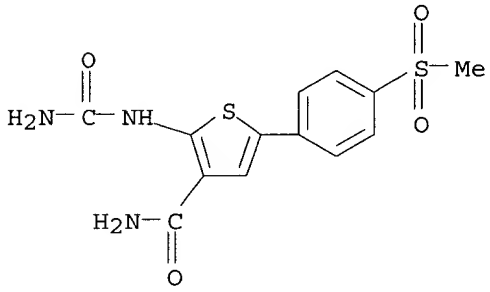
RN 354811-66-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 354811-67-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 354811-68-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 354811-79-3 HCAPLUS
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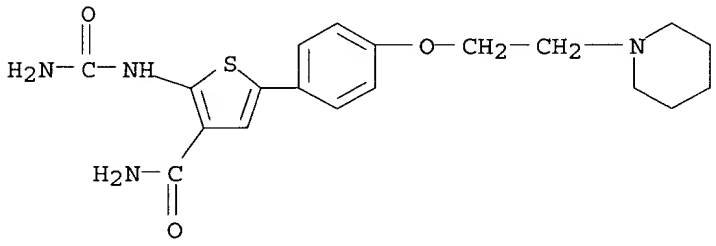
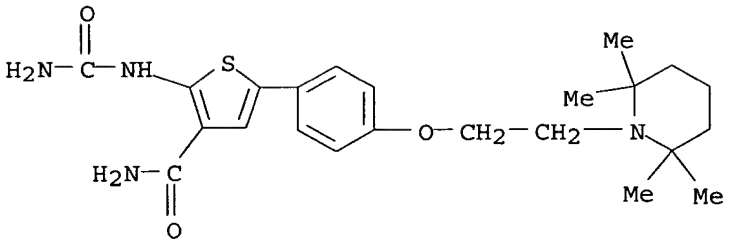
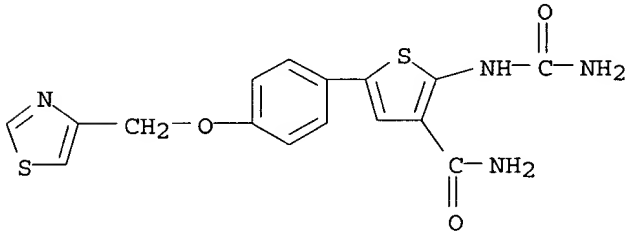


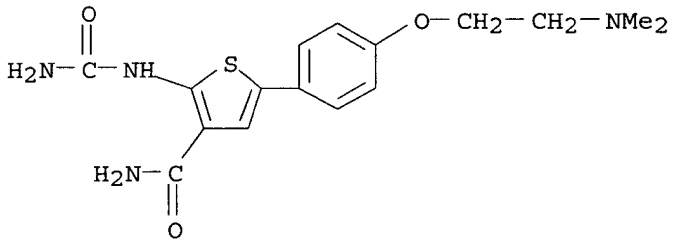
RN 354811-80-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



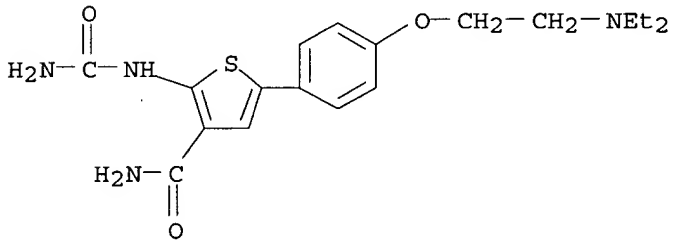
RN 354811-81-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-(4-thiazolylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 354811-82-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-83-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-84-0 HCAPLUS
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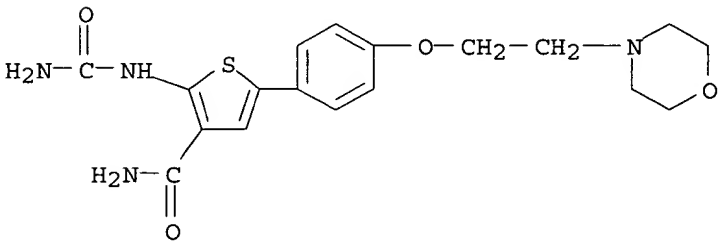
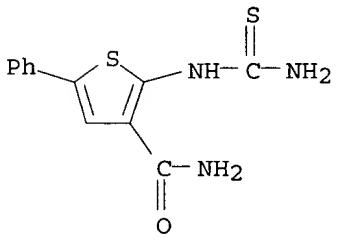
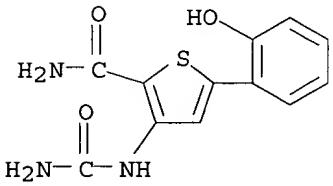


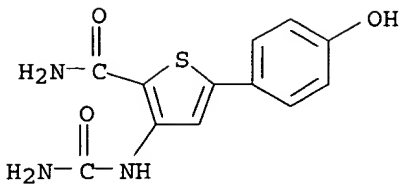
RN 354811-89-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminothioxomethyl)amino]-5-phenyl- (9CI) (CA INDEX NAME)



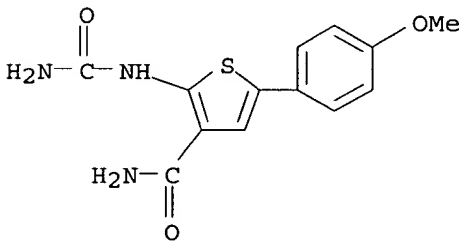
IT **354811-95-3P 354811-96-4P 354812-11-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2)
RN 354811-95-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 354811-96-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 354812-11-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3              STR
L5          638 SEA FILE=REGISTRY SSS FUL L3
L8              STR
L10             STR
L11         286 SEA FILE=REGISTRY SUB=L5 SSS FUL L10 AND L8
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L13         334 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("BAXTER ANDREW"/AU OR
                "BAXTER ANDREW D"/AU OR "BAXTER ANDREW DAVID ROTHWELL"/AU OR
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                ANDREW J"/AU OR "BAXTER ANDREW J G"/AU OR "BAXTER ANDREW
                JOHN"/AU OR "BAXTER ANDREW JOHN GILBEY"/AU OR "BAXTER ANDREW
                JOHN GILBY"/AU OR "BAXTER ANDREW W"/AU) OR ("BAXTER A"/AU OR
                "BAXTER A C"/AU OR "BAXTER A D"/AU OR "BAXTER A G"/AU OR
                "BAXTER A J"/AU OR "BAXTER A J G"/AU OR "BAXTER A L"/AU OR
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L16          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MCINALLY T"/AU OR "MCINALLY
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L17           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13 AND L14 AND L15 AND L16
L18           0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 NOT L12
L19          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13 AND (L14 OR L15 OR L16)
L20          11 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 AND (L15 OR L16)
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L22          14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L18 OR L19 OR L20 OR L21)
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NOT L12

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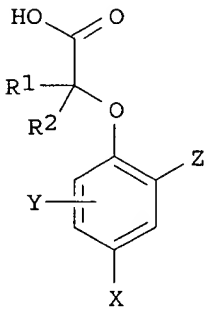
L22 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:872778 HCAPLUS
DOCUMENT NUMBER: 141:366033
TITLE: Preparation of phenoxyacetic acids as CRTh2 receptor modulators for treatment of respiratory disorders
INVENTOR(S): Bonnert, Roger; **Brough, Stephen**; Davies, Andrew; Luker, Timothy; **Mcinally, Thomas**; Millichip, Ian; Pairaudeau, Garry; Patel, Anil; Rasul, Rukhsana; Thom, Stephen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

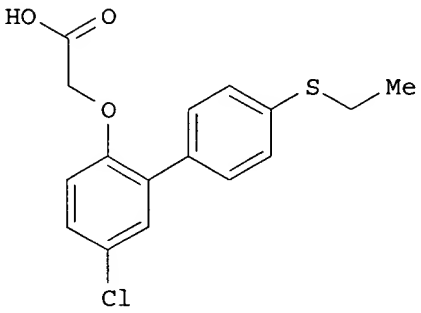
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089885	A1	20041021	WO 2004-SE535	20040406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-1010 A 20030407
OTHER SOURCE(S): MARPAT 141:366033
GI



I



II

AB The invention relates to substituted phenoxyacetic acids I [wherein X = halo, CN, NO2, SO0-2R6, (halo)alkyl; Y = H, halo, CN, NO2, SO2R3, OR4,

SR4, SOR3, SO2NR4R5, CONR4R5, NR4R5, NR6SO2R3, NR6SO2R3, NR6CO2R6, NR6COR3, (un)substituted (cyclo)alkyl, alkenyl, alkynyl; Z = (un)substituted aryl, heterocyclyl; R1, R2 = independently H, halo, (un)substituted (cyclo)alkyl, alkenyl, alkynyl; or CR1R2 = (un)substituted cycloalkyl, heterocyclyl; R3 = (un)substituted (cyclo)alkyl; R4, R5 = independently H, (un)substituted (cyclo)alkyl; or NR4R5 = (un)substituted heterocyclyl; R6 = H, alkyl; and pharmaceutically acceptable salts thereof] were prepared as modulators of prostaglandin D2, a ligand for orphan receptor CRTh2. For example, tert-Bu bromoacetate was coupled with 4-bromo-2-chlorophenol using K2CO3 in DMF to give tert-Bu (2-bromo-4-chlorophenoxy)acetate. Reaction of the (bromophenoxy)acetate with 4-(ethylthio)phenylboronic acid in the presence of CsF and Pd(dppf)Cl2 in dioxane, followed by deesterification using TFA in DCM afforded II. In a ligand binding assay using HEK cells expressing rhCRTh2/Gα16, compds. of the invention showed affinity for the CRTh2 receptor with IC50 <10 μM. Thus, I are antiinflammatory agents, analgesics, and antipyretics that are useful for treating respiratory diseases, such as asthma and rhinitis (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:267303 HCAPLUS
DOCUMENT NUMBER: 140:303685
TITLE: Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): **Brough, Stephen John; McInally, Thomas**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026835	A1	20040401	WO 2003-GB4000	20030916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498760	AA	20040401	CA 2003-2498760	20030916
EP 1542974	A1	20050622	EP 2003-797377	20030916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014843	A	20050809	BR 2003-14843	20030916
PRIORITY APPLN. INFO.:			GB 2002-21829 A	20020920
			WO 2003-GB4000 W	20030916

OTHER SOURCE(S): MARPAT 140:303685
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:841838 HCAPLUS
DOCUMENT NUMBER: 140:104446
TITLE: Hit-to-Lead studies: the discovery of potent adamantane amide P2X7 receptor antagonists
AUTHOR(S): **Baxter, Andrew**; Bent, Janice; Bowers, Keith; Braddock, Martin; **Brough, Steve**; Fagura, Malbinder; Lawson, Mandy; **McInally, Tom**; Mortimore, Mike; Robertson, Mark; Weaver, Richard; Webborn, Peter
CORPORATE SOURCE: AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4047-4050
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:104446

AB A Hit-to-Lead optimization program was carried out on the adamantane high throughput screening hit compound resulting in the discovery of a number of potent P2X7 antagonists.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:535044 HCAPLUS
DOCUMENT NUMBER: 139:285635
TITLE: Hit-to-Lead studies: The discovery of potent, orally bioavailable triazolethiol CXCR2 receptor antagonists
AUTHOR(S): **Baxter, Andrew**; Bennion, Colin; Bent, Janice; Boden, Kerry; **Brough, Steve**; Cooper, Anne; Kinchin, Elizabeth; Kindon, Nicholas; **McInally, Tom**; Mortimore, Mike; Roberts, Bryan; Unitt, John
CORPORATE SOURCE: AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2625-2628
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:285635
AB A Hit-to-Lead optimization program was carried out on the high throughput screening hit, the triazolethiol, resulting in the discovery of the potent, orally bioavailable triazolethiol CXCR2 receptor antagonist.
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:658109 HCAPLUS
DOCUMENT NUMBER: 137:201312
TITLE: Preparation of N-(piperidin-4-yl) amides for treating a chemokine mediated diseases
INVENTOR(S): **Brough, Stephen; McInally, Thomas;** Perry, Matthew; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002066460	A1	20020829	WO 2002-SE269		20020218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1363902	A1	20031126	EP 2002-711619		20020218
EP 1363902	B1	20040915			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004518742	T2	20040624	JP 2002-565975		20020218
AT 276246	E	20041015	AT 2002-711619		20020218
US 2004102483	A1	20040527	US 2003-468179		20030818
PRIORITY APPLN. INFO.:			GB 2001-4050	A	20010219
			WO 2002-SE269	W	20020218

OTHER SOURCE(S): MARPAT 137:201312
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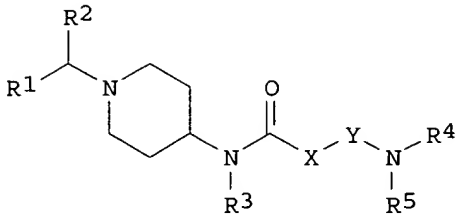

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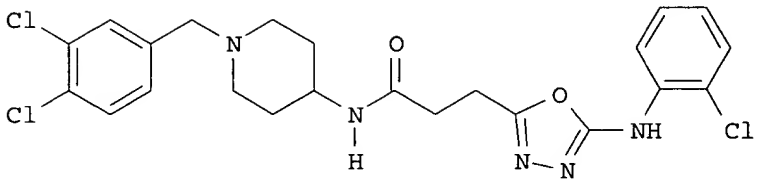

II

AB The title compds. [I; R1 = (un)substituted Ph; R2-R4 = H, alkyl; R5 = alkyl, aryl, heteroaryl, etc.; X = (CH2)n; n = 1-4; Y = 2,4-, 2,5- or 3,5-linking 5-membered heteroaryl comprising 2-3 heteroatoms selected from N, O, and S], useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions, were prepared Thus, a 2-step synthesis of the propionamide II, starting with 1-(3,4-dichlorobenzyl)piperidin-4-ylamine and Me 3-chlorocarbonylpropionate, was given. The exemplified compds. I were found to be antagonists of the eotaxin mediated [Ca+2]i in human eosinophils and/or antagonists of the MIP-1α mediated [Ca+2]i in human monocytes (no data). Certain exemplified compds. I were found to be antagonists of the eotaxin mediated human eosinophil chemotaxis (no data).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:152644 HCAPLUS
DOCUMENT NUMBER: 134:207822
TITLE: Preparation of substituted piperidines as modulators of chemokine receptor activity
INVENTOR(S): Thom, Stephen; **Baxter, Andrew**; Kindon, Nicholas; **McInally, Thomas**; Springthorpe, Brian; Perry, Matthew; Harden, David; Evans, Richard; Marriott, David
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014333	A1	20010301	WO 2000-GB3179	20000818

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1212299	A1	20020612	EP 2000-951768		20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003507456	T2	20030225	JP 2001-518423		20000818
US 6903085	B1	20050607	US 2002-69215		20000818
PRIORITY APPLN. INFO.:			SE 1999-2987	A	19990824
			WO 2000-GB3179	W	20000818

OTHER SOURCE(S): MARPAT 134:207822

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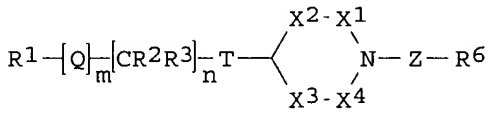

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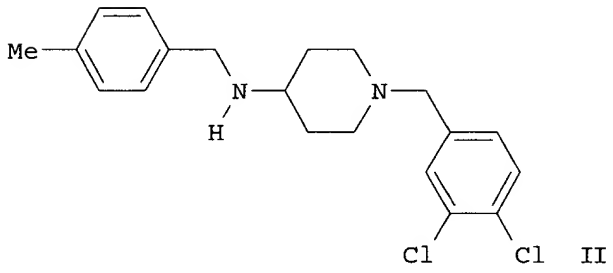

II

AB The title compds. [I; Z = CR4R5, CO, CR4R5Z1; Z1 = alkylene, alkenylene, CONH; R1 = (un)substituted alkyl, alkenyl, 3-14 membered (un)saturated ring system which optionally further comprises up to two ring carbon atoms that form carbonyl groups and which optionally further comprises up to 4 ring heteroatoms selected from N, O, and S; m = 0-1; Q = O, S, CO, etc.; n = 0-6 (when n = 0, then m = 0); R2, R3 = H, alkyl; (CR2R3)n = cycloalkyl optionally substituted by alkyl; T = NR10, CONR10, NR11CONR10, etc.; X1-X4 = CH2, CHR12 (wherein R12 = alkyl, cycloalkyl(alkyl), CO, etc.); R4, R5 = H, alkyl; R6 = (un)substituted aryl, heterocyclyl; R10-R11 = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases (such as inflammatory disease) and conditions, were prepared E.g., a 3-step synthesis of the piperidine II was given. The exemplified compds. I were found to be antagonists of the eotaxin mediated [Ca2+]i in human eosinophils and/or antagonists of the MIP-1α mediated [Ca2+]i in human monocytes (no data). Certain compds. I were found to be antagonists of the eotaxin mediated human eosinophil chemotaxis (no data).

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:63991 HCAPLUS
DOCUMENT NUMBER: 134:115959
TITLE: Preparation of novel 4,4-diphenylpiperidines for the treatment of chemokine receptor related diseases and conditions
INVENTOR(S): **Baxter, Andrew John Gilby; Brough, Stephen John; McInally, Thomas**
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001005782	A1	20010125	WO 2000-GB2756		20000718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2378084	AA	20010125	CA 2000-2378084		20000718
BR 2000012610	A	20020409	BR 2000-12610		20000718
EP 1202984	A1	20020508	EP 2000-946134		20000718
EP 1202984	B1	20030305			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003505383	T2	20030212	JP 2001-511441		20000718
AT 233754	E	20030315	AT 2000-946134		20000718
NZ 516606	A	20030926	NZ 2000-516606		20000718
AU 771344	B2	20040318	AU 2000-60016		20000718
US 6566376	B1	20030520	US 2000-623744		20000908
ZA 2001010540	A	20030324	ZA 2001-10540		20011221
NO 2002000282	A	20020321	NO 2002-282		20020118
PRIORITY APPLN. INFO.:			SE 1999-2765	A	19990721
			WO 2000-GB2756	W	20000718

OTHER SOURCE(S): MARPAT 134:115959
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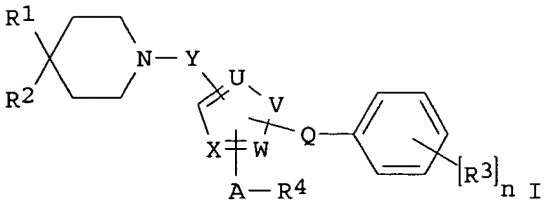

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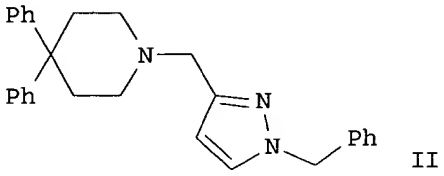

II

AB The title compds. [I; R1, R2 = (un)substituted Ph; R3 = halo, NO2, alkyl, etc.; n = 0-3; R4 = H, OH, NR10R11; A = CO, CH2, a bond; Q = alkylene; U, W and X = (un)substituted C, N; V = (un)substituted N, O; Y = alkylene, CO; R10, R11 = H, alkyl, unsatd. alkyl, etc.; NR10R11 = (un)substituted 4-8 membered saturated azacyclic ring] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a 2-step synthesis of 4,4-diphenylpiperidine II was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:31485 HCAPLUS
DOCUMENT NUMBER: 134:86282
TITLE: Preparation of piperazine derivatives as modulators of chemokine receptor activity
INVENTOR(S): **Baxter, Andrew John Gilby**; **Brough, Stephen John**; Kindon, Nicholas David; **McInally, Thomas**; Roberts, Bryan
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002381	A1	20010111	WO 2000-GB2470	20000627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1196404	A1	20020417	EP 2000-942220		20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003503488	T2	20030128	JP 2001-507819		20000627
US 6562825	B1	20030513	US 2000-640398		20000817
PRIORITY APPLN. INFO.:			SE 1999-2551	A	19990702
			WO 2000-GB2470	W	20000627

OTHER SOURCE(S): MARPAT 134:86282
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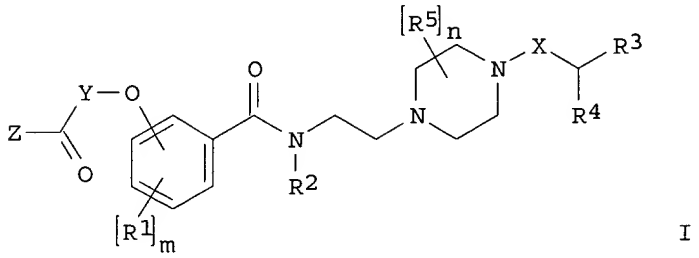

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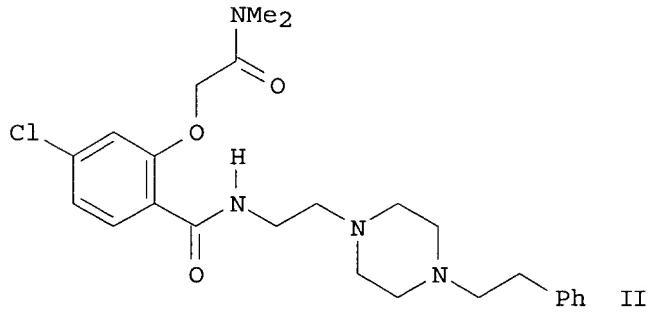

II

AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; m = 0-2; R2 = H, alkyl; R3, R4 = H, alkyl, (un)substituted Ph; R5 = H, alkyl; n = 0-4; X = a bond, alkyl; Y = alkyl; Z = OH, NR6R7; R6, R7 = H, alkyl, unsatd. alkyl; NR6R7 = 3-8 membered (un)substituted (un)saturated azacyclic ring system optionally incorporating one or two further heteroatoms selected from N, O and S] and their salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a multi-step synthesis of the title compound II was given.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:707161 HCAPLUS
DOCUMENT NUMBER: 133:266738
TITLE: Preparation of piperidinyl compounds as modulators of chemokine receptor activity
INVENTOR(S): **Baxter, Andrew**; **Brough, Stephen**; Kindon, Nicholas; **McInally, Thomas**; Roberts, Bryan; Thom, Stephen
PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK; Astrazeneca AB
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058305	A1	20001005	WO 2000-SE563	20000322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361366	AA	20001005	CA 2000-2361366	20000322
BR 2000009338	A	20011226	BR 2000-9338	20000322
EP 1165545	A1	20020102	EP 2000-921237	20000322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102800	T2	20020121	TR 2001-200102800	20000322
JP 2002540204	T2	20021126	JP 2000-608007	20000322
EE 200100502	A	20021216	EE 2001-502	20000322
US 6518286	B1	20030211	US 2000-555565	20000601
ZA 2001006858	A	20021120	ZA 2001-6858	20010820
NO 2001004518	A	20010917	NO 2001-4518	20010917
US 2003134840	A1	20030717	US 2003-339261	20030109
US 6946478	B2	20050920		

PRIORITY APPLN. INFO.:	SE 1999-1117	A	19990326
	SE 1999-2194	A	19990610
	WO 2000-SE563	W	20000322
	US 2000-555565	A1	20000601

OTHER SOURCE(S): MARPAT 133:266738
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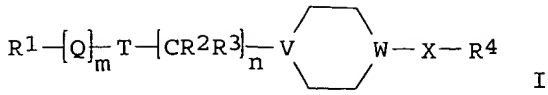

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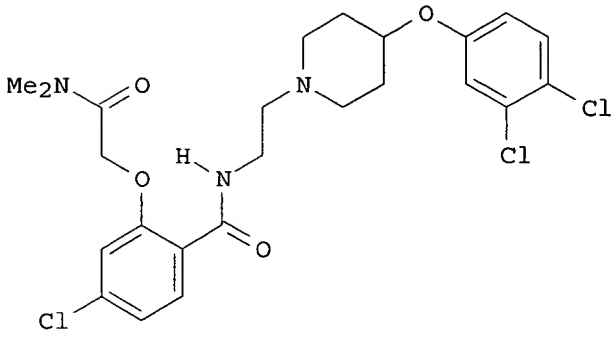


II

AB The title compds. [I; R1 = (un)substituted alkyl, (un)substituted 3-10 membered (un)saturated ring system comprising up to two ring carbon atoms that form carbonyl groups and comprising up to 4 ring heteroatoms independently selected from N, O, and S; m = 0-1; Q = OCH2, alkylene, alkenylene; T = CONH, or when m = 0, T may addnl. represent a bond, NH, or when m = 1 and Q = alkylene, T may addnl. represent NH; n = 1-4; R2, R3 = H, alkyl; V = N; W = N, CH; X = O, CO, CHOH, etc.; provided that when W = N, then X = either CO or SO2 and when W = CH, then X = other than SO2; R4 = (un)substituted Ph], modulators of chemokine receptor activity (no data) useful as antiinflammatories, were prepared E.g., a multi-step synthesis of benzamide II was given.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:388179 HCAPLUS
DOCUMENT NUMBER: 131:44809
TITLE: Preparation of N-substituted pyrrolidine-2,5-diones, thiazolidine-2,4-diones and oxazolidine-2-ones as antagonists at the P2X7 receptor
INVENTOR(S): **Baxter, Andrew**; Cheshire, David; **Mcinally, Thomas**; Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

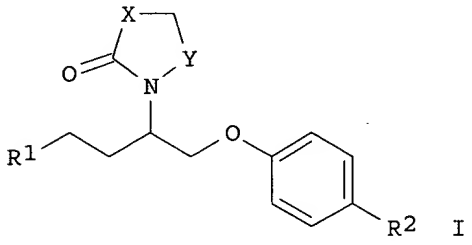
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929686	A1	19990617	WO 1998-SE2190	19981201

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2312357	AA	19990617	CA 1998-2312357		19981201
AU 9917915	A1	19990628	AU 1999-17915		19981201
EP 1037889	A1	20000927	EP 1998-962753		19981201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9813378	A	20001010	BR 1998-13378		19981201
TR 200001544	T2	20001121	TR 2000-200001544		19981201
EE 200000321	A	20010815	EE 2000-200000321		19981201
JP 2001525406	T2	20011211	JP 2000-524280		19981201
NO 2000002787	A	20000801	NO 2000-2787		20000531
PRIORITY APPLN. INFO.:			SE 1997-4546	A	19971205
			WO 1998-SE2190	W	19981201

OTHER SOURCE(S): MARPAT 131:44809
GI



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AB The title compds. [I; X = O, S, NH, etc.; Y = CH2, C(O); R1 = pyridyl, pyrimidinyl; R2 = (un)substituted Ph, pyridyl, pyrimidinyl] which demonstrate antagonist activity at P2X7 receptor, were prepared Thus, treatment of triphenylphosphine in THF with di-Et azodicarboxylate followed by addition of succinimide and then (±)-1-(biphenyl-4-yloxy)-4-(3-pyridyl)-2-butanol afforded I [X = CH2; Y = C(O); R1 = 3-pyridyl; R2 = Ph] which showed pIC50 of > 4.50 at P2X7 receptor.

L22 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:388161 HCAPLUS
DOCUMENT NUMBER: 131:58652
TITLE: Preparation of N-adamantylmethylbenzamides and analogs as purinergic P2Z receptor antagonists
INVENTOR(S): **Baxter, Andrew; Mcinally, Thomas;** Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929661	A1	19990617	WO 1998-SE2188	19981201

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        W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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            KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
            MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
            TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2312420           AA    19990617    CA 1998-2312420          19981201
     AU 9917913           A1    19990628    AU 1999-17913            19981201
     AU 744280            B2    20020221
     EP 1036059           A1    20000920    EP 1998-962751           19981201
     EP 1036059           B1    20020918
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
     BR 9813390           A     20001003    BR 1998-13390            19981201
     TR 200001605         T2    20001023    TR 2000-200001605        19981201
     JP 2001525392        T2    20011211    JP 2000-524258           19981201
     EE 200000378         A     20011217    EE 2000-200000378        19981201
     AT 224360            E     20021015    AT 1998-962751           19981201
     PT 1036059           T     20030228    PT 1998-962751           19981201
     ES 2184352           T3    20030401    ES 1998-962751           19981201
     RU 2214997           C2    20031027    RU 2000-117574           19981201
     US 6201024           B1    20010313    US 1999-230478           19990126
     NO 2000002786        A     20000731    NO 2000-2786             20000531
     US 2001003121        A1    20010607    US 2000-745740           20001226
     US 6303659           B2    20011016
     US 6258838           B1    20010710    US 2000-745346           20001226
PRIORITY APPLN. INFO.:                       SE 1997-4544        A   19971205
                                             WO 1998-2188        W   19981201
                                             WO 1998-SE2188      W   19981201
                                             US 1999-230478      A1  19990126
OTHER SOURCE(S):         MARPAT 131:58652
GI
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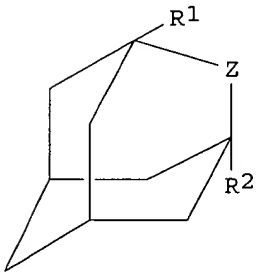


I

AB Title compds. [I; R1 = (CH2)xNHCOR; R = (un)substituted Ph, -pyridyl, -indolyl, etc.; R2 = H or halo; Z = O or CH2; X = 1 or 2] were prepared Thus, 1-adamantanemethylamine was amidated by 2,4-Cl2C6H3COCl to give I (R1 = CH2NHCOC6H3Cl2-2,4, R2 = H, Z = CH2). Data for biol. activity of I were given.

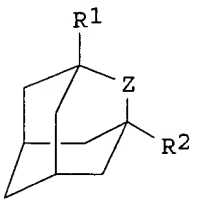
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:388160 HCAPLUS
DOCUMENT NUMBER: 131:44659
TITLE: Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z receptor antagonists
INVENTOR(S): **Baxter, Andrew**; **Brough, Stephen**; **Mcinally, Thomas**; Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9929660	A1	19990617	WO 1998-SE2189		19981201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2312889	AA	19990617	CA 1998-2312889		19981201
AU 9917914	A1	19990628	AU 1999-17914		19981201
AU 746716	B2	20020502			
EP 1036058	A1	20000920	EP 1998-962752		19981201
EP 1036058	B1	20030312			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9813368	A	20001003	BR 1998-13368		19981201
TR 200001558	T2	20001023	TR 2000-200001558		19981201
EE 200000320	A	20010815	EE 2000-200000320		19981201
JP 2001525391	T2	20011211	JP 2000-524257		19981201
RU 2197447	C2	20030127	RU 2000-117580		19981201
AT 234274	E	20030315	AT 1998-962752		19981201
PT 1036058	T	20030731	PT 1998-962752		19981201
NZ 504375	A	20030829	NZ 1998-504375		19981201
ES 2195433	T3	20031201	ES 1998-962752		19981201
US 6242470	B1	20010605	US 1999-230511		19990126
NO 2000002785	A	20000801	NO 2000-2785		20000531
HK 1028594	A1	20030905	HK 2000-107989		20001212
PRIORITY APPLN. INFO.:			SE 1997-4545	A	19971205
			WO 1998-SE2189	W	19981201

OTHER SOURCE(S): MARPAT 131:44659
GI



I

AB Title compds. [I; R1 = Z1CONHR; R = (un)substituted Ph, -benzothiazolyl, -indolyl, -pyridyl, etc.; R2 = H or halo; Z = CH2 or O; Z1 = CH2, CH2CH2, OCH2, NHCH2] were prepared Thus, 1-adamantaneacetyl chloride was amidated by 6-amino-2-methylbenzothiazole to give I (R1 = CH2CONHR, R = 2-methyl-6-benzothiazolyl, R2 = H, Z = CH2). Data for biol. activity of I were given.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:75226 HCAPLUS
DOCUMENT NUMBER: 108:75226
TITLE: Preparation of 4-phenyldihydropyridine-3,5-dicarboxylates as calcium channel blockers
INVENTOR(S): **Baxter, Andrew John Gilby**; Dixon, John; **Mcinally, Thomas**; Tinker, Alan Charles
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 225175	A2	19870610	EP 1986-309244		19861127
EP 225175	A3	19881228			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 62187453	A2	19870815	JP 1986-280953		19861127
PRIORITY APPLN. INFO.:			GB 1985-29301	A	19851128
			GB 1985-29786	A	19851203
			GB 1985-29787	A	19851203
			GB 1986-4421	A	19860221
			GB 1986-4422	A	19860221
			GB 1986-4423	A	19860221
			GB 1986-4424	A	19860221
			GB 1986-5000	A	19860228
			GB 1986-21514	A	19860906

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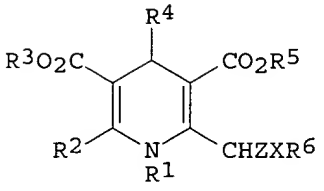

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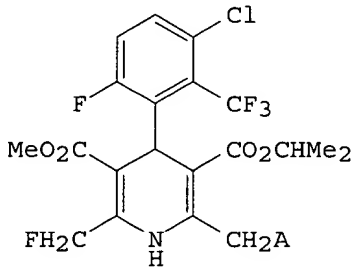

II

AB The title compds. I [R1 = H, alkyl; R2 = (fluoro)alkyl; R3 = alkyl; R4 = (un)substituted Ph, naphthyl, S-containing heterocyclyl; R5 = (un)substituted

alkyl, thietanyl; R6 = H, CH2CH2NH2, N-containing heterocyclyl, etc.; X = O, NR, SOn, bond; Z = H; ZR = bond; n = 0-2] were prepared as calcium channel blockers (no data). Title compound II (A = H) was stirred with pyridinium bromide perbromide in CH2Cl2 containing pyridine to give II (A = Br) which was stirred with NaOMe and pyridin-3-ol in MeCN to give II (A = 3-pyridyloxy).

L22 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:203874 HCAPLUS
DOCUMENT NUMBER: 102:203874
TITLE: Pharmaceutically active dihydropyridines
INVENTOR(S): **Baxter, Andrew John Gilby**; Dixon, John; Gould, Kenneth John; **McInally, Thomas**; Tinker, Alan Charles
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: Eur. Pat. Appl., 111 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 125803	A2	19841121	EP 1984-302566		19840416
EP 125803	A3	19870121			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
US 4607041	A	19860819	US 1984-601389		19840417
US 4686217	A	19870811	US 1984-601309		19840417
FI 8401597	A	19841028	FI 1984-1597		19840424
ZA 8403030	A	19850227	ZA 1984-3030		19840424
DK 8402092	A	19841028	DK 1984-2092		19840426
NO 8401656	A	19841029	NO 1984-1656		19840426
JP 59205360	A2	19841120	JP 1984-83089		19840426
ES 531940	A1	19861201	ES 1984-531940		19840426
AU 8427445	A1	19841101	AU 1984-27445		19840427
DD 232491	A5	19860129	DD 1984-266853		19840831
HU 36093	A2	19850828	HU 1984-3693		19840928
PRIORITY APPLN. INFO.:			GB 1983-11519	A	19830427
			GB 1983-11520	A	19830427
			GB 1983-11521	A	19830427
			GB 1983-26362	A	19831001
			GB 1983-27660	A	19831015
			GB 1983-27661	A	19831015
			GB 1983-30852	A	19831118
			GB 1983-34285	A	19831222
			GB 1983-34286	A	19831222
			GB 1983-34287	A	19831222

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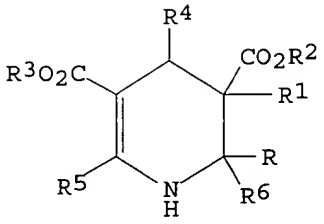

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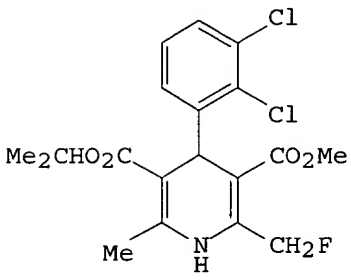

II

AB Calcium channel-blocking (no data) di- and tetrahydropyridinedicarboxylate s I [R = OH, R1 = H; RR1 = bond; R2, R3 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl; R1 = benzofurazanyl, (un)substituted alkyl, Ph, pyridyl, R5, R6 = alkyl, C(X)R1, S(O)nR8, (un)substituted Ph; R1 = amino, alkylthio; R8 = alkyl; X = O, S; n = 0-2] (125 compds.) were prepared Thus, FCH2COCH2CO2Me, prepared by condensing FCH2COCl with 2,2-dimethyl-1,3-dioxane-4,6-dione followed by methanolysis, was stirred at 90° with 2,3-Cl2C3H3CHO and H2NCMe:CHCO2CHMe2 to give II.

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=> => d stat que l23 nos
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L8              STR
L10             STR
L11         286 SEA FILE=REGISTRY SUB=L5 SSS FUL L10 AND L8
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                ANDREW J"/AU OR "BAXTER ANDREW J G"/AU OR "BAXTER ANDREW
                JOHN"/AU OR "BAXTER ANDREW JOHN GILBEY"/AU OR "BAXTER ANDREW
                JOHN GILBY"/AU OR "BAXTER ANDREW W"/AU) OR ("BAXTER A"/AU OR
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                OR L22)


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L23 ANSWER 1 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:564660 HCAPLUS
DOCUMENT NUMBER: 143:97269
TITLE: A preparation of pyridine derivatives, useful as CCR5 receptor modulators
INVENTOR(S): **Faull, Alan**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058881	A1	20050630	WO 2004-SE1860	20041214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-3396 A 20031216
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of pyridine derivs. of formula I [wherein: A is absent or CH2CH2; R1 is (un)substituted cycloalkyl with at least one ring atom is replaced by O, S, S(O), or CHF, etc.; R2 is (un)substituted Ph derivative; R3 is H or alkyl; R4 is H, Me, Et, ally, or cyclopropyl; R5 is (hetero)aryl or (hetero)arylalkyl], useful as CCR5 receptor modulators. For instance, pyridine derivative II (Pic50 = 9.1 μM) was prepared via amination of (3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4-yl)propan-1-ol by piperidine derivative III.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:996153 HCAPLUS
DOCUMENT NUMBER: 141:424115
TITLE: Preparation of N-phenylalkyl piperidines and 8-azabicyclo[3.2.1]octanes as CCR5 receptor modulators
INVENTOR(S): Cumming, John; **Faull, Alan**
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099178	A1	20041118	WO 2004-SE697	20040506

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-1369 A 20030509
OTHER SOURCE(S): MARPAT 141:424115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = absent, CH2CH2; R1 = halo, OH, NO2, CN, alkyl, alkoxy, (CH2)nSO0-2-alkyl, (un)substituted (CH2)nSO2NH2, NH2, CONH2, Ph, heteroaryl, ureido, etc.; R2 = (halo)phenyl; (halo)thienyl; R3 = H, Me; R4 = (un)substituted heterocyclyl; n = 0-2; and pharmaceutically acceptable salts or solvates thereof] were prepared as chemokine CCR5 receptor modulators. For example, (R)-3-(3-fluorophenyl)-3-(4-methanesulfonylphenyl)propionaldehyde was coupled with 5-methanesulfonyl-1-(piperidin-4-yl)-1H-benzimidazole in the presence of sodium trisacetoxyborohydride and AcOH in CH2Cl2 to give II. The latter inhibited binding of MIP-1α to recombinant human CCR5 receptors expressed in membranes prepared from Chinese hamster ovary cells with a Pic50 (i.e., the neg. log of the IC50 value) of 9.0. Thus, I and pharmaceutical compns. comprising them are useful for treating a CCR5 mediated diseases, such as autoimmune and inflammatory disorders (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:791461 HCAPLUS
DOCUMENT NUMBER: 141:357523
TITLE: The discovery of new galaxy members in the NGC 5044 and 1052 groups
AUTHOR(S): McKay, N. P. F.; Mundell, C. G.; **Brough, S.**; Forbes, Duncan A.; Barnes, D. G.; James, P. A.; Goudfrooij, P.; Kozhurina-Platais, V.; Whitaker, R.
CORPORATE SOURCE: Astrophysics Research Institute, Liverpool John Moores University, Birkenhead, CH41 1LD, UK
SOURCE: Monthly Notices of the Royal Astronomical Society (2004), 352(4), 1121-1134
CODEN: MNRAA4; ISSN: 0035-8711
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We present the results of neutral hydrogen (H I) observations of the NGC

5044 and NGC 1052 groups, as part of a GEMS (Group Evolution Multiwavelength Study) investigation into the formation and evolution of galaxies in nearby groups. Two new group members have been discovered during a wide-field H I imaging survey conducted using the ATNF Parkes telescope. These results, as well as those from follow-up H I synthesis and optical imaging, are presented here. J1320 - 1427, a new member of the NGC 5044 group, has an H I mass of MH1 = 1.05 + 109 M.sun. and MH1/LB = 1.65 M.sun./L.sun., with a radial velocity of v = 2750 kms-1. The optical galaxy is characterized by two regions of star formation, surrounded by an extended, diffuse halo, J0249-0806, the new member of the NGC 1052 group, has MH1 = 5.4 + 108 M.sun., MH1/LR = 1.13 M.sun./L.sun. and v = 1450 km s-1. The optical image reveals a low-surface-brightness galaxy. We interpret both of these galaxies as irregular type, with J0249 - 0806 possibly undergoing first infall into the NGC 1052 group.

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:658065 HCAPLUS
TITLE: Discovery and optimization of small molecule CCR2b antagonists
AUTHOR(S): Kettle, Jason G.; Davies, D. Huw; **Faull, Alan W.**; Stone, Michael A.
CORPORATE SOURCE: Astra Zeneca, Cheshire SK10 4TG, UK
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-201. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB The recruitment and activation of select populations of leukocytes is a key feature of a variety of inflammatory conditions. While this response is crucial for host defense during inflammation, the secretory products of white blood cells may increase injury by damaging surrounding healthy tissue. Monocyte Chemoattractant Protein-1 (MCP-1 or CCL2) is a member of the pro-inflammatory cytokines that mediate leukocyte chemotaxis and activation. These effects are mediated principally through activation of intracellular signalling pathways following binding of MCP-1 to the chemokine receptor CCR2b. MCP-1 is a potent chemotactic and activating factor for monocytes and memory T-cells and has been shown to regulate adhesion mol. expression and cytokine production MCP-1 has been implicated in the pathophysiol. of a wide range of both acute and chronic inflammatory conditions including rheumatoid arthritis and atherosclerosis. A CCR2b antagonist thus represents and attractive target for drug discovery, and screening of the corporate compound collection for inhibitors led to discover of a low mol. weight indole acid hit. The SAR and optimization of this hit into candidate drug 1 will be presented, and discussion made of species selectivity issues, DMPK and pre-clin. toxicol.

L23 ANSWER 5 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:546479 HCAPLUS
DOCUMENT NUMBER: 141:106374
TITLE: A preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Cumming, John; **Faull, Alan**; Fielding, Colin; Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 118 pp.

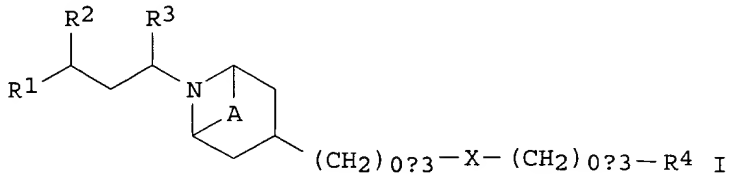
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056773	A1	20040708	WO 2003-SE2008	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508624	AA	20040708	CA 2003-2508624	20031218
EP 1572650	A1	20050914	EP 2003-781235	20031218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

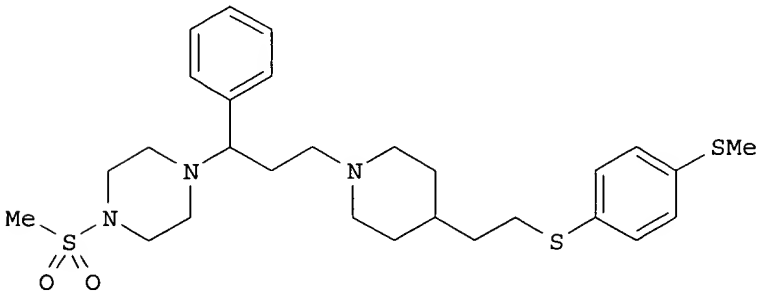
PRIORITY APPLN. INFO.:
SE 2002-3821 A 20021220
SE 2003-499 A 20030224
SE 2003-1425 A 20030515
WO 2003-SE2008 W 20031218

OTHER SOURCE(S): MARPAT 141:106374

GI



I



II

AB The invention relates to a preparation of novel piperidine derivs. of formula I [wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CO2-alkyl, etc.; R2 is alkyl, Ph, heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (hetero)aryl or (cyclo)alkyl; X is O or S(O)0-2], useful as modulators of chemokine receptor CCR5. The invention compds. are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune,

inflammatory, or proliferative diseases. The invented compds. are also of value in inhibiting the entry of viruses (such as HIV) into target cells (no biol. data). The ability of the invention compds. to inhibit the binding of RANTES and MIP-1α was assessed (certain compds. of formula I have IC50 < 50 μM). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 6.91 (table XV).
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:498554 HCAPLUS
DOCUMENT NUMBER: 141:133552
TITLE: Discovery of small molecule antagonists of TRPV1
AUTHOR(S): Rami, Harshad K.; Thompson, Mervyn; Wyman, Paul; Jerman, Jeffrey C.; Egerton, Julie; **Brough, Stephen**; Stevens, Alexander J.; Randall, Andrew D.; Smart, Darren; Gunthorpe, Martin J.; Davis, John B.
CORPORATE SOURCE: Neurology and GI CEDD, GlaxoSmithKline, Essex, CM19 5AW, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(14), 3631-3634
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Small mol. antagonists of the vanilloid receptor 1 (TRPV1, also known as VR1) are disclosed. Ureas such as 5 (SB-452533) were used to explore the structure activity relation with several potent analogs identified. Pharmacol. studies using electrophysiol. and FLIPR Ca2+ based assays showed compound 5 was an antagonist vs. capsaicin, noxious heat and acid mediated activation of TRPV1. Study of a quaternary salt of 5 supports a mode of action in which compds. from this series cause inhibition via an extracellularly accessible binding site on the TRPV1 receptor.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:418312 HCAPLUS
DOCUMENT NUMBER: 141:113552
TITLE: The discovery of new galaxy members in the NGC 5044 and NGC 1052 groups
AUTHOR(S): McKay, N. P. F.; Mundell, C. G.; **Brough, S.**; Forbes, Duncan A.; Barnes, D. G.; James, P. A.; Goudfrooij, P.; Kozhurina-Platais, V.; Whitaker, R.
CORPORATE SOURCE: Astrophysics Research Institute, Liverpool John Moores University, Birkenhead, CH41 1LD, UK
SOURCE: Los Alamos National Laboratory, Preprint Archive, Astrophysics (2004) 1-21, arXiv:astro-ph/0405241, 12 May 2004
CODEN: LNASFZ
URL: http://xxx.lanl.gov/pdf/astro-ph/0405241
PUBLISHER: Los Alamos National Laboratory
DOCUMENT TYPE: Preprint
LANGUAGE: English
AB We present the results of H I observations of the NGC 5044 and NGC 1052 groups, as part of a GEMS (group evolution multiwavelength study) investigation into the formation and evolution of galaxies in nearby groups. Two new group members were discovered during a wide-field H I imaging survey conducted using the ATNF Parkes telescope. These results,

as well as those from follow-up H I synthesis and optical imaging, are presented here. J1320 - 1427, a new member of the NGC 5044 group, has an H I mass of MHI = 1.05 + 109 M.sun. and MHI/LB = 1.65 M.sun./L.sun., with a radial velocity of v = 2750 km s-1. The optical galaxy is characterized by two regions of star formation, surrounded by an extended, diffuse halo. J0249-0806, the new member of the NGC 1052 group, has MHI = 5.4 + 108 M.sun., MHI/LR = 1.13 M.sun./L.sun. and v = 1450 km s-1. The optical image reveals a low surface brightness galaxy. We interpret both of these galaxies as irregular type, with J0249 - 0806 possibly undergoing 1st infall into the NGC 1052 group.

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:341335 HCAPLUS
DOCUMENT NUMBER: 141:65384
TITLE: Pharmacological characterisation of the orexin receptor subtype mediating postsynaptic excitation in the rat dorsal raphe nucleus
AUTHOR(S): Soffin, Ellen M.; Gill, Catherine H.; **Brough, Stephen J.**; Jerman, Jeff C.; Davies, Ceri H.
CORPORATE SOURCE: New Frontiers Science Park, GlaxoSmithKline, Department of Psychiatry, Centre of Excellence for Drug Discovery, Harlow, CM19 5AW, UK
SOURCE: Neuropharmacology (2004), 46(8), 1168-1176
CODEN: NEPHBW; ISSN: 0028-3908
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Electrophysiol. recordings from dorsal raphe nucleus (DRN) neurons in rat brain slices have revealed that the orexins can cause direct and reversible depolarization of the postsynaptic membrane. While it is known that the membrane depolarization produced by orexin-A can dramatically increase the firing rate of DRN neurons, quant. pharmacol. anal. that dets. the receptor subtype mediating the orexinergic response has not yet been performed. Here, we demonstrate that the rank order of potencies of orexin receptor agonists to excite serotonergic DRN neurons is orexin-A=orexin-B>SB-668875-DM. In contrast, the rank order of potency of these agonists to excite noradrenergic locus ceruleus (LC) neurons is orexin-A>orexin-B>SB-668875-DM. We show further that the orexin receptor antagonist, SB-334867-A, inhibits the effects of orexin-A in the LC and DRN with pKB values of 6.93 and 5.84, resp., values similar to those calculated for human OX1 (7.27) and OX2 (5.60) receptors expressed in CHO cells. These data suggest a differential role for OX1 and OX2 receptors in stimulating distinct populations of monoaminergic neurons in the rat CNS with OX2 receptors exhibiting a more pronounced functional significance in serotonergic neurons and OX1 in noradrenergic neurons.
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:157127 HCAPLUS
DOCUMENT NUMBER: 140:332311
TITLE: Characterisation of the binding of [3H]-SB-674042, a novel nonpeptide antagonist, to the human orexin-1 receptor
AUTHOR(S): Langmead, Christopher J.; Jerman, Jeffrey C.; **Brough, Stephen J.**; Scott, Claire; Porter, Rod A.; Herdon, Hugh J.
CORPORATE SOURCE: Psychiatry Centre of Excellence for Drug Discovery,

GlaxoSmithKline Pharmaceuticals, Essex, CM19 5AW, UK
SOURCE: British Journal of Pharmacology (2004), 141(2), 340-346
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study characterizes the binding of a novel nonpeptide antagonist radioligand, [3H]SB-674042 (1-(5-(2-fluoro-phenyl)-2-methyl-thiazol-4-yl)-1-((S)-2-(5-phenyl-(1,3,4)oxadiazol-2-ylmethyl)-pyrrolidin-1-yl)-methanone), to the human orexin-1 (OX1) receptor stably expressed in Chinese hamster ovary (CHO) cells in both a whole cell assay and in a cell membrane-based scintillation proximity assay (SPA) format. Specific binding of [3H]SB-674042 was saturable in both whole cell and membrane formats. Analyses suggested a single high-affinity site, with Kd values of 3.76 ± 0.45 and 5.03 ± 0.31 nM, and corresponding Bmax values of 30.8±1.8 and 34.4±2.0 pmol mg protein-1, in whole cell and membrane formats, resp. Kinetic studies yielded similar Kd values. Competition studies in whole cells revealed that the native orexin peptides display a low affinity for the OX1 receptor, with orexin-A displaying a .apprx.five-fold higher affinity than orexin-B (Ki values of 318±158 and 1516±597 nM, resp.). SB-334867, SB-408124 (1-(6,8-difluoro-2-methyl-quinolin-4-yl)-3-(4-dimethylamino-phenyl)-urea) and SB-410220 (1-(5,8-difluoro-quinolin-4-yl)-3-(4-dimethylamino-phenyl)-urea) all displayed high affinity for the OX1 receptor in both whole cell (Ki values 99±18, 57±8.3 and 19±4.5 nM, resp.) and membrane (Ki values 38±3.6, 27±4.1 and 4.5±0.2 nM, resp.) formats. Calcium mobilization studies showed that SB-334867, SB-408124 and SB-410220 are all functional antagonists of the OX1 receptor, with potencies in line with their affinities, as measured in the radioligand binding assays, and with approx. 50-fold selectivity over the orexin-2 receptor. These studies indicate that [3H]SB-674042 is a specific, high-affinity radioligand for the OX1 receptor. The availability of this radioligand will be a valuable tool with which to investigate the physiol. functions of OX1 receptors.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:1001977 HCAPLUS
DOCUMENT NUMBER: 140:314404
TITLE: N-Benzylindole-2-carboxylic acids: potent functional antagonists of the CCR2b chemokine receptor
AUTHOR(S): Kettle, Jason G.; **Faull, Alan W.**; Barker, Andy J.; Davies, D. Huw; Stone, Michael A.
CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 405-408
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

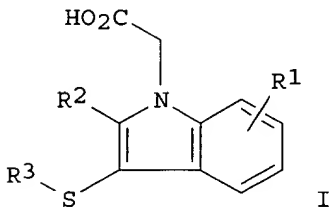
AB Screening of the corporate database led to the discovery of a novel series of N-benzylindole-2-carboxylic acid CCR2b chemokine receptor antagonists. These compds. demonstrate high affinity and functional inhibition of the CCR2b receptor. A discussion of the structure-activity relationships is presented, together with evidence for a highly selective receptor binding profile.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:972054 HCAPLUS
DOCUMENT NUMBER: 140:16643
TITLE: Preparation of indolylacetic acid derivatives to treat diseases mediated by prostaglandin D2
INVENTOR(S): Bonnert, Roger; **Brough, Stephen**; Cook, Tony; Dickinson, Mark; Rasul, Rukhsana; Sanganee, Hitesh; Teague, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101961	A1	20031211	WO 2003-SE856	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487675	AA	20031211	CA 2003-2487675	20030527
EP 1513812	A1	20050316	EP 2003-725970	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011494	A	20050329	BR 2003-11494	20030527
US 2005165055	A1	20050728	US 2003-516557	20030527
PRIORITY APPLN. INFO.:			SE 2002-1635 A	20020530
			WO 2003-SE856 W	20030527

OTHER SOURCE(S): MARPAT 140:16643
GI



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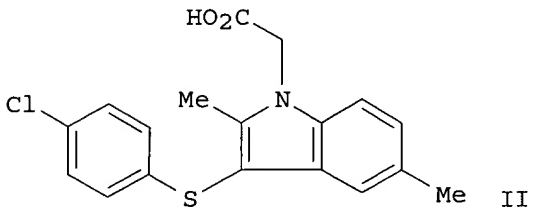


II

AB Title compds. I [R1 = H, halo, CN, NO2, sulfonyl, OH, alkoxy, etc.; R2 = H, halo, CN, sulfonyl, carboxamido, CH2OH, etc.; R3 = (un)substituted (hetero)aryl] are prepared For instance, 3-[(4-chlorophenyl)thio]-2,5-dimethyl-1H-indole is alkylated with Et bromoacetate (DMF, NaH) and the product saponified (EtOH/H2O, NaOH) to give II. Example compds. have IC50 <

10 μM for the rhCRTh2 receptor. I are useful in the treatment of respiratory disorders.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:93711 HCAPLUS
DOCUMENT NUMBER: 138:280742
TITLE: 1,2-Dihydro-4-quinazolinamines: Potent, Highly Selective Inhibitors of Inducible Nitric Oxide Synthase Which Show Antiinflammatory Activity in Vivo
AUTHOR(S): Tinker, Alan C.; Beaton, Haydn G.; Boughton-Smith, Nigel; Cook, Tony R.; Cooper, Sally L.; Fraser-Rae, Lynne; Hallam, Kay; Hamley, Peter; **McInally, Tom**; Nicholls, David J.; Pimm, Austen D.; Wallace, Alan V.
CORPORATE SOURCE: Departments of Medicinal Chemistry and BioScience, AstraZeneca R&D, Loughborough /Leicestershire, LE11 5RH, UK
SOURCE: Journal of Medicinal Chemistry (2003), 46(6), 913-916
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:280742
AB The discovery of a novel class of nitric oxide synthase (NOS) inhibitors, 2-substituted 1,2-dihydro-4-quinazolinamines, and the related 4'-aminospiro[piperidine-4,2'(1'H)-quinazolin]-4'-amines is described. Members of both series exhibit nanomolar potency and high selectivity for the inducible isoform of the enzyme (i-NOS) relative to the constitutive isoforms in vitro. Efficacy in acute and chronic animal models of inflammatory disease following oral administration has also been demonstrated using these compds.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 13 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:943087 HCAPLUS
DOCUMENT NUMBER: 138:177620
TITLE: Neutral hydrogen in galaxy groups
AUTHOR(S): McKay, N. P. F.; Mundell, C. G.; **Brough, S.**; Forbes, D. A.; Barnes, D. G.
CORPORATE SOURCE: Astrophysics Research Institute, Liverpool John Moores University, UK
SOURCE: Los Alamos National Laboratory, Preprint Archive, Astrophysics (2002) 1-4, arXiv:astro-ph/0212238, 10 Dec 2002
CODEN: LNASFZ
URL: http://xxx.lanl.gov/pdf/astro-ph/0212238
PUBLISHER: Los Alamos National Laboratory
DOCUMENT TYPE: Preprint
LANGUAGE: English
AB We present preliminary results from a study of the H I properties of an x-ray selected sample of nearby loose galaxy groups. This forms part of a multi-wavelength investigation (x-ray, optical and radio) of the formation and evolution of galaxies within a group environment. Some initial findings of an ATNF Parkes Multibeam wide-area H I imaging survey of 17 nearby galaxy groups include 2 new, potentially isolated clouds of H I in the NGC 1052 and NGC 5044 groups and significant amts. of H I within the group virial radii of groups NGC 3557 and IC 1459; 2 groups with complex

x-ray structures that suggest they may still be in the act of virialization. Here we present ATCA high-resolution synthesis-imaging follow-up observations of the distribution and kinematics of H I in these 4 groups.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:935127 HCAPLUS
DOCUMENT NUMBER: 139:62622
TITLE: Pharmacology of vanilloids at recombinant and endogenous rat vanilloid receptors
AUTHOR(S): Ralevic, Vera; Jerman, Jeffrey C.; **Brough, Stephen J.**; Davis, John B.; Egerton, Julie; Smart, Darren
CORPORATE SOURCE: School of Biomedical Sciences, Queen's Medical Centre, University of Nottingham Medical School, Nottingham, NG7 2UH, UK
SOURCE: Biochemical Pharmacology (2003), 65(1), 143-151
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study compared the actions of members of five different chemical classes of vanilloid agonists at the recombinant rat vanilloid VR1 receptor expressed in HEK293 cells, and at endogenous vanilloid receptors on dorsal root ganglion cells and sensory nerves in the rat isolated mesenteric arterial bed. In mesenteric beds, vanilloids elicited dose-dependent vasorelaxation with the rank order of potency: resiniferatoxin »capsaicin = olvanil >phorbol 12-phenyl-acetate 13-acetate 20-homovanillate (PPAHV) >isovelleral. Scutigeral was inactive. Responses were abolished by capsaicin pretreatment and inhibited by ruthenium red. In VR1-HEK293 cells and dorsal root ganglion neurons, Ca2+ responses were induced by resiniferatoxin>capsaicin=olvanil>PPAHV; all four were full agonists. Isovelleral and scutigeral were inactive. The resiniferatoxin-induced Ca2+ response had a distinct kinetic profile. Olvanil had a Hill coefficient of .apprx.1 while capsaicin, resiniferatoxin and PPAHV had Hill coeffs. of .apprx.2 in VR1-HEK293 cells. The capsaicin-induced Ca2+ response was inhibited in a concentration-dependent manner by ruthenium red>capsazepine>isovelleral. These data show that resiniferatoxin, capsaicin, olvanil and PPAHV, but not scutigeral and isovelleral, are agonists at recombinant rat VR1 receptors and endogenous vanilloid receptors on dorsal root ganglion neurons and in the rat mesenteric arterial bed. The vanilloids display the same relative potencies (resiniferatoxin>capsaicin=olvanil>PPAHV) in all of the bioassays.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 15 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:379222 HCAPLUS
DOCUMENT NUMBER: 137:232795
TITLE: Radical cyclisation onto pyrazoles: synthesis of withasomnine
AUTHOR(S): Allin, Steven M.; Barton, William R. S.; Bowman, W. Russell; **McInally, Tom**
CORPORATE SOURCE: Department of Chemistry, Loughborough University, Loughborough, LE11 3TU, UK
SOURCE: Tetrahedron Letters (2002), 43(23), 4191-4193

CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232795
AB A novel synthetic protocol for the synthesis of [1,2-b]-fused bicyclic pyrazoles has been developed using radical cyclization. The protocol uses cyclisation of pyrazole-1-(ω-alkyl) radicals generated from 1-[ω-(phenylselenyl)alkyl]-pyrazole precursors. The pyrazole natural product, withasomnine (3-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole), and larger ring analogs have been synthesized in good yield using the protocol. A Bu3SnH-mediated oxidative cyclisation mechanism is facilitated by azo or Et3B radical initiators acting as oxidants of the intermediate π-radicals.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

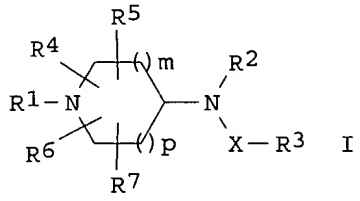
L23 ANSWER 16 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:920229 HCAPLUS
DOCUMENT NUMBER: 136:145371
TITLE: Discovery of potent and selective peptide agonists at the GRP-preferring bombesin receptor (BB2)
AUTHOR(S): Darker, John G.; **Brough, Stephen J.**; Heath, Jennie; Smart, Darren
CORPORATE SOURCE: Discovery Research, New Frontiers Science Park, GlaxoSmithKline, Essex, CM19 5AW, UK
SOURCE: Journal of Peptide Science (2001), 7(11), 598-605
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Analogs of the nonselective bombesin receptor synthetic agonist H-D-Phe-Gln-Trp-Ala-Val-βAla-His-Phe-Nle-NH2 were prepared and their biol. activity assessed at the NMB-preferring/bombesin receptor (NMB-R; BB1), the GRP-preferring/bombesin receptor (GRP-R; BB2) and the orphan receptor bombesin receptor subtype-3 (BRS-3: BB3). Progressive N-terminal deletions identified the min. C-terminal sequences required for maintaining a significant agonist effect, while an alanine scan, targeted changes in stereochem. and other pertinent substitutions identified key side-chain and stereochem. requirements for activation. Key structural elements required for functional potency at BB1 BB2 and BB3, and for selectivity between these receptor subtypes were established. Synthetic peptides were discovered, which were highly potent agonists at BB2 and extremely selective over both BB1 and BB3.
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 17 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:851116 HCAPLUS
DOCUMENT NUMBER: 135:371644
TITLE: Pharmaceutically active piperidine derivatives, in particular as modulators of chemokine receptor activity
INVENTOR(S): Burrows, Jeremy; Cooper, Anne; Cumming, John; **Mcinally, Thomas**; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087839	A1	20011122	WO 2001-SE1053	20010514
WO 2001087839	C1	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407258	AA	20011122	CA 2001-2407258	20010514
BR 2001010767	A	20030211	BR 2001-10767	20010514
EP 1289957	A1	20030312	EP 2001-932457	20010514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003533510	T2	20031111	JP 2001-584235	20010514
EE 200200647	A	20040816	EE 2002-647	20010514
ZA 2002008894	A	20040202	ZA 2002-8894	20021101
NO 2002005430	A	20021218	NO 2002-5430	20021113
US 2004006081	A1	20040108	US 2002-276430	20021210
PRIORITY APPLN. INFO.:			GB 2000-11838 A	20000517
			WO 2001-SE1053 W	20010514

OTHER SOURCE(S): MARPAT 135:371644
GI



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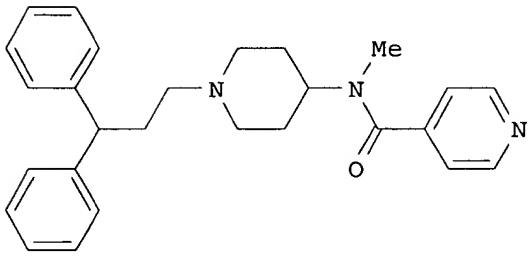


II

AB The title compds., e.g., [I; R1 = (un)substituted C1-6 alkyl, C3-7 cycloalkyl, C3-8 alkenyl or C3-8 alkynyl; R2 = H, C1-8 alkyl, C3-8 alkenyl, C3-8 alkynyl, C3-7 cycloalkyl, aryl, heteroaryl, heterocyclyl, aryl (C1-4)alkyl, heteroaryl(C1-4)alkyl, or heterocyclyl(C1-4)alkyl; R3 = C1-8 alkyl, C2-8 alkenyl, mono- or disubstituted amine, C2-8 alkynyl, C3-7

cycloalkyl, C3-7 cycloalkenyl, aryl, heteroaryl, heterocyclyl, aryl (C1-4)alkyl, heteroaryl(C1-4)alkyl, or heterocyclyl(C1-4)alkyl; R4, R5, R6 and R7 = independently H, (un)substituted C1-6 alkyl, (un)substituted S(O)2NH2 or two of R4, R5, R6 and R7 can join to form, together with the ring to which they are attached, a bicyclic ring system or two of R4, R5, R6 and R7 can form an endocyclic bond; X = C(O), S(O)2, C(O)C(O), a direct bond or (un)substituted C(O)C(O)N; m and p = independently 0,1 or 2; or a pharmaceutically acceptable salt or solvate thereof], compns. comprising them, processes for preparing then and their use in modulating CCR5 receptor activity (no data). Thus, reacting isonicotinic acid with 4-methylamino-1-(3,3-diphenylpropyl)piperidine hydrochloride (preparation given) in the presence of diisopropylethylamine in NMP followed by a solution of bromo-tris-pyrrolidinophosphonium hexafluorophosphate in NMP afforded II.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 18 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:749832 HCAPLUS
DOCUMENT NUMBER: 136:200065
TITLE: Acyl radical cyclisation onto pyrroles
AUTHOR(S): Allin, S. M.; Barton, W. R. S.; Bowman, W. R.; **McInally, T.**
CORPORATE SOURCE: Department of Chemistry, Loughborough University, Loughborough, LE11 3TU, UK
SOURCE: Tetrahedron Letters (2001), 42(44), 7887-7890
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200065
AB Synthetically useful [1,2-a]-fused pyrroles, e.g. 2,3-dihydro-1H-pyrrolizidines substituted in the 1- and 7-positions, were generated by acyl radical cyclization onto pyrroles using N-(ω-acyl)-radicals generated from acyl-selenide precursors. The protocol does not require high pressures of CO. Mechanistic studies indicate the key role of azo radical initiators as oxidants of the intermediate π-radicals.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:676752 HCAPLUS
DOCUMENT NUMBER: 135:242233
TITLE: Preparation of new CCR5 modulators: benzimidazoles or benzotriazoles
INVENTOR(S): Burrows, Jeremy; Cumming, John; **McInally, Thomas**
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

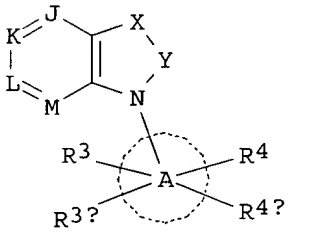
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066525	A1	20010913	WO 2001-SE470	20010306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2401524	AA	20010913	CA 2001-2401524	20010306
EP 1265870	A1	20021218	EP 2001-918028	20010306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009109	A	20030603	BR 2001-9109	20010306
JP 2003525928	T2	20030902	JP 2001-565342	20010306
NZ 521113	A	20040528	NZ 2001-521113	20010306
ZA 2002007112	A	20031204	ZA 2002-7112	20020904
US 2003119869	A1	20030626	US 2002-220915	20020906
NO 2002004310	A	20021025	NO 2002-4310	20020909
PRIORITY APPLN. INFO.:			GB 2000-5642 A	20000310
			WO 2001-SE470 W	20010306

OTHER SOURCE(S): MARPAT 135:242233
GI



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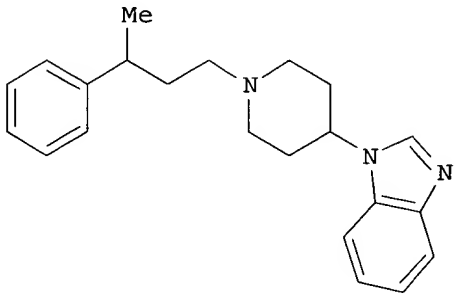


II

AB The title compds. [I; A = 5-7 membered ring comprising one (un)substituted N atom (A being either saturated or including one endocyclic double bond); XY = N:CR5, N:N; J = N, CR2a; K = N, CR2b; L = N, CR2c; M = N, CR2d (provided that no more than 2 of J, K, L and M are N atoms); R2a-R2d = H, halo, CN, etc.; R3, R3a, R4, R4a = H, alkyl, hydroxyalkyl, etc.; R5 = H, alkyl, cyanoalkyl, etc.], use in modulating CCR5 receptor activity, were prepared and formulated. Thus, reacting 3-phenylbutyraldehyde with 1-(piperidin-1-yl)benzimidazole (preparation given) in the presence of NaBH(OAc)3 in MeOH/AcOH afforded II which showed IC50 of < 50 μM against the binding of RANTES, and IC50 of < 50 μM against the binding of MIP-1α.

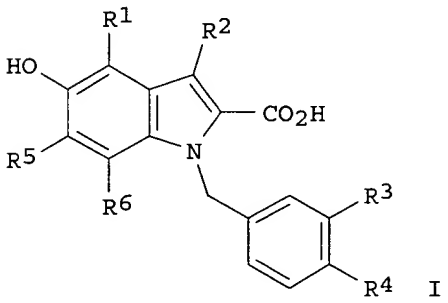
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 20 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:526058 HCAPLUS
DOCUMENT NUMBER: 135:107249
TITLE: Preparation of indole-2-carboxylic acids as MCP-1 receptor antagonists
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason Grant
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051467	A1	20010719	WO 2001-GB74	20010109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393597	AA	20010719	CA 2001-2393597	20010109
BR 2001007405	A	20021008	BR 2001-7405	20010109
EP 1268423	A1	20030102	EP 2001-900197	20010109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519684	T2	20030624	JP 2001-551849	20010109
NZ 519311	A	20040528	NZ 2001-519311	20010109
AU 779502	B2	20050127	AU 2001-23874	20010109
ZA 2002004351	A	20030901	ZA 2002-4351	20020530
NO 2002003381	A	20020909	NO 2002-3381	20020712
PRIORITY APPLN. INFO.:			GB 2000-625 A	20000113
			WO 2001-GB74 W	20010109

OTHER SOURCE(S): MARPAT 135:107249
GI



I

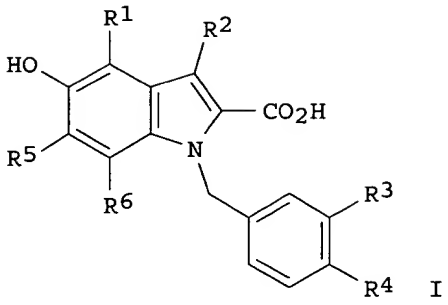
AB The title compds. [I; R1, R2 = H, halo, Me, Et, OMe; R3 = halo, alkyl, alkenyl, etc.; R4 = halo, CF3, SMe, etc.; R5 = H, halo, CN, etc.; R6 = H, halo, alkyl, etc.; provided that when R1 = H, halo or OMe, R2 = H, halo, Me, Et or OMe, R5 and R6 are both H, and one of R3 or R4 = Cl, F, or CF3, then the other of R3 or R4 is not halo or CF3] which have useful activity for the treatment of inflammatory disease, specifically in antagonizing an MCP-1 mediated effect in a warm-blooded animal such as a human being, were prepared and formulated. Thus, reacting Et N-(3-methoxy-4-chlorobenzyl)-5-acetoxyindole-2-carboxylate (preparation given) with NaOH in MeOH/THF followed by treatment with 2M HCl afforded 70% I [R1, R2, R5, R6 = H; R3 = OMe; R4 = Cl]. The tested compds. I had IC50's of ≤ 50 μM in the hMCP-1

receptor binding assay.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:526057 HCAPLUS
DOCUMENT NUMBER: 135:107248
TITLE: Preparation of indole-2-carboxylic acids as MCP-1 receptor antagonists
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason Grant
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051466	A1	20010719	WO 2001-GB69	20010111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393592	AA	20010719	CA 2001-2393592	20010111
BR 2001007404	A	20021008	BR 2001-7404	20010111
EP 1252142	A1	20021030	EP 2001-900494	20010111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519683	T2	20030624	JP 2001-551848	20010111
EE 200200394	A	20031215	EE 2002-394	20010111
NZ 519312	A	20040430	NZ 2001-519312	20010111
AU 780992	B2	20050428	AU 2001-25324	20010111
ZA 2002004354	A	20030901	ZA 2002-4354	20020530
BG 106894	A	20030430	BG 2002-106894	20020702
US 2003144339	A1	20030731	US 2002-169717	20020709
NO 2002003380	A	20020903	NO 2002-3380	20020712
PRIORITY APPLN. INFO.:			GB 2000-626 A	20000113
			WO 2001-GB69 W	20010111

OTHER SOURCE(S): MARPAT 135:107248
GI



I

AB The title compds. [I; R1 = H, halo, OMe; R2 = H, halo, Me, Et, OMe; R3 = halo, CF3; R4 = halo, CF3; R5 = H, halo; R6 = H, halo; provided that when R5 and R6 are both H atom, and one of R3 or R4 is Cl or F, then the other is not Cl or F] and their prodrugs which have useful activity for the treatment of inflammatory disease, specifically in antagonizing an MCP-1 mediated effect in a warm-blooded animal such as a human being, were prepared and formulated. Thus, reacting Et N-(3-trifluoromethyl 4-chlorobenzyl)-5-acetoxyindole-2-carboxylate (preparation given) with NaOH in H2O/MeOH followed by treatment with 2M HCl afforded 71% I [R1, R2, R5, R6 = H; R3 = CF3; R4 = Cl]. The tested compds. I had IC50's of ≤ 50 μM in the hMCP-1 receptor binding assay.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 22 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:135177 HCAPLUS
DOCUMENT NUMBER: 134:188485
TITLE: Evidence that orexin-A-evoked grooming in the rat is mediated by orexin-1 (OX1) receptors, with downstream 5-HT2C receptor involvement
AUTHOR(S): Duxon, Mark S.; Stretton, Jennifer; Starr, Kathryn; Jones, Declan N. C.; Holland, Vicky; Riley, Graham; Jerman, Jeff; **Brough, Stephen**; Smart, Darren; Johns, Amanda; Chan, Wai; Porter, Rod A.; Upton, Neil
CORPORATE SOURCE: Neuroscience Research, SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Essex, CM19 5AW, UK
SOURCE: Psychopharmacology (Berlin, Germany) (2001), 153(2), 203-209
CODEN: PSCHDL; ISSN: 0033-3158
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Orexins A and B have recently been discovered and shown to be derived from prepro-orexin, primarily expressed in the rat hypothalamus. Orexin-A has been ascribed a number of in vivo functions in the rat after intracerebroventricular (ICV) administration, including hyperphagia, neuroendocrine modulation and, most recently, evidence for a behavioral response characterized by an increase in grooming. Here, the authors have investigated the orexin-receptor subtypes involved in the grooming response to orexin-A (3 μg, ICV) in the rat. Male rats, habituated to clear Perspex behavioral observation boxes, were pretreated with antagonists with mixed selectivity for OX1, OX2, 5-HT2B and 5-HT2C receptor subtypes prior to the administration of orexin-A and the intense

grooming response elicited by this peptide assessed. Pretreatment of rats with a mixed OX1/5-HT2B/2C receptor antagonist 1-(4-methylsulfanylphenyl)-3-quinolin-4-yl urea (SB-284422), revealed a significant, but incomplete, blockade of orexin-A-induced grooming. Despite the low potency of orexin-A at 5-HT2B and 5-HT2C receptors in vitro (pKi<5), studies were undertaken to determine whether downstream 5-HT2B or 5-HT2C receptors mediate in the grooming-elicited by orexin-A. While the selective 5-HT2B receptor antagonist, SB-215505 (3 mg/kg, PO, 5-HT2B, pKi = 8.58; OX1, pKB < 5.15) failed to effect orexin-A-induced grooming, the selective 5-HT2C receptor antagonist, SB-242084 (1 mg/kg, IP, 5-HT2C, pKi = 8.95; OX1, pKB < 5.1) potently antagonized the grooming response to this peptide. This suggested that the partial blockade of orexin-A-induced grooming obtained with SB-284422 might be attributable to its 5-HT2C and/or OX1 receptor blocking activity. However, complete blockade of orexin-A-induced grooming by the subsequently identified selective OX1 receptor antagonist 1-(2-methylbenzoxazol-6-yl)-3-[1,5]naphthyridin-4-yl urea hydrochloride, SB-334867-A (OX1, pKB = 7.4; OX2, pKB = 5.7), devoid of appreciable affinity for either 5-HT2B (pKi < 5.3) or 5-HT2C (pKi < 5.4) receptors, provides the first definitive evidence that a central behavioral effect of orexin-A (grooming) is mediated by OX1 receptors. This data suggests that orexin-A indirectly activates 5-HT2C receptors downstream from OX1 receptors to elicit grooming in the rat. The use of SB-334867-A in vivo will enable the role of OX1 receptors within the rat central nervous system to be further characterized.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

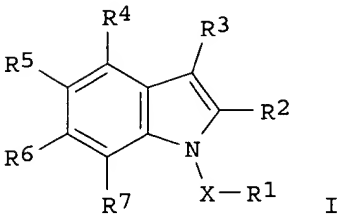
L23 ANSWER 23 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:595458 HCAPLUS
DOCUMENT NUMBER: 133:321778
TITLE: Facile synthesis of 3-alkoxyindoles via rhodium(II)-catalyzed diazoindole O-H insertion reactions
AUTHOR(S): Kettle, J. G.; **Faull, A. W.**; Fillery, S. M.; Flynn, A. P.; Hoyle, M. A.; Hudson, J. A.
CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Tetrahedron Letters (2000), 41(35), 6905-6907
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:321778
AB 2-Carboethoxy-3-diazo-3H-indole (I) is a substrate for rhodium(II)-catalyzed alc. O-H insertion reactions leading to 3-alkoxyindoles in good yield. The scope of the reaction is discussed. The authors warn that heating I over 130° results in exothermic decomposition
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 24 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:553556 HCAPLUS
DOCUMENT NUMBER: 133:150463
TITLE: Preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046199	A2	20000810	WO 2000-GB284	20000131
WO 2000046199	A3	20001130		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355734	AA	20000810	CA 2000-2355734	20000131
BR 2000008015	A	20011106	BR 2000-8015	20000131
EP 1173421	A2	20020123	EP 2000-901747	20000131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536362	T2	20021029	JP 2000-597270	20000131
ZA 2001005017	A	20020919	ZA 2001-5017	20010619
NO 2001003768	A	20011001	NO 2001-3768	20010801
US 6833387	B1	20041221	US 2001-889516	20011002
PRIORITY APPLN. INFO.:			GB 1999-2455 A	19990205
			WO 2000-GB284 W	20000131

OTHER SOURCE(S): MARPAT 133:150463
GI



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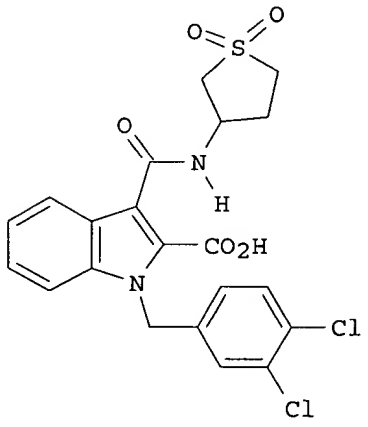


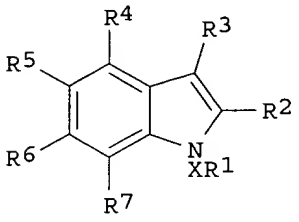
II

AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = OR15 (wherein R15 = substituted alkyl or cycloalkyl, (un)substituted heteroaryl), S(O)qR15 (q = 0-2), (CH2)sCO2H (s = 0-4), etc.; R4-R7 = H, (un)substituted hydrocarbyl, heterocyclyl, etc.] and their pharmaceutically acceptable salts, amides or esters, useful in the preparation of a medicament for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis, were prepared and formulated. Thus, hydrolysis of the corresponding ester afforded 93% II which showed IC50 of 6.86 μM against hMCP-1 receptor binding.

L23 ANSWER 25 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:553555 HCAPLUS
DOCUMENT NUMBER: 133:150462
TITLE: Preparation of indolecarboxylates as antiinflammatories.
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca US Limited, UK
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046198	A1	20000810	WO 2000-GB275	20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2357013	AA	20000810	CA 2000-2357013	20000131
BR 2000007987	A	20011030	BR 2000-7987	20000131
EP 1150954	A1	20011107	EP 2000-901741	20000131
EP 1150954	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002536361	T2	20021029	JP 2000-597269	20000131
AT 279391	E	20041015	AT 2000-901741	20000131
ZA 2001005020	A	20020930	ZA 2001-5020	20010619
NO 2001003808	A	20011002	NO 2001-3808	20010803
US 6569888	B1	20030527	US 2001-889494	20010912
PRIORITY APPLN. INFO.:			GB 1999-2452 A	19990205
			WO 2000-GB275 W	20000131

OTHER SOURCE(S): MARPAT 133:150462
GI



I

AB Title compds. [I; X = CH2, SO2; R1 = (substituted) aryl, heteroaryl; R2 = CO2H, COCH2OH, aminocarbonyl, aminosulfonyl, tetrazolyl, SO3H, etc.; R3 = H, functional group, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, alkoxy, aralkyl, aralkoxy, cycloalkyl; R4 = OR15, S(O)qR15; q = 0, 1, 2; R15 = substituted H-containing alkyl; R4-R7 = H, functional

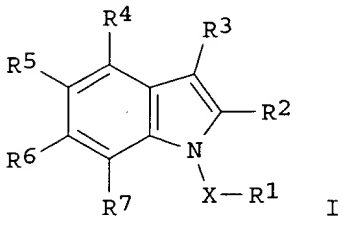
group, (substituted) hydrocarbyl, heterocyclyl], were prepared Thus, Et N-(3,4-dichlorobenzyl)-4-mercaptoindole-2-carboxylate (preparation given) was stirred 1 h with NaH in DMF; HO(CH2)3Br was added followed by 16 h stirring to give 14% Et N-(3,4-dichlorobenzyl)-4-(3-hydroxypropylthio)indole-2-carboxylate. I showed IC50≤50 μM for binding to hMCP-1 receptors.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 26 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:553554 HCAPLUS
DOCUMENT NUMBER: 133:150461
TITLE: Preparation of indole derivatives as MCP-1 receptor antagonists
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000046197	A1	20000810	WO 2000-GB271		20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1150953	A1	20011107	EP 2000-901738		20000131
EP 1150953	B1	20030924			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002536360	T2	20021029	JP 2000-597268		20000131
AT 250577	E	20031015	AT 2000-901738		20000131
US 6613760	B1	20030902	US 2001-889493		20010702
PRIORITY APPLN. INFO.:			GB 1999-2453	A	19990205
			WO 2000-GB271	W	20000131

OTHER SOURCE(S): MARPAT 133:150461
GI



I

AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl;

R2 = CO2H, CN, COCH2OH, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = CONR15R16 (wherein R15, R16 = H, alkyl, alkenyl, etc.), (CH2)tR17 (R17 = NR18R19, OR20, SOsR21; R18, R19 = H, (un)substituted hydrocarbyl, heterocyclyl; NR18R19 = (un)substituted heterocyclyl; R20 = alkyl, alkenyl, alkynyl, etc.; R21 = (un)substituted hydrocarbyl, heterocyclyl; t = 1-4; s = 0-2); R5-R7 = H, a functional group, (un)substituted heterocyclyl, etc.], useful in therapy, in particular of inflammatory disease, were prepared Thus, hydrolysis of the corresponding ester afforded 85% I [X = CH2; R1 = 3,4-Cl2C6H3; R2 = CO2H; R3 = H; R4 = CONH(CH2)2NHSO2Me; R5-R7 = H] which showed IC50 of 0.64 μM against hMCP-1 receptor binding.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 27 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:553553 HCAPLUS
DOCUMENT NUMBER: 133:150460
TITLE: Preparation of indole derivatives as MCP-1 antagonists
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason Grant
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000046196	A1	20000810	WO 2000-GB265		20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2356898	AA	20000810	CA 2000-2356898		20000131
BR 2000007984	A	20011106	BR 2000-7984		20000131
EP 1150952	A1	20011107	EP 2000-901259		20000131
EP 1150952	B1	20041027			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200102233	T2	20011221	TR 2001-200102233		20000131
EE 200100403	A	20021015	EE 2001-403		20000131
JP 2002536359	T2	20021029	JP 2000-597267		20000131
NZ 512680	A	20031128	NZ 2000-512680		20000131
AU 770856	B2	20040304	AU 2000-21213		20000131
RU 2235090	C2	20040827	RU 2001-124567		20000131
AT 280757	E	20041115	AT 2000-901259		20000131
ZA 2001005311	A	20020927	ZA 2001-5311		20010627
NO 2001003809	A	20011002	NO 2001-3809		20010803
US 6737435	B1	20040518	US 2001-889599		20011019
PRIORITY APPLN. INFO.:			GB 1999-2461	A	19990205
			WO 2000-GB265	W	20000131

OTHER SOURCE(S): MARPAT 133:150460
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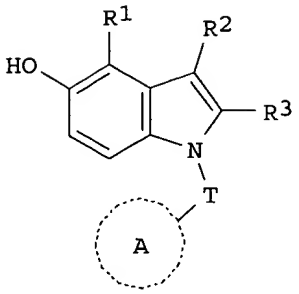

I

AB The title compds. [I; R1 = H, halo, OMe; R2 = H, halo, Me, Et, OMe; R3 = CO2H, tetrazolyl, CONHSO2R4 (wherein R4 = Me, Et, Ph, 2,5-dimethylisoxazolyl, CF3); T = CH2, SO2; A = 3-ClC6H4, 4-ClC6H4, 2,3-dichloropyrid-5-yl, etc.], useful in the treatment of disease mediated by monocyte chemoattractant protein-1 or RANTES (Regulated Upon Activation, Normal T-cell Expressed and Secreted), such as inflammatory disease, were prepared and formulated. Thus, hydrolysis of Et N-(3,4-dichlorobenzyl)-5-hydroxyindole-2-carboxylate (preparation given) afforded 89% I [R1, R2 = H; R3 = CO2H; T = CH2; A = 3,4-Cl2C6H3]. Compds. I tested had IC50 of ≤ 50 μM against hMCP-1 receptor binding.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 28 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:553552 HCAPLUS
DOCUMENT NUMBER: 133:164001
TITLE: Preparation of indole-2-carboxylic acids as anti-inflammatory agents
INVENTOR(S): **Faull, Alan Wellington**; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046195	A1	20000810	WO 2000-GB260	20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1159269	A1	20011205	EP 2000-901255	20000131
EP 1159269	B1	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502279	T2	20030121	JP 2000-597266	20000131
AT 235465	E	20030415	AT 2000-901255	20000131
US 6911465	B1	20050628	US 2001-889515	20011010

US 2005026975	A1	20050203	US 2004-935248		20040907
PRIORITY APPLN. INFO.:			GB 1999-2459	A	19990205
			WO 2000-GB260	W	20000131
			US 2001-889515	A3	20011010

OTHER SOURCE(S): MARPAT 133:164001

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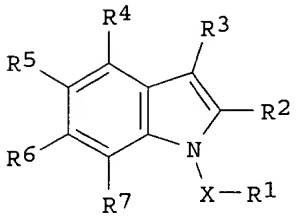

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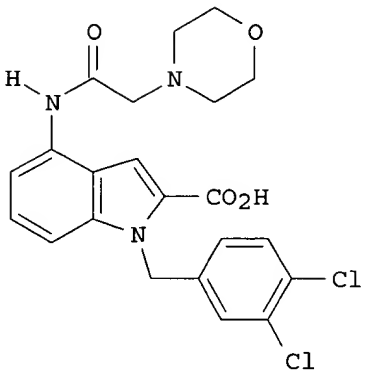

II

AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = NHCOR15, NHSO2R15, OCONR16R17 (wherein R15 = (un)substituted alkyl, aryl, heteroaryl; R16, R17 = H, (un)substituted alkyl, aryl, heteroaryl; with the proviso that at least one of R16 or R17 is other than hydrogen, or NR16R17 form (un)substituted heterocyclic ring which optionally contains further heteroatoms); R5-R7 = H, a functional group, (un)substituted hydrocarbyl, heterocyclyl; and further provided that when R4 = NHCOR15, R15 = substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl], useful in the treatment of disease mediated by monocyte chemoattractant protein-1 or RANTES (Regulated Upon Activation, Normal T-cell Expressed and Secreted), such as inflammatory disease, were prepared and formulated. E.g., a multi-step synthesis of the indole II which showed IC50 of 1.17 μM against hMCP-1 receptor binding, was given.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 29 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1999:691844 HCAPLUS
DOCUMENT NUMBER:	131:332476
TITLE:	Site-specific splice variation of the human P2X4 receptor
AUTHOR(S):	Carpenter, David; Meadows, Helen J.; **Brough, Stephen**; Chapman, Gayle; Clarke, Catherine; Coldwell, Martyn; Davis, Robert; Harrison, David; Meakin, Jackie; McHale, Mark; Rice, Simon Q. J.; Tomlinson, W. Jeff; Wood, Martyn; Sanger, Gareth J.
CORPORATE SOURCE:	Department of Information Management, SmithKline Beecham Pharmaceuticals, Essex, UK
SOURCE:	Neuroscience Letters (1999), 273(3), 183-186 CODEN: NELED5; ISSN: 0304-3940
PUBLISHER:	Elsevier Science Ireland Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB P2X4 receptors are expressed in specific brain areas. We now describe site-specific splice variations of the human P2X4 receptor subunit, occurring at residue [YVIG WVFV(W)] near the end of the first predicted transmembrane domain. P2x4(b) is formed by the insertion of an addnl. 16 amino acids. P2x4(c) is formed by deleting a cassette of 130 amino acids, including six of the 10 conserved extracellular cysteine residues. Transfection of P2X4(a), but not p2x4(c), formed functional channels in Xenopus oocytes and human 1321N1 cells. After transfection of p2x4(b) small, inconsistent ATP-evoked responses were detected only in the human cells, but when co-expressed, p2x4(b) may alter the function of P2X4(a) in oocytes. The distribution of splice variant RNA within human brain suggests regionally-dependent expression. These data indicate that the functions of the human P2X4 receptor may be altered by alternative splicing.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 30 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:529021 HCAPLUS
DOCUMENT NUMBER: 131:170342
TITLE: Preparation of bicyclic aromatic pyrrole derivatives as MCP-1 inhibitors for use as antiinflammatory agents and immunomodulators
INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; **Faull, Alan Wellington**
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940914	A1	19990819	WO 1999-GB335	19990202
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2319082	AA	19990819	CA 1999-2319082	19990202
AU 9924329	A1	19990830	AU 1999-24329	19990202
BR 9907936	A	20001024	BR 1999-7936	19990202
EP 1056451	A1	20001206	EP 1999-903810	19990202
EP 1056451	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002502874	T2	20020129	JP 2000-531166	19990202
NZ 505638	A	20020927	NZ 1999-505638	19990202
AT 227570	E	20021115	AT 1999-903810	19990202
ZA 9900940	A	19990817	ZA 1999-940	19990205
US 6479527	B1	20021112	US 2000-626378	20000726
NO 2000004091	A	20001016	NO 2000-4091	20000816
PRIORITY APPLN. INFO.:			GB 1998-3228 A	19980217
			WO 1999-GB335 W	19990202

OTHER SOURCE(S): MARPAT 131:170342

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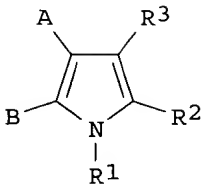

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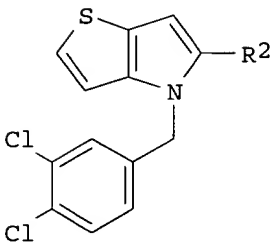


II

AB Pharmaceutical compns. are disclosed, which comprise the title compds. (I) [where A and B taken together = an optionally substituted 5-membered aromatic ring which includes at least one heteroatom; X = CH2 or SO2; R1 = an (un)substituted aryl or heteroaryl ring; R2 = organic groups including CO2H; R3 = H or a range of organic groups], or a pharmaceutically acceptable salt or amide. The compds. were prepared as monocyte chemoattractant protein-1 inhibitors for use as antiinflammatory agents and immunomodulators. Thus, sodium hydride was added to Et 4H-thieno[3,2-b]pyrrole-5-carboxylate (prepn given) followed by addition of 3,4-dichlorobenzyl bromide to form Et 4-(3,4-dichlorobenzyl)thieno[3,2-b]pyrrole-5-carboxylate (II), where R2 = CO2Et, in 64% yield. The product was hydrolyzed with sodium hydroxide in THF and methanol to form II, where R2 = CO2H, in 85% yield. Compds. of the invention were tested for hMCP-1 receptor binding and displayed IC50 values of < 50μM. In vitro chemotaxis assays were performed using either the human monocytic cell line THP-1 or peripheral blood mixed monocytes obtained from fresh, purified human blood. One compound was shown to have an IC50 value of 1.66μM in the hMCP-1 chemotaxis assay, and another was shown to have an IC50 of 2.66μM in the RANTES assay. No physiol. unacceptable toxicity was observed at the ED for tested compds. of the invention.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 31 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:529020 HCAPLUS
DOCUMENT NUMBER: 131:170264
TITLE: Preparation of cyclopenta[b]pyrrole, tetrahydroindole, and cyclohepta[b]pyrrole derivatives as MCP-1 inhibitors for use as antiinflammatory agents and immunomodulators
INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; **Faull, Alan Wellington**
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

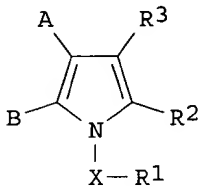
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940913	A1	19990819	WO 1999-GB332	19990202

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2317456	AA	19990819	CA 1999-2317456		19990202
AU 9924327	A1	19990830	AU 1999-24327		19990202
AU 745772	B2	20020328			
BR 9907962	A	20001024	BR 1999-7962		19990202
EP 1054667	A1	20001129	EP 1999-903807		19990202
EP 1054667	B1	20030416			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002502873	T2	20020129	JP 2000-531165		19990202
NZ 505586	A	20021126	NZ 1999-505586		19990202
AT 237327	E	20030515	AT 1999-903807		19990202
US 6291507	B1	20010918	US 2000-626241		20000726
NO 2000004090	A	20001016	NO 2000-4090		20000816
PRIORITY APPLN. INFO.:			GB 1998-3226	A	19980217
			WO 1999-GB332	W	19990202

OTHER SOURCE(S): MARPAT 131:170264
GI



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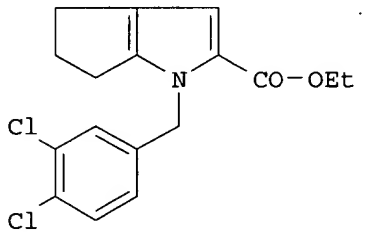

II

AB Pharmaceutical compns. (I) [where A and B = an (un)substituted alkylene chain forming a ring; X = CH2 or SO2; R1 = an (un)substituted aryl or heteroaryl ring; R2 = CO2H, CN, C(O)CH2OH, (un)substituted amide or sulfamide, tetrazol-5-yl, SO3H, or (un)substituted isoxazolylsulfamidocarbonyl; R3 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, hetercyclyl, alkoxy, arylalkyl, or arylalkoxy], or their pharmaceutically acceptable salts, esters, or amides, were prepared as monocyte chemoattractant protein-1 inhibitors for use as antiinflammatory agents and immunomodulators. Thus, sodium hydride was added to Et cyclopenta[b]pyrrole-2-carboxylate followed by addition of 3,4-dichlorobenzyl bromide to form Et 4-(3,4-dichlorobenzyl)-1,4,5,6-tetrahydrocyclopenta[b]pyrrole-2-carboxylate (II) in 83% yield. Compds. of the invention were tested for hMCP-1 receptor binding and displayed IC50 values of < 5μM. Compds. of the invention were also tested for MCP-1 mediated calcium flux in THP-1 cells and assayed for hMCP-1 mediated chemotaxis and RANTES inhibition (no data). No physiol. unacceptable toxicity was observed at the ED for tested compds. of the invention.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 32 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:126877 HCAPLUS
DOCUMENT NUMBER: 130:182355
TITLE: Preparation of indoles as MCP-1 receptor antagonists

INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; **Faull, Alan Wellington**
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907678	A1	19990218	WO 1998-GB2340	19980804
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2295535	AA	19990218	CA 1998-2295535	19980804
AU 9886380	A1	19990301	AU 1998-86380	19980804
AU 748091	B2	20020530		
EP 1001935	A1	20000524	EP 1998-937658	19980804
EP 1001935	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512716	T2	20010828	JP 2000-506182	19980804
AT 251610	E	20031015	AT 1998-937658	19980804
ZA 9807087	A	19990208	ZA 1998-7087	19980806
US 6288103	B1	20010911	US 2000-485107	20000203
NO 2000000572	A	20000404	NO 2000-572	20000204

PRIORITY APPLN. INFO.: GB 1997-16656 A 19970807
WO 1998-GB2340 W 19980804

OTHER SOURCE(S): MARPAT 130:182355
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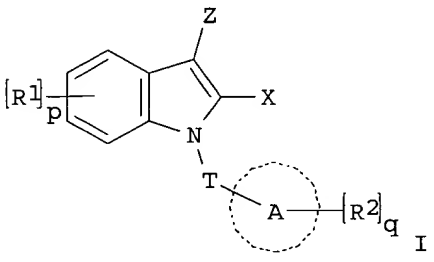

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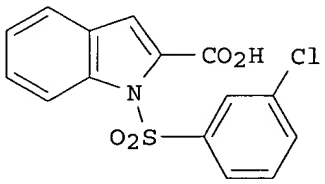


II

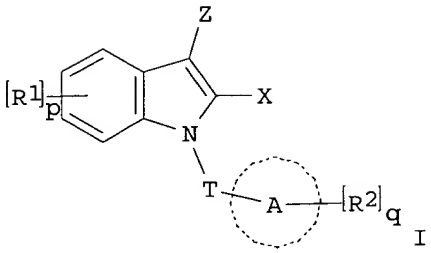
AB The title compds. [I; R1 = CF3, alkyl, halo, etc.; p = 1-4; T = (CHR4)mSO2(CHR4)s (wherein R4 = H, alkyl; m = 0-2; s = 0-2; m + s = 0-2); X = CO2H, tetrazol-5-yl, CN, etc.; A = Ph, naphthyl, furyl, etc.; R2 = CF3, alkyl, halo, etc.; q = 0-4; Z = H, halo, Me, etc.] and their pharmaceutically acceptable salts or in vivo hydrolysable esters which possess inhibitory activity against monocyte chemoattractant protein-1 (MCP-1), were prepared and formulated. Thus, treatment of Me N-(3-chlorophenylsulfonyl)indole-2-carboxylate with LiI in pyridine afforded 45% II. The tested compds. I generally showed IC50 of < 50 μM

in the hMCP-1 receptor binding assay.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 33 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:126819 HCAPLUS
DOCUMENT NUMBER: 130:182354
TITLE: Preparation of substituted indoles for treatment of a disease or condition mediated by monocyte chemoattractant protein-1 (MCP-1)
INVENTOR(S): Barker, Andrew John; Kettle, Jason Grant; **Faull, Alan Wellington**
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907351	A2	19990218	WO 1998-GB2341	19980804
WO 9907351	A3	19990514		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2297290	AA	19990218	CA 1998-2297290	19980804
AU 9886381	A1	19990301	AU 1998-86381	19980804
AU 745907	B2	20020411		
EP 1003504	A2	20000531	EP 1998-937659	19980804
EP 1003504	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9811818	A	20000815	BR 1998-11818	19980804
TR 200000289	T2	20000821	TR 2000-200000289	19980804
JP 2001513494	T2	20010904	JP 2000-506944	19980804
RU 2217142	C2	20031127	RU 2000-105901	19980804
PT 1003504	T	20031128	PT 1998-937659	19980804
ES 2201517	T3	20040316	ES 1998-937659	19980804
CZ 294600	B6	20050216	CZ 2000-431	19980804
SK 284408	B6	20050304	SK 2000-167	19980804
ZA 9807090	A	19990208	ZA 1998-7090	19980806
HR 2000000061	A1	20001231	HR 2000-61	20000203
US 6441004	B1	20020827	US 2000-485061	20000203
NO 2000000573	A	20000204	NO 2000-573	20000204
HK 1027979	A1	20031031	HK 2000-107435	20001121
US 2003119830	A1	20030626	US 2002-194969	20020715
PRIORITY APPLN. INFO.:			GB 1997-16657 A	19970807
			WO 1998-GB2341 W	19980804
			US 2000-485061 A1	20000203

OTHER SOURCE(S): MARPAT 130:182354
GI



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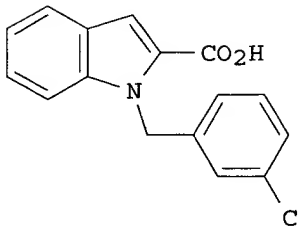

II

AB The title compds. [I; R1 = CF3, alkyl, halo, etc.; p = 0-4; T = (CHR4)m (wherein R4 = H, alkyl; m = 1-3); X = CO2R4, SO3H, CN, etc.; A = Ph, naphthyl, furyl, etc.; R2 = CF3, alkyl, halo, etc.; q = 0-4; Z = H, halo, Me, etc.] and their pharmaceutically acceptable salts or in vivo hydrolysable esters, useful in the treatment of a disease or condition mediated by monocyte chemoattractant protein-1 (MCP-1) such as rheumatoid arthritis, asthma, atherosclerosis, psoriasis, inflammatory bowel disease and stroke, were prepared and formulated. Thus, hydrolysis of Et N-(3-chlorobenzyl)indole-2-carboxylate with 2N NaOH in THF/MeOH afforded 82% II. The tested compds. I showed generally IC50 of < 50 μM in the hMCP-1 receptor binding assay.

L23 ANSWER 34 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:11027 HCAPLUS
DOCUMENT NUMBER: 130:177472
TITLE: Functional effects of the muscarinic receptor agonist, xanomeline, at 5-HT1 and 5-HT2 receptors
AUTHOR(S): Watson, J.; **Brough, S.**; Coldwell, M. C.; Gager, T.; Ho, M.; Hunter, A. J.; Jerman, J.; Middlemiss, D. N.; Riley, G. J.; Brown, A. M.
CORPORATE SOURCE: Neurosciences Research, SmithKline Beecham Pharmaceuticals, New Frontiers Science Park, Essex, CM19 5AW, UK
SOURCE: British Journal of Pharmacology (1998), 125(7), 1413-1420
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Stockton Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Xanomeline [3(3-hexyloxy-1,2,5-thiadiazol-4-yl)-1,2,5,6-tetrahydro-1-methylpyridine] has been reported to act as a functionally selective muscarinic partial agonist with potential use in the treatment of Alzheimer's disease. This study examined the functional activity of xanomeline at 5-HT1 and 5-HT2 receptors in native tissue and/or human cloned receptors. Xanomeline had affinity for muscarinic receptors in rat cortical membranes where the ratio of the displacement affinity of [3H]-Quinuclidinyl benzilate vs that of [3H]-Oxotremorine-M was 16, indicative of partial agonist activity. Radioligand binding studies on human cloned receptors confirmed that xanomeline had substantial affinity for M1, M2, M3, M4, M5 receptors and also for 5-HT1 and 5-HT2 receptor subtypes. Carbachol and xanomeline stimulated basal [35S]-GTPγS binding in rat cortical membranes with micromolar affinity. The response to carbachol was attenuated by himbacine and pirenzepine with pA2 of 8.2, 6.9 resp. consistent with the response being mediated, predominantly, via M2 and M4 receptors. Xanomeline-induced stimulation of [35S]-GTPγS binding was inhibited by himbacine with an apparent pKb of 6.3, was not

attenuated by pirenzepine up to 3 μM and was inhibited by the selective 5-HT1A antagonist WAY100635 with an apparent pKb of 9.4. These data suggest the agonist effect of xanomeline in this tissue is, in part, via 5-HT1A receptors. Similar studies on human cloned receptors confirmed that xanomeline is an agonist at human cloned 5-HT1A and 5-HT1B receptors. In studies using the fluorescent cytoplasmic Ca2+ indicator FLUO-3AM, xanomeline induced an increase in cytoplasmic Ca2+ concentration in SH-SY5Y cells expressing recombinant human 5-HT2C receptors. Atropine antagonized this response, consistent with mediation via endogenously-expressed muscarinic receptors. In the presence of atropine, xanomeline antagonized 5-HT-induced cytoplasmic changes in Ca2+ concentration in cells expressing h5-HT2A, h5-HT2B and h5-HT2C receptors with potencies similar to its affinity at these receptors. These studies indicate that xanomeline is a potent agonist at 5-HT1A and 5-HT1B receptors and an antagonist at 5-HT2 receptor subtypes.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 35 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:708830 HCAPLUS
DOCUMENT NUMBER: 129:316237
TITLE: Preparation of aminospiro[piperidine-thienopyridine]carboxylate esters and related compounds as nitric oxide synthase inhibitors
INVENTOR(S): Hamley, Peter; **McInally, Thomas**; Tinker, Alan
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra AB
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846611	A1	19981022	WO 1998-SE642	19980407
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2286789	AA	19981022	CA 1998-2286789	19980407
AU 9870911	A1	19981111	AU 1998-70911	19980407
EP 975639	A1	20000202	EP 1998-917861	19980407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 9902537	T2	20000221	TR 1999-9902537	19980407
EE 9900466	A	20000417	EE 1999-466	19980407
BR 9808546	A	20000523	BR 1998-8546	19980407
NZ 338007	A	20010525	NZ 1998-338007	19980407
JP 2001521517	T2	20011106	JP 1998-543804	19980407
US 6100246	A	20000808	US 1999-68469	19990508
MX 9909297	A	20000331	MX 1999-9297	19991011
NO 9905007	A	19991214	NO 1999-5007	19991014
PRIORITY APPLN. INFO.:			SE 1997-1396 A	19970415

WO 1998-SE642 W 19980407

OTHER SOURCE(S): MARPAT 129:316237

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = benzo ring, 5- or 6-membered aromatic hetero ring containing 1-3 N atoms; R1 = (un)substituted Ph, (un)substituted 6-membered aromatic hetero ring, etc.; R2, R3 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo, OH, amino; X = CH2, CO, O, S(O)n; n = 0-2] or their pharmaceutically acceptable salts, enantiomers or tautomers, useful for the therapy or prophylaxis of asthma, rheumatoid arthritis and pain, were prepared Three specific I were claimed. For example, condensation of 6,2-HO(F)C6H3CONH2 (preparation in 51.5% yield from the parent acid given) with Et 4-oxopiperidinecarboxylate gave 77% Et 5-fluoro-3,4-dihydro-4-oxospiro[2H-(1,3)-benzoxazine-2,4'-piperidine]-1'-carboxylate. The latter was treated with Lawesson's reagent and the resulting (64%) thioamide (2.0 g) heated with anhydrous NH3 in MeOH to give 1.8 g of a title compound Et 4-amino-5-fluorospiro[2H-(1,3)-benzoxazine-2,4'-piperidine]-1'-carboxylate. I in vitro inhibited nitric oxide synthase with IC50 <1 μM.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 36 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:682391 HCAPLUS

DOCUMENT NUMBER: 129:302653

TITLE: Preparation of fused pyrimidines as inhibitors of nitric oxide synthase

INVENTOR(S): **Mcinally, Thomas**; Tinker, Alan

PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845294	A1	19981015	WO 1998-SE641	19980407
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2285388	AA	19981015	CA 1998-2285388	19980407
AU 9870910	A1	19981030	AU 1998-70910	19980407
EP 973772	A1	20000126	EP 1998-917860	19980407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807950	A	20000308	BR 1998-7950	19980407
EE 9900448	A	20000417	EE 1999-448	19980407
TR 9902495	T2	20000721	TR 1999-9902495	19980407
NZ 338006	A	20010427	NZ 1998-338006	19980407
JP 2001519805	T2	20011023	JP 1998-542701	19980407
US 6303613	B1	20011016	US 1998-101165	19980819
NO 9904900	A	19991119	NO 1999-4900	19991008

PRIORITY APPLN. INFO.: SE 1997-1304 A 19970409
WO 1998-SE641 W 19980407

OTHER SOURCE(S): MARPAT 129:302653
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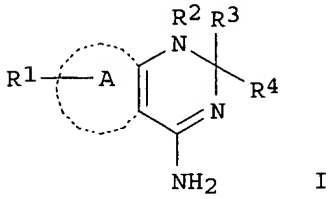


I

AB I (A represents a five membered heterocyclic aromatic ring containing 1 to 3 heteroatoms which may be the same or different and are selected from O, N and S; or a six membered heterocyclic aromatic ring containing 1 to 3 nitrogen atoms; R1 = H, alkyl, alkoxy, halo, CF3; R2 = H, alkyl; R3 = Ph, 6-membered heterocyclic aromatic ring, alkyl, alkenyl alkynyl; R4 = H, alkyl) were prepared The compds. are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain. E.g., treating 3-aminothiophene-2-carboxamide with Lawesson's reagent, then with MeI/PhCHO, followed by dry NH3 gas in MeCN gave 7-amino-4,5-dihydro-5-phenylthieno[3,2-d]pyrimidine hydrochloride.

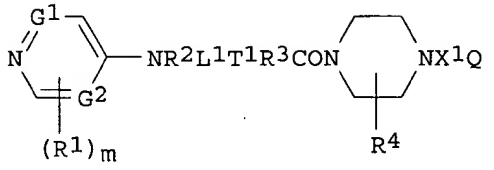
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 37 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:542445 HCAPLUS
DOCUMENT NUMBER: 127:234328
TITLE: Preparation of pyridylpiperidinylcarbonylpiperazines and related compounds as antithrombotics/anticoagulants.
INVENTOR(S): **Faull, Alan Wellington**
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Faull, Alan Wellington
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729104	A1	19970814	WO 1997-GB270	19970131
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9715534	A1	19970828	AU 1997-15534	19970131
EP 880516	A1	19981202	EP 1997-901728	19970131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000504682	T2	20000418	JP 1997-528261	19970131
ZA 9700912	A	19970805	ZA 1997-912	19970204

US 6022869	A	20000208	US 1998-117673		19980804
PRIORITY APPLN. INFO.:			GB 1996-2294	A	19960205
			WO 1997-GB270	W	19970131

OTHER SOURCE(S): MARPAT 127:234328
GI



I

AB Title compds. [I; T1, G1, G2 = CH, N; R1 = halo, CF3, OCF3, cyano, amino, OH, NO2, alkyl, alkoxy; L1 = (substituted) alkylene, 1,2-cycloalkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = (substituted) alkylene, methylenecarbonyl; R4 = CONR7(CH2)nSOpR8, CONH(CH2)qNR9R10, AY1; R7 = H; R8 = alkyl, Ph, phenylalkyl; R7R8 = alkylene; R9, R10 = H, alkyl, Ph, alkylphenyl, SOpR8, heteroaryl, COR11; R11 = H, alkyl, Ph, alkylphenyl; R14-R16 = H, alkyl; A = alkylene; Y1 = SOpR8, NHSO2R8, pyrrolidin-1-yl, piperidino, morpholino, piperazin-1-yl, etc.; m, p= 0-2; q = 2-4; X1 = O, S, SO, SO2, CO, CO2, CONR14, CR15R16; Q = (substituted) Ph, naphthyl, phenylalkyl, heterocyclyl], were prepared Thus, 4-(6-bromonaphth-2-ylsulfonyl)-2-carboxy-1-[1-(4-pyridyl)piperidin-4-ylcarbonyl]piperazine (preparation given) in DMF was treated with N-3-dimethylaminopropyl-N-ethylcarbodiimide, 1-hydroxybenzotriazole, and 2-(ethylthio)amine in DMF to give 44% 4-(6-bromonaphth-2-ylsulfonyl)-2-[N-2-(ethylthioethyl)carbamoyl]-1-[1-(4-pyridyl)piperidin-4-ylcarbonyl]piperazine. The latter inhibited Factor Xa with IC50 = 0.004 μM.

L23 ANSWER 38 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:513484 HCAPLUS
DOCUMENT NUMBER: 127:190753
TITLE: Preparation of heterocyclic derivatives as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; **Faull, Alan Wellington**; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. 5,556,977.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5652242	A	19970729	US 1995-457538	19950601
US 5556977	A	19960917	US 1994-218171	19940328
EP 825184	A1	19980225	EP 1997-117909	19940328
EP 825184	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CA 2194397	AA	19961205	CA 1996-2194397	19960528

WO 9638416	A1	19961205	WO 1996-GB1260		19960528
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML					
AU 9658272	A1	19961218	AU 1996-58272		19960528
AU 710105	B2	19990916			
GB 2304340	A1	19970319	GB 1996-27127		19960528
GB 2304340	B2	19980729			
EP 796247	A1	19970924	EP 1996-919906		19960528
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9606409	A	19970930	BR 1996-6409		19960528
DE 19680509	T	19971204	DE 1996-19680509		19960528
JP 09512836	T2	19971222	JP 1996-536281		19960528
JP 2885941	B2	19990426			
AT 9609005	A	19991215	AT 1996-9005		19960528
AT 406675	B	20000725			
ES 2137886	A1	19991216	ES 1997-50006		19960528
ES 2137886	B1	20000816			
CH 691808	A	20011031	CH 1997-224		19960528
ZA 9604509	A	19961202	ZA 1996-4509		19960531
NL 1003243	C2	19961204	NL 1996-1003243		19960531
FR 2734818	A1	19961206	FR 1996-6747		19960531
FR 2734818	B1	19980710			
BE 1009520	A5	19970401	BE 1996-491		19960531
US 5750754	A	19980512	US 1996-658097		19960604
SE 9700203	A	19970124	SE 1997-203		19970124
SE 510812	C2	19990628			
FI 9700393	A	19970130	FI 1997-393		19970130
DK 9700106	A	19970401	DK 1997-106		19970130
NO 9700437	A	19970220	NO 1997-437		19970131
NO 307460	B1	20000410			
US 5728701	A	19980317	US 1997-820003		19970318
GR 3036640	T3	20011231	GR 2001-401498		20010918
PRIORITY APPLN. INFO.:			GB 1993-6453	A	19930329
			GB 1993-25605	A	19931215
			US 1994-218171	A2	19940328
			GB 1993-6451	A	19930329
			GB 1993-25610	A	19931215
			EP 1994-910494	A3	19940328
			US 1995-457538	A	19950601
			GB 1995-18188	A	19950907
			WO 1996-GB1260	W	19960528

OTHER SOURCE(S): MARPAT 127:190753
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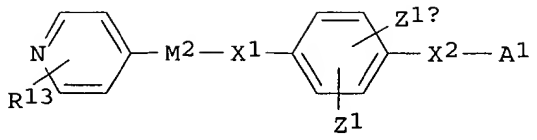

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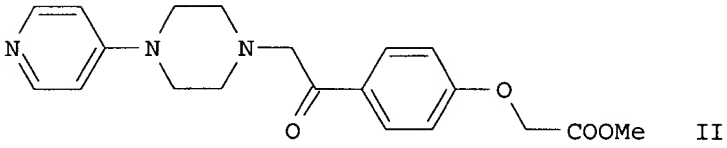

II

AB The title compds. [I; M2 = NR3 (wherein R3 = H, C1-4 alkyl), etc.; X1 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; Z1, Z1a = H, OH, halo, etc.; X2 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; A1 = COOH, a metabolically stable ester, amide; R13 = H, C1-4 alkyl, C1-4 alkoxy, halo] and their pharmaceutically acceptable salts, useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa, were prepared and formulated. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded the title compound II which showed pIC50 of 7.2 against platelet aggregation.

L23 ANSWER 39 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:380996 HCAPLUS
DOCUMENT NUMBER: 126:343576
TITLE: Preparation of quinazoline compounds as antiinflammatory agents
INVENTOR(S): Hamley, Peter Richard John; Pimm, Austen David; Tinker, Alan Charles; Beaton, Haydn Graham; **Mcinally, Thomas**
PATENT ASSIGNEE(S): Astra Pharmaceuticals Limited, UK; Hamley, Peter Richard John; Pimm, Austen David; Tinker, Alan Charles; Beaton, Haydn Graham; Mcinally, Thomas
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714686	A1	19970424	WO 1996-GB2496	19961014
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
CA 2235304	AA	19970424	CA 1996-2235304	19961014
AU 9672243	A1	19970507	AU 1996-72243	19961014
AU 704133	B2	19990415		
EP 858451	A1	19980819	EP 1996-933545	19961014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI					
CN 1204327		A	19990106	CN 1996-198998		19961014
BR 9610988		A	19990406	BR 1996-10988		19961014
JP 11513679		T2	19991124	JP 1997-515588		19961014
NZ 319673		A	20000623	NZ 1996-319673		19961014
ZA 9608767		A	19970417	ZA 1996-8767		19961017
US 5883102		A	19990316	US 1997-793713		19970303
NO 9801710		A	19980603	NO 1998-1710		19980416
NO 310620		B1	20010730			
PRIORITY APPLN. INFO.:				GB 1995-21231	A	19951017
				GB 1996-2668	A	19960209
				GB 1996-14386	A	19960709
				WO 1996-GB2496	W	19961014

OTHER SOURCE(S): MARPAT 126:343576
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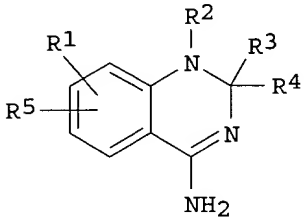

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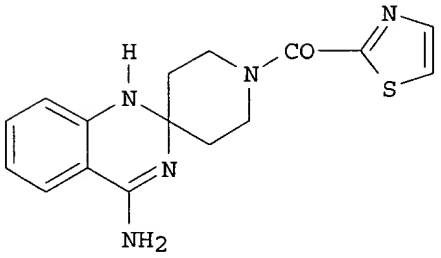

II

AB Quinazoline compds. of formula I [R1, R5 = H, alkyl, alkoxy, alkylthio, halogen, OH, NH2; R2, R4 = H, alkyl; R3 = H, alkyl, Ph, heterocyclyl, halogen, OH, etc.; R3R4 = (CH2)nZ(CH2)m; n, m = 1-3; Z = CH2, (substituted) NH] are prepared as antiinflammatory agents. Thus, II HCl was prepared from 1-(2-thiazolylcarbonyl)-4-piperidone ethylene ketal and 2-aminobenzamidine dihydrochloride. II gave IC50 < 25 μM against nitric oxide synthase.

L23 ANSWER 40 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:455760 HCAPLUS
DOCUMENT NUMBER: 125:114690
TITLE: Preparation of aminoheterocyclic derivatives as antithrombotic or anticoagulant agents
INVENTOR(S): **Faull, Alan Wellington**; Mayo, Colette Marie; Preston, John; Stocker, Andrew
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9610022	A1	19960404	WO 1995-GB2285	19950925

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,

	TJ, TM				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA	2197471	AA	19960404	CA 1995-2197471	19950925
AU	9535307	A1	19960419	AU 1995-35307	19950925
AU	696491	B2	19980910		
EP	783500	A1	19970716	EP 1995-932128	19950925
EP	783500	B1	19980722		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR	9509045	A	19970930	BR 1995-9045	19950925
CN	1164232	A	19971105	CN 1995-196337	19950925
JP	10506122	T2	19980616	JP 1995-511499	19950925
AT	168685	E	19980815	AT 1995-932128	19950925
HU	77769	A2	19980828	HU 1997-2052	19950925
ES	2119472	T3	19981001	ES 1995-932128	19950925
CZ	285370	B6	19990714	CZ 1997-893	19950925
ZA	9508085	A	19960424	ZA 1995-8085	19950926
NO	9701415	A	19970522	NO 1997-1415	19970325
US	5965559	A	19991012	US 1997-817031	19970326
US	6225309	B1	20010501	US 1999-369857	19990809
US	2002119968	A1	20020829	US 2001-800745	20010308
US	6730672	B2	20040504		
PRIORITY APPLN. INFO.:				GB 1994-19341 A	19940926
				GB 1994-25789 A	19941221
				GB 1995-11051 A	19950601
				WO 1995-GB2285 W	19950925
				US 1997-817031 A3	19970326
				US 1999-369857 A3	19990809

OTHER SOURCE(S): MARPAT 125:114690

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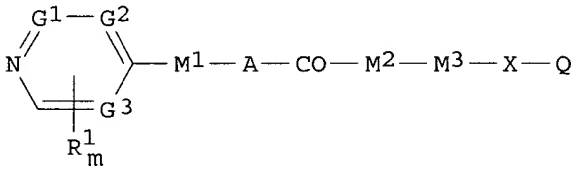

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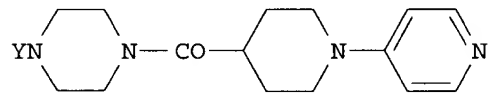

II

AB The title compds. [I; G1, G2, G3 = CH, N; m = 1, 2; R1 = H, halo, C1-4 alkyl; M1 = (substituted) piperidino, piperazino, etc.; A = bond, C1-4 alkylene; M2 = piperazino, etc.; M3 = bond, etc.; X = SO2; Q = naphthyl, heterocyclyl] were prepared and formulated. Treatment of 1-(4-pyridyl)piperidine-4-carboxylic acid with SOCl2 followed by addition of 1-tert-butoxycarbonylpiperazine, deprotection of the intermediate II (Y = Boc) with HCl/Et2O and reaction of piperazine II.3HCl (Y = H) with 2-naphthylsulfonyl chloride afforded I [G1, G2, G3 = CH; R1 = H; M1 = piperidino; A, M3 = bond; M2 = piperazino; X = SO2; Q = 2-naphthyl]. In general, compds. I showed IC50 of 0.001-25 μM against Factor Xa and of > 50 μM against thrombin.

L23 ANSWER 41 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:110130 HCAPLUS
DOCUMENT NUMBER: 124:250215
TITLE: Design of dual-acting thromboxane antagonist-synthase inhibitors by a mutual prodrug approach
AUTHOR(S): Brown, G. R.; Clarke, D. S.; **Faull, A. W.**; Foubister, A. J.; Smithers, M. J.
CORPORATE SOURCE: Cardiovascular Metabolism Dep., Zeneca Pharm., Cheshire, SK10 4TG, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(3), 273-8
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:250215
AB A mutual prodrug approach to dual acting thomboxane receptor antagonist - thromboxane synthase inhibitor compds. is reported in which TXA2 antagonist and inhibitory 1,3-dioxanes with hexenoic acid side chains, were linked by diester and diamide groups. When linking of the components was achieved via di O-alkyl carboxylic esters of catechol, both TXA2 receptor antagonist activity and TXA2 synthase inhibition were observed for a single enantiomer in ex vivo tests following oral dosing to dogs at 5 mg/kg.

L23 ANSWER 42 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:810381 HCAPLUS
DOCUMENT NUMBER: 123:227994
TITLE: Heterocyclic derivatives as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; **Faull, Alan Wellington**; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422834	A1	19941013	WO 1994-GB647	19940328
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2156070	AA	19941013	CA 1994-2156070	19940328
AU 9462889	A1	19941024	AU 1994-62889	19940328
AU 692438	B2	19980611		
EP 691959	A1	19960117	EP 1994-910494	19940328
EP 691959	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9406613	A	19960206	BR 1994-6613	19940328
HU 72088	A2	19960328	HU 1995-2290	19940328
CN 1120334	A	19960410	CN 1994-191664	19940328
JP 08508291	T2	19960903	JP 1994-521810	19940328

EP 825184	A1	19980225	EP 1997-117909		19940328
EP 825184	B1	20010620			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
AT 168678	E	19980815	AT 1994-910494		19940328
ES 2119184	T3	19981001	ES 1994-910494		19940328
RU 2142944	C1	19991220	RU 1995-122602		19940328
IL 109144	A1	20000229	IL 1994-109144		19940328
AT 202345	E	20010715	AT 1997-117909		19940328
ES 2159798	T3	20011016	ES 1997-117909		19940328
PT 825184	T	20011130	PT 1997-117909		19940328
FI 9504616	A	19950928	FI 1995-4616		19950928
NO 9503837	A	19950928	NO 1995-3837		19950928
US 5750754	A	19980512	US 1996-658097		19960604
GR 3036640	T3	20011231	GR 2001-401498		20010918
PRIORITY APPLN. INFO.:			GB 1993-6453	A	19930329
			GB 1993-25605	A	19931215
			GB 1993-6451	A	19930329
			GB 1993-25610	A	19931215
			EP 1994-910494	A3	19940328
			WO 1994-GB647	W	19940328
			GB 1995-18188	A	19950907

OTHER SOURCE(S): MARPAT 123:227994
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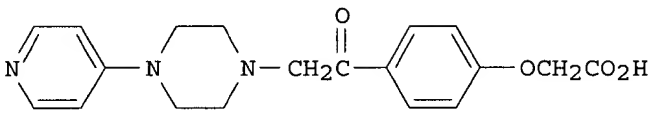


I

AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compound is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

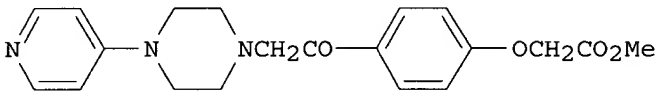
L23 ANSWER 43 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:758624 HCAPLUS
DOCUMENT NUMBER: 123:169654
TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; **Faull, Alan Wellington**; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328
WO 9422835	A3	19941222		

W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN						
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
CA 2155307	AA	19941013	CA 1994-2155307			19940328
AU 9462890	A1	19941024	AU 1994-62890			19940328
AU 692439	B2	19980611				
EP 690847	A1	19960110	EP 1994-910495			19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE						
JP 08509967	T2	19961022	JP 1994-521811			19940328
JP 3088016	B2	20000918				
US 5750754	A	19980512	US 1996-658097			19960604
PRIORITY APPLN. INFO.:			GB 1993-6451		A	19930329
			GB 1993-25610		A	19931215
			GB 1993-6453		A	19930329
			GB 1993-25605		A	19931215
			WO 1994-GB648		W	19940328
			GB 1995-18188		A	19950907

OTHER SOURCE(S): MARPAT 123:169654

GI



I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide derivative, sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepared Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

L23 ANSWER 44 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:464405 HCAPLUS
DOCUMENT NUMBER: 122:214104
TITLE: Preparation of 1,2-diacylated hydrazine-derivative cell adhesion inhibitors
INVENTOR(S): Brewster, Andrew George; Caulkett, Peter William Rodney; **Faull, Alan Wellington**; Pearce, Robert James; Shute, Richard Eden
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 632016	A1	19950104	EP 1994-304554	19940623
EP 632016	B1	19970409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9404079	A	19950103	ZA 1994-4079	19940609

WO 9500472	A1	19950105	WO 1994-GB1356		19940623
W: AU, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KR, LV, MD, NO, NZ, PL, RO, RU, SK, UA					
AU 9472668	A1	19950117	AU 1994-72668		19940623
JP 08512024	T2	19961217	JP 1994-502583		19940623
AT 151410	E	19970415	AT 1994-304554		19940623
US 5612373	A	19970318	US 1994-266375		19940627
US 5760057	A	19980602	US 1996-767443		19961216
US 5981531	A	19991109	US 1998-86408		19980529
PRIORITY APPLN. INFO.:			GB 1993-13285	A	19930628
			WO 1994-GB1356	W	19940623
			US 1994-266375	A3	19940627
			US 1996-767443	A3	19961216

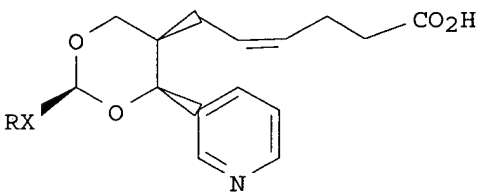
OTHER SOURCE(S): MARPAT 122:214104

AB The title compds. R1CON(R2)N(R3)COX1QX2G [I; G = (un)substituted CO2H; Q = (un)substituted 1,4-phenylene, (un)substituted 1,4-piperidinediyl; R1 = (un)substituted Ph, (un)substituted pyridinyl, (un)substituted 4-piperidinyl, (un)substituted 1-piperazinyl; R2, R3 = C1-4 alkyl, arylalkyl; X1 = direct bond, C1-4 alkylene; X2 = X1, oxyalkylene, etc.] [e.g., 4-[3-(piperazin-1-ylcarbonyl)carbazoyl]-2-(carboxymethoxy)phenoxyacetic acid], useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIa (no data) [e.g., blood-platelet aggregation inhibitors (no data)], are prepared and I-containing formulations presented..

L23 ANSWER 45 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1995:350619 HCAPLUS
DOCUMENT NUMBER:	122:105783
TITLE:	Dual-Acting Thromboxane Receptor Antagonist/Synthase Inhibitors: Synthesis and Biological Properties of [2-Substituted-4-(3-pyridyl)-1,3-dioxan-5-yl]alkenoic Acids
AUTHOR(S):	**Faull, Alan W.**; Brewster, Andrew G.; Brown, George R.; Smithers, Michael J.; Jackson, Ruth
CORPORATE SOURCE:	VIMS Department, ZENECA Pharmaceuticals, Alderley Park/ Macclesfield/ Cheshire, SK10 4TG, UK
SOURCE:	Journal of Medicinal Chemistry (1995), 38(4), 686-94 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



I

AB The design, synthesis, and pharmacol. of a new class of compds. possessing both thromboxane receptor antagonist and thromboxane synthase inhibitory properties are described. Replacement of the phenol group of the known thromboxane antagonist series 4(Z)-6-[(4RS,5SR)-4-(2-hydroxyphenyl)-1,3-dioxan-5-yl]hex-4-enoic acid by a 3-pyridyl group led to a series of compds., I (R = substituted Ph, X = bond), which were potent thromboxane

synthase inhibitors and weak thromboxane antagonists. Further modifications at the dioxane C2 position led to compds., I (R = Ph, substituted Ph, X = OCMe2), which were potent dual-acting agents. In the case of compound I (R = 2-nitro-4-methylphenyl, X = OCMe2), the dual activity was shown to reside almost exclusively in the (-)-enantiomer. Following oral dosing to rats and dogs, (-)-I (R = 2-nitro-4-methylphenyl, X = OCMe2) (3 mg/kg) displayed significant dual activity over a period of at least 8 h.

L23 ANSWER 46 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:289427 HCAPLUS
DOCUMENT NUMBER: 120:289427
TITLE: New non-peptide angiotensin II receptor antagonists. 2: Structure-activity relationships of a series of annelated 2(2H)-pyridinones
AUTHOR(S): Bantick, John R.; Beaton, Haydn G.; Cooper, Sally L.; Hill, Stephen; Hirst, Simon C.; **McInally, Tom**; Spencer, Jane; Tinker, Alan C.; Willis, Paul A.
CORPORATE SOURCE: Med. Chem. Dep., Fisons plc, Loughborough/Leicestershire, LE11 0RH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(1), 127-32
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis and angiotensin II antagonists activity of biphenyl tetrazole substituted fused bicyclic analogs of 2-pyridinone is described. Potent antagonist activity was found in the 2-quinolinone, thieno[2,3-]pyridine and imidazo[c]pyridine series.

L23 ANSWER 47 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:207923 HCAPLUS
DOCUMENT NUMBER: 120:207923
TITLE: New non-peptide angiotensin II receptor antagonists. 1: Structure-activity relationships of a series of 2(1H)-pyridinones
AUTHOR(S): Bantick, John R.; Beaton, Haydn G.; Cooper, Sally L.; Hill, Stephen; Hirst, Simon C.; **McInally, Thomas**; Spencer, Jane; Tinker, Alan C.; Willis, Paul A.
CORPORATE SOURCE: Med. Chem. Dep., Fisons plc, Loughborough/Leicestershire, LE11 0RH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(1), 121-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis and AII antagonist activities of a series of biphenyl 2(H)-pyridinones is described. 4-Hydroxy- and 4-carboxy-substituted pyridinones are particularly potent, both in vitro and in vivo.

L23 ANSWER 48 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:485412 HCAPLUS
DOCUMENT NUMBER: 119:85412
TITLE: Dual-acting thromboxane receptor antagonist/synthase inhibitors: heterocyclic variations
AUTHOR(S): **Faull, A. W.**; Gaskin, H.; Hadfield, P. S.; Jessup, R.; Russell, K.; Watkins, W. J.; Wayne, M.
CORPORATE SOURCE: Chem. Dep. II, ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK

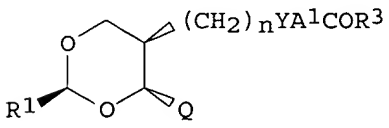
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(10), 1181-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ability of 1,3-dioxanes bearing a variety of aromatic heterocycles at C4 to inhibit thromboxane synthase has been examined Potent dual-acting thromboxane receptor antagonist/thromboxane synthase inhibitors have been discovered. The thiazole derivative inhibited platelet aggregation in dogs, and thus may have antithrombotic activity.

L23 ANSWER 49 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:22229 HCAPLUS
DOCUMENT NUMBER: 118:22229
TITLE: Preparation of (1,3-dioxan-5-yl)hexanoic and -hexenoic acids as thromboxane A2 antagonists and thromboxane A2 synthase inhibitors
INVENTOR(S): **Faull, Alan Wellington**; Russell, Keith; Watkins, William John
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 482771	A2	19920429	EP 1991-308805	19910926
EP 482771	A3	19920701		
EP 482771	B1	19970618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9107066	A	19920624	ZA 1991-7066	19910905
IL 99441	A1	19961205	IL 1991-99441	19910908
AU 9183727	A1	19930318	AU 1991-83727	19910909
AU 646493	B2	19940224		
US 5219874	A	19930615	US 1991-763304	19910920
CA 2052294	AA	19920405	CA 1991-2052294	19910926
AT 154603	E	19970715	AT 1991-308805	19910926
ES 2103301	T3	19970916	ES 1991-308805	19910926
FI 9104621	A	19920405	FI 1991-4621	19911002
NO 9103886	A	19920406	NO 1991-3886	19911003
NO 303781	B1	19980831		
JP 04273871	A2	19920930	JP 1991-257669	19911004
US 5410064	A	19950425	US 1993-36304	19930324
PRIORITY APPLN. INFO.:			GB 1990-21571 A	19901004
			US 1991-763304 A3	19910920

OTHER SOURCE(S): MARPAT 118:22229
GI



I

AB The title compds. [I; n = 1, 2; A1 = C1-6 alkylene; R1 = R2A2; A2 = bond,

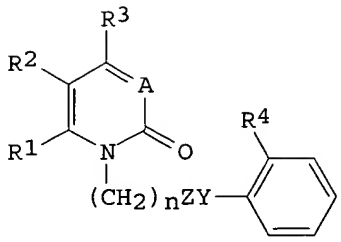
WCR4R5; R2 = (un)substituted Ph; R3 = HO, physiol. acceptable alc. residue, C1-4 alkanesulfonamido; R4, R5 = C1-4 alkyl; W = O, CH2, bond to R2; Q = thiazol-5-yl, (un)substituted imidazol-5-yl] or their pharmaceutically acceptable salts, useful in the treatment of ischemic heart disease, cerebrovascular and peripheral vascular disease, were prepared Thus, 4(Z)-6-[(2S,4S,5R)-2-[1-(4-methyl-2-nitrophenoxy)-1-methylethyl]-4-(5-thiazolyl)-1,3-dioxan-5-yl]hexanoic acid (multistep preparation given) in vitro antagonized thromboxane A2 with pA2 = 8.11 and inhibited thromboxane A2 synthase with IC50 = 1.6 + 10-8M with no significant prostacyclin inhibitory activity.

L23 ANSWER 50 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:651364 HCAPLUS
DOCUMENT NUMBER: 117:251364
TITLE: Preparation of [(carboxybiphenylyl)methyl]pyridones, -pyrimidones, and related compounds as angiotensin II receptor blockers
INVENTOR(S): Bantick, John Raymond; **McInally, Thomas**; Tinker, Alan Charles; Hirst, Simon Christopher
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 500297	A1	19920826	EP 1992-301283	19920217
R: PT				
ZA 9201022	A	19930127	ZA 1992-1022	19920212
CN 1068109	A	19930120	CN 1992-101623	19920214
CA 2104108	AA	19920817	CA 1992-2104108	19920217
WO 9214714	A1	19920903	WO 1992-GB280	19920217
W: AU, BR, CA, CS, DK, FI, HU, JP, KR, NO, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9212287	A1	19920915	AU 1992-12287	19920217
EP 572455	A1	19931208	EP 1992-904509	19920217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505715	T2	19940630	JP 1992-504196	19920217

PRIORITY APPLN. INFO.:	GB 1991-3326	A	19910216
	GB 1991-12975	A	19910615
	GB 1991-13492	A	19910621
	GB 1991-14829	A	19910710
	GB 1991-20677	A	19910928
	GB 1991-24168	A	19911114
	GB 1991-25059	A	19911126
	GB 1991-26573	A	19911212
	GB 1991-26575	A	19911212
	GB 1992-101	A	19920104
	WO 1992-GB280	A	19920217

OTHER SOURCE(S): MARPAT 117:251364
GI



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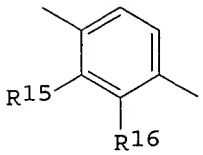

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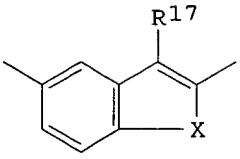

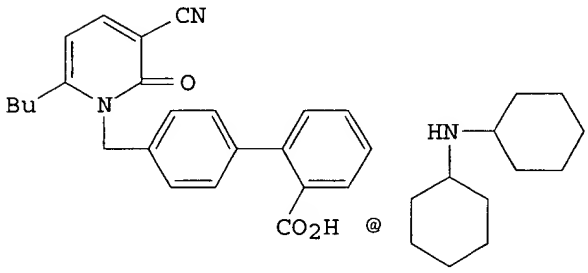

II

AB Title compds. [I; A = N, CR5; R2 = H, alkyl, halo, CO2R21; R1R2 = B:CR7CR8:CR9; B = N, CR6; R6-R9 = H, alkyl, alkoxy, SOqR22, CO2R23; R3 = H, OH, alkyl, alkoxy, (CH2)rCO2R10, (CH2)tR31, amino; R5 = H, alkyl, alkanoyl, Ph, halo, cyano, NO2, amino, CONR11R12, (CH2)mOR13, CO2R14; Z = Q1, Q2; X = O, S, imino; Y = (CH2)s, OCHR20, SCHR20, NR28CO; R10, R14 = H, alkyl, Ph, phenylalkyl, (diphenylmethyl)alkyl; one of R4, R20 = CO2H, tetrazolyl, the other = H; R22 = alkyl; R11, R13, R21, R23, R28, R31 = H, alkyl; R11R12 = CH2CH2MCH2CH2; M = O, imino; n, m = 1-6; q = 0-2; r, s, t = 0-6], were prepared as angiotensin II receptor blockers (no data). Thus, 6-butyl-3-cyano-2(1H)-pyridone and Me 4'-bromomethyl-1,1'-biphenyl-2-carboxylate were coupled using NaH in DMF; the product was saponified with LiOH followed by conversion to the dicyclohexylamine salt II.

L23 ANSWER 51 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:547685 HCAPLUS
DOCUMENT NUMBER: 117:147685
TITLE: Characterization and cellular distribution of human spermatozoal heat shock proteins
AUTHOR(S): Miller, D.; **Brough, S.**; Al-Harbi, O.
CORPORATE SOURCE: Dep. Urol., St. James's Univ. Hosp., Leeds, LS9 7TF, UK
SOURCE: Human Reproduction (1992), 7(5), 637-45
CODEN: HUREEE; ISSN: 0268-1161
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Spermatozoa have highly condensed chromatin and, unlike somatic cells, are consequently unable to mount a stress response. However, by using a combination of gel electrophoresis and immunoblotting with heat-shock protein (hsp)-specific monoclonal antibodies, it was found that proteins Mr 95 kDa and 70-75 kDa, corresponding to hsp 90 and multiple forms of hsp

70, resp., are present in human spermatozoa. Immunohistochem. localized hsp 90 to the neck and tail of unfixed, acrosome-intact spermatozoa. In contrast, an equatorial ring surrounding the nucleus was observed in unfixed spermatozoa, acrosome-reacted with the calcium ionophore A 23187. The ring was stained in cells fixed and permeabilized with ethanol, regardless of acrosomal status. The hsp 70 was an abundant surface antigen, and, as this protein was also abundant in seminal plasma, the authors believe that it may have been directly adsorbed onto the cell surface. More specific midpiece, equatorial, and nuclear staining was also observed Possible functions for spermatozoal heat-shock proteins are discussed.

L23 ANSWER 52 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:471726 HCAPLUS
DOCUMENT NUMBER: 115:71726
TITLE: Synthesis of phosphonates: a modified Arbuzov procedure
AUTHOR(S): Wang, Meng Fang; Crilley, Martine M. L.; Golding, Bernard T.; **McInally, Tom**; Robinson, David H.; Tinker, Alan
CORPORATE SOURCE: Dep. Chem., Univ. Newcastle upon Tyne, Newcastle upon Tyne, NE1 7RU, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1991), (9), 667-8
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:71726
AB Reactions of 6-iodogalactosides with either Me or iso-Pr di-Ph phosphite lead to diphenylphosphoryl derivs.; these can be converted by ester exchange into dibenzylphosphoryl derivs., which are convenient precursors of carbohydrate phosphonic acids.

L23 ANSWER 53 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:611994 HCAPLUS
DOCUMENT NUMBER: 113:211994
TITLE: Preparation of (pyridyl-1,3-dioxanyl)alkenoic acid derivatives as thromboxane A2 (TXA2) synthase inhibitors
INVENTOR(S): Brewster, Andrew George; Brown, George Robert; **Faull, Alan Wellington**; Jessup, Reginald; Smithers, Michael James
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 365328	A2	19900425	EP 1989-310772	19891019
EP 365328	A3	19901128		
EP 365328	B1	19960403		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8907793	A	19900627	ZA 1989-7793	19891013
DK 8905137	A	19900422	DK 1989-5137	19891016
AU 8942936	A1	19900426	AU 1989-42936	19891016
AU 627230	B2	19920820		
HU 58075	A2	19920128	HU 1989-5320	19891016

HU 212263	B	19960429			
IL 92028	A1	19940624	IL 1989-92028		19891017
DD 288825	A5	19910411	DD 1989-333734		19891019
AT 136304	E	19960415	AT 1989-310772		19891019
ES 2087868	T3	19960801	ES 1989-310772		19891019
SG 77110	A1	20001219	SG 1996-6061		19891019
CA 2001160	AA	19900421	CA 1989-2001160		19891020
CA 2001160	C	20000905			
NO 8904190	A	19900423	NO 1989-4190		19891020
NO 173735	B	19931018			
NO 173735	C	19940126			
JP 02164877	A2	19900625	JP 1989-271923		19891020
JP 06099426	B4	19941207			
US 5053415	A	19911001	US 1989-424611		19891020
PL 163045	B1	19940228	PL 1989-281921		19891020
PL 163178	B1	19940228	PL 1989-286429		19891020
FI 93545	B	19950113	FI 1989-5007		19891020
FI 93545	C	19950425			
RU 2045526	C1	19951010	RU 1989-4742319		19891020
KR 161260	B1	19981201	KR 1989-15088		19891020
CN 1041942	A	19900509	CN 1989-108787		19891021
CN 1034120	B	19970126			
PRIORITY APPLN. INFO.:			GB 1988-24667	A	19881021
			GB 1988-24668	A	19881021
			GB 1989-18937	A	19890818

OTHER SOURCE(S): MARPAT 113:211994

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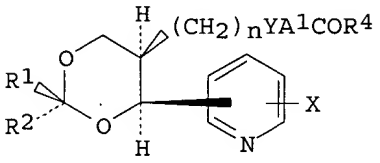

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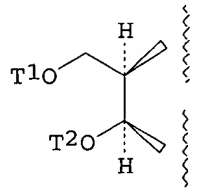

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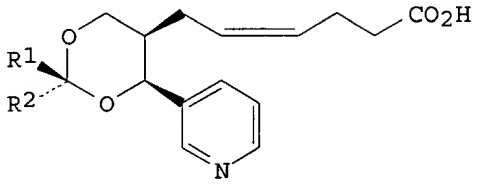

III

AB The title compds. [I; n = 1, 2; X = H, OH, alkoxy; Y = CH2O, CH:CH, C.tplbond.C; A1 = alkylene; (a) R2 = H and R1 = (un)substituted naphthyl or phenylthioalkyl, R3A2, Q2A3Q1; R3 = (un)substituted Ph, thienyl, or furyl; A2 = (wholly or partially fluorinated) (oxy)alkylene or alkenylene; one of Q1, Q2 = (un)substituted benzene moiety and the other = (un)substituted benzene, pyridine, or naphthalene moiety; A3 = O, S(O)0-2, CO, CONH, NHCO, NHCONH, (oxy)alkylene, alkenylene, direct bond; (b) R1 = trifluoroethyl and R2 = H or R1 = R2 = CF3; (c) R1, R2 = alkyl or R1R2 = alkylene; R4 = OH, a physiol. acceptable alc. residue, alkanesulfonamido], which also antagonize TXA2 and are useful for the treatment of ischemic heart disease, cerebrovascular disease, asthmatic disease, or inflammatory disease, are prepared by reaction of a diol derivative (II; one of T1, T2 = H and the other = H, CR5R6OH; R5, R6 = alkyl) with an aldehyde R1CHO or its acetal, hemiacetal, or hydrate. Thus, p-MeC6H4SO3H was added to a MeCN

solution of a pyridyl-1,3-dioxane (III; R1 = R2 = Me) and after stirring 0.5 h a MeCN solution of 2-(4-methoxyphenoxy)-2-methylpropanal was added and the mixture was refluxed 18 h to give III [R1 = 1-(4-methoxyphenoxy)-1-methylethyl] (IV). In a test for TXA2 antagonism, IV in vitro inhibited U46619-stimulated human blood platelet aggregation with a KB of 3.0 + 10-7 M. IV in vitro inhibited TXA2 synthase with an IC50 of 4.0 + 10-8 M.

L23 ANSWER 54 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:532799 HCAPLUS
DOCUMENT NUMBER: 113:132799
TITLE: 1,2,4-Triazolo[4,3-a]pyrazine derivatives with human renin inhibitory activity. 1. Synthesis and biological properties of alkyl alcohol and statine derivatives
AUTHOR(S): Roberts, David A.; Bradbury, Robert H.; Brown, David; **Faull, Alan**; Griffiths, David; Major, John S.; Oldham, Alec A.; Pearce, Robert J.; Ratcliffe, Arnold H.; et al.
CORPORATE SOURCE: Dep. Chem., ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK
SOURCE: Journal of Medicinal Chemistry (1990), 33(9), 2326-34
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:132799
GI For diagram(s), see printed CA Issue.
AB A series of 1,2,4-triazolo[4,3-a]pyrazine derivs. with human renin inhibitory activity which incorporate (1S,2S)-2-amino-1,3-dicyclohexyl-1-hydroxypropane, statine, and (3S,4S)-4-amino-5-cyclohexyl-3-hydroxypentanoic acid transition-state mimetics have been prepared Structure-activity relationships for renin inhibitory activity in the series are consistent with the 2-[8-isobutyl-6-phenyl-1,2,4-triazolo[4,3-a]pyrazin-3-yl]-3-(3-pyridyl)propionic acid moiety acting as a non-peptidic replacement for the P4-P2 (Pro-Phe-His) residues of the natural substrate angiotensinogen. Compds. I [R = cyclohexyl, CHMe2, R1 = CH2C6H4CH2NH2-3; R = cyclohexyl, R1 = (S)-(CH2)4CH(NH2)CO2H] were potent inhibitors of partially purified human renin (IC50 values 1.7, 6.8, and 3.7 nM, resp.), and also effectively lowered blood pressure in anesthetized, sodium depleted marmosets following i.v. administration. On oral administration however, no blood pressure lowering activity could be detected, and absorption studies in bile duct cannulated rats indicate that this may be due primarily to poor oral absorption, rather than rapid biliary excretion.

L23 ANSWER 55 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:98542 HCAPLUS
DOCUMENT NUMBER: 112:98542
TITLE: Preparation of 3-pyridyl-1,3-dioxan-5-ylalkenoic acid derivatives as inhibitors of thromboxane A2 synthase
INVENTOR(S): Brewster, Andrew George; Brown, George Robert; **Faull, Alan Wellington**; Jessup, Reginald; Smithers, Michael James
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 329360	A2	19890823	EP 1989-301334		19890213
EP 329360	A3	19900905			
EP 329360	B1	19940803			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
IL 89214	A1	19940125	IL 1989-89214		19890207
CA 1335816	A1	19950606	CA 1989-590484		19890208
ZA 8901033	A	19891025	ZA 1989-1033		19890209
AU 8929908	A1	19890817	AU 1989-29908		19890213
AU 626534	B2	19920806			
DK 8900669	A	19890817	DK 1989-669		19890213
FI 8900678	A	19890817	FI 1989-678		19890213
FI 93216	B	19941130			
FI 93216	C	19950310			
NO 8900607	A	19890817	NO 1989-607		19890213
NO 172491	B	19930419			
NO 172491	C	19930728			
CN 1035115	A	19890830	CN 1989-100858		19890213
CN 1040753	B	19981118			
JP 01249770	A2	19891005	JP 1989-31263		19890213
JP 2812697	B2	19981022			
HU 54143	A2	19910128	HU 1989-632		19890213
HU 209700	B	19941028			
DD 287501	A5	19910228	DD 1989-325735		19890213
PL 158201	B1	19920831	PL 1989-277709		19890213
ES 2057104	T3	19941016	ES 1989-301334		19890213
KR 145725	B1	19980817	KR 1989-1661		19890213
US 5166213	A	19921124	US 1989-310235		19890214
RU 2040525	C1	19950725	RU 1989-4613464		19890215
US 5248780	A	19930928	US 1992-951760		19920925
US 5401849	A	19950328	US 1993-78658		19930621
PRIORITY APPLN. INFO.:			GB 1988-3516	A	19880216
			GB 1988-24666	A	19881021
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			US 1992-951760	A3	19920925

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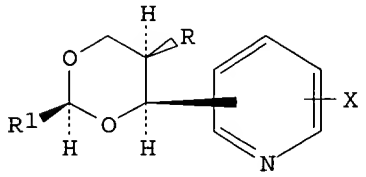

I

AB The title compds. [I; R = (CH2)nCH:CHA1COR2; A1 = C1-6 alkylene; n = 1, 2; R1 = C1-6 alkyl, CF3, C3-6 cycloalkyl, C1-4 alkoxy, C1-4 alkyl, R3A2; R3 = pyridyl, (un)substituted Ph; A2 = C1-6 (oxy)alkylene, C2-6 alkenylene, bond; R2 = OH, a physiol. acceptable alc. residue, C1-4 alkanesulfonamido; X = H, OH, C1-4 alkoxy] (II), which are good inhibitors of thromboxane A2 (TXA2) synthase and possess significant TXA2 antagonist properties, and thereby are useful for the treatment of ischemic heart disease, cerebrovascular disease, asthmatic disease, and/or inflammatory disease, are prepared by Wittig reaction of I [R = (CH2)nCHO] with (R4)3P:CHA1COR2. Thus, a solution of 2-[(4,5-cis)-2,2-dimethyl-4-(3-pyridyl)-1,3-dioxan-5-yl]acetaldehyde in THF was added to a stirred, ice-cooled solution of the

ylide prepared from (HO2CCH2CH2CH2)Ph3P+ Br- and Me3COK in THF. The mixture was stirred 2 h to give 4(Z)-6-[2,2-dimethyl-4-(3-pyridyl)-1,3-dioxan-cis-5-yl]hexenoic acid which was stirred 60 h at 25° with 2-ClC6H4CHO in the presence of p-MeC6H4SO3H to give 4(Z)-6-[(2,4,5-cis)-2-(2-chlorophenyl)-4-(3-pyridyl)-1,3-dioxan-5-yl]hexenoic acid. II (R1 = R2 = OH) inhibited TXA2-mimetic agent U46619-induced human blood platelet aggregation in vitro, U46619-induced bronchoconstriction in guinea pigs, and U46619-induced hypertension in rats. They also inhibited human platelet microsomal TXA2 synthase.

L23 ANSWER 56 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:630744 HCAPLUS
DOCUMENT NUMBER: 109:230744
TITLE: A novel, base-induced fragmentation of Hantzsch-type 4-aryl-1,4-dihydropyridines
AUTHOR(S): **McInally, Thomas**; Tinker, Alan C.
CORPORATE SOURCE: Dep. Med. Chem., Fisons plc, Res. Dev. Lab., Loughborough/Leicestershire, LE11 0RH, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (7), 1837-44
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:230744
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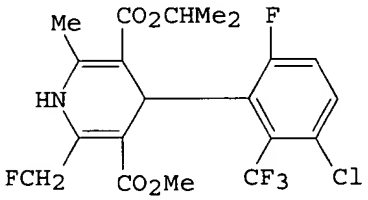

I

AB Hantzsch-type 1,4-dihydropyridine derivs., e.g., I, substituted with highly electron-deficient aryl groups in the 4-position, on treatment with a variety of basic reagents in non-hydroxylic solvents, undergo an unexpected and ready scission of the inter-ring bond to give the corresponding 4-unsubstituted pyridine and an arene derived from the original 4-substituent. The scope of the reaction has been investigated and possible mechanisms are discussed.

L23 ANSWER 57 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:442796 HCAPLUS
DOCUMENT NUMBER: 95:42796
TITLE: Some reactions of ethyl 2-anilino-4-oxo-4,5-dihydrothiophene-3-carboxylate
AUTHOR(S): **Faull, Alan W.**; Hull, Roy
CORPORATE SOURCE: Pharm. Div., ICI Ltd., Macclesfield, SK10 4TG, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (4), 1078-82
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:42796

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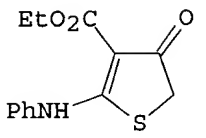

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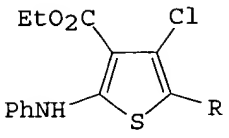

II

AB Thiophenone I, prepared by reaction of ClCH2COCH2CO2Et with PhNCS, undergoes reactions typical of a ketomethylene compound E.g., I with the Vilsmeier reagent and POCl3 gave thiophene II (R = CHO) (III). III undergoes normal aromatic aldehyde condensation reactions. E.g., III with p-ClC6H4NH2 in PhMe in the presence of p-MeC6H4SO3H gave II (R = CH:NC6H4Cl-p).

L23 ANSWER 58 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:30656 HCAPLUS
DOCUMENT NUMBER: 94:30656
TITLE: The chemistry of o-phenylene diisothiocyanate. Part 2. Reactions with enamines, an ynamine and some reactive methylene compounds
AUTHOR(S): **Faull, Alan W.**; Griffiths, David; Hull, Roy; Sedan, Timothy P.
CORPORATE SOURCE: Pharm. Div., ICI Ltd., Macclesfield, SK10 4TG, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1980), (11), 2587-90
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:30656
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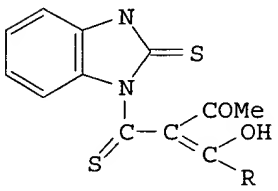

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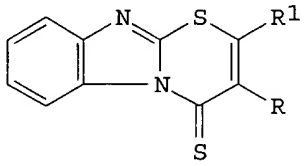

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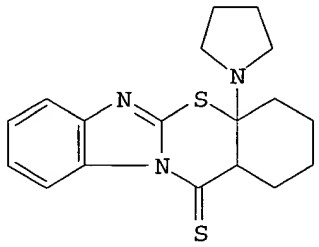

III

AB 1,2-C6H4(NCS)2 reacted with MeCOCH2COR (R = Me, Ph) (NaH, Et2O, 2 days) to give thiocarbonyl benzimidazolinethiones, I (R = Me, Ph) (63 and 65%,

resp.) and with CH2(CN)2 and EtO2CCH2CN (NaH, Et2O, 3 days) to give the benzimidazolethiazines II (R = CN, CO2Et, R1 = NH2) (39 and 14%, resp.). With enamines and ynamines (dry Et2O, 4 h), 1,2-C6H4(NCS)2 gave thiazines in moderate-to-good yields (33-84%). E.g., 1,2-C6H4(NCS)2 with pyrrolidin-1-ylcyclohexene gave 84% III, whereas with the ynamine Et2NC.tplbond.CMe, 48% II (R = Me, R1 = NEt2) was obtained.

L23 ANSWER 59 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:76397 HCAPLUS
DOCUMENT NUMBER: 92:76397
TITLE: Reactions of heterocycles with thiophosgene. Part VII. Reactions of benzoxazole, benzothiazole, and benzimidazole derivatives
AUTHOR(S): **Faull, Alan W.**; Hull, Roy
CORPORATE SOURCE: Pharm. Div., ICI Ltd., Macclesfield, UK
SOURCE: Journal of Chemical Research, Synopses (1979), (5), 148
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:76397
AB Benzoxazole reacted with CSCl2 and base to give 72% 2-RCOZC6H4NCS (I; R = H, Z = O) and 3% 3-(2-benzoxazolyl)benzoxazole-2-thione. 2-Methylbenzoxazole and N-methyl- and N-phenylbenzimidazole underwent similar ring cleavage with CSCl2 to give 63-72% I (R = Me, Z = O; R = H, Z = NMe, NPh, resp.). Reaction of benzothiazole with CSCl2 gave 13% 3-formylbenzothiazole-2-thione and 38% benzothiazole-2-thione.

L23 ANSWER 60 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:41284 HCAPLUS
DOCUMENT NUMBER: 92:41284
TITLE: Reactions of heterocycles with thiophosgene. Part 9. Preparation and some reactions of 2-isothiocyanatovinyl acetate
AUTHOR(S): **Faull, Alan W.**; Hull, Roy
CORPORATE SOURCE: Pharn. Div., ICI, Macclesfield, UK
SOURCE: Journal of Chemical Research, Synopses (1979), (7), 240-1
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:41284
AB AcOCH:CHNCS (I) was prepared in 66% yield by treating 2-methyloxazole in CH2Cl2 with thiophosgene and aqueous CaCO3 at ambient temperature for 16 h. I was treated with 4-ClC6H4NH2, PhNHMe, and cyclohexylamine to give 40-68% AcOCH:CHNHCSNRR1 (R = H, R1 = 4-ClC6H4; R = Me, R1 = Ph; R = H, R1 = cyclohexyl, resp.). The treatment of I with NH2NMe2 and ClCH2COCH2CO2Et gave 37% AcOCH:CHNHCSNHNMe2 and 60% Et 2-(2-acetoxyvinylamino)-4,5-dihydro-4-oxothiophene-3-carboxylate, resp. The reaction of I with NH2NH2 in EtOH (ambient temperature, 72 h) gave 40% AcOCH:CHNHCSNHNH2, but at reflux (overnight) 4,5-dihydro-1,2,4-triazine-3(2H)-thione was obtained (57%).

L23 ANSWER 61 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:37758 HCAPLUS
DOCUMENT NUMBER: 88:37758
TITLE: Studies on the chemistry of 2,3,5,6-tetrahydro-6-phenylimidazo[2,1-b]thiazole. III. Reaction with isocyanates and isothiocyanates
AUTHOR(S): Bigg, D. C. H.; **Faull, A. W.**; Purvis, S. R.

CORPORATE SOURCE: Pharm. Div., ICI Ltd., Macclesfield/Cheshire, UK
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(6), 989-92
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:37758
AB 2,3,5,6-Tetrahydro-6-phenylimidazo[2,1-b]thiazole reacts with aryl isothiocyanates to give dipolar 1:1 adducts. The adducts are relatively unstable and, in solution, exist in equilibrium with starting materials. The reaction with aryl and alkyl isocyanates, however, leads to cyclic 2:1 adducts, while sulfonyl and acyl isocyanates give stable dipolar 1:1 adducts.

L23 ANSWER 62 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:584423 HCAPLUS
DOCUMENT NUMBER: 87:184423
TITLE: Studies on the chemistry of 2,3,5,6-tetrahydro-6-phenylimidazo[2,1-b]thiazole. I. The reaction of N-alkyl derivatives with nucleophiles
AUTHOR(S): Bigg, D. C. H.; **Faull, A. W.**; Purvis, S. R.
CORPORATE SOURCE: Pharm. Div., ICI Ltd., Alderley Park/Macclesfield/Cheshire, UK
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(4), 603-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:184423
GI For diagram(s), see printed CA Issue.
AB The title compds. I (R = Me, X = iodo; R = PhCH2, X = Br) behave as ambident electrophiles, which give ring-opened products on reaction with a variety of nucleophiles. Thus, I (R = Me, X = iodo) and KOH gave the imidazolinone II, whereas treatment with 4-BrC6H4SNa gave the imidazolinethione III. The results are rationalized in terms of thermodn. or kinetic control.

L23 ANSWER 63 OF 63 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1912:9833 HCAPLUS
DOCUMENT NUMBER: 6:9833
ORIGINAL REFERENCE NO.: 6:1514d-e
TITLE: A road-paving material.
INVENTOR(S): **Brough, S.**; Brough, G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1006227		19100312	GB	

AB A road-paving material is obtained by adding leather, either in pieces or as a pulp, to heated bitumen, pitch, asphalt, tar, oil, or the like. This plastic mixture is either spread on the ground with stone, gravel, granit, or the like, or the latter materials are added to the 1st mixture before spreading. Sand or powdered substance is applied to the surface to facilitate rolling or pressing. Leather 12 lbs. and stone 22 lbs. are used to each gal. of bituminous substance.

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